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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 13	OCT 19	E-mail format enhanced
NEWS 14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 17	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 18	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 19	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 20	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:03:01 ON 13 NOV 2006

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:03:20 ON 13 NOV 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 NOV 2006 HIGHEST RN 913055-81-9
DICTIONARY FILE UPDATES: 12 NOV 2006 HIGHEST RN 913055-81-9

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

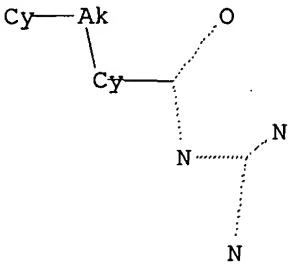
=>
Uploading C:\Program Files\Stnexp\Queries\10727997amend.str

chain nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-2 2-3 3-4 4-5 4-9 5-6 6-7 6-8
exact/norm bonds :
1-2 2-3 3-4 4-5 4-9 5-6 6-7 6-8

Match level :
1:Atom 2:CLASS 3:Atom 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 08:03:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1505 TO ITERATE

100.0% PROCESSED 1505 ITERATIONS 26 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 27773 TO 32427
PROJECTED ANSWERS: 215 TO 825

L2 26 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:03:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 30416 TO ITERATE

100.0% PROCESSED 30416 ITERATIONS 502 ANSWERS
SEARCH TIME: 00.00.01

L3 502 SEA SSS FUL L1

=> fil hcaplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'HCAPLUS' ENTERED AT 08:03:46 ON 13 NOV 2006
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FILE COVERS 1907 - 13 Nov 2006 VOL 145 ISS 21
FILE LAST UPDATED: 10 Nov 2006 (20061110/ED)

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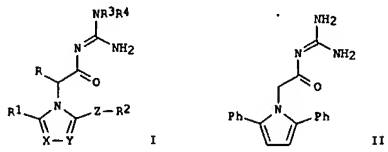
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 58 L3

=> d ed abs ibib hitstr 1-58

L4 ANSWER 1 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Aug 2006
GI



I II

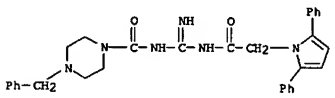
AB The title compds. I [X = N, CR5; Y = N, CR6; Z = CO, (CH2)n; n = 0-3; R = H, alkyl, aryl; R1, R2 = cycloalkyl, cycloheteroalkyl, aryl or heteroaryl; R3, R4 = H, alkyl, alkoxy, etc.; or NR3R4 = 5-7 membered ring optionally containing an addnl. heteroatom selected from O, N or S; R5, R6 = halo, alkyl, haloalkyl, alkoxy, haloalkoxy], useful for inhibiting β-secretase (BACE) and treating β-amyloid deposits and neurofibrillary tangles, were prepared E.g., a 2-step synthesis of N-(diaminomethylene)-2,4-diphenyl-1H-pyrrole-1-acetamide (II), starting from 1,4-diphenylbutane-1,4-dione and glycine, was given. Exemplified compds. I were tested for BACE-1 binding affinity (data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

ACCESSION NUMBER: 2006:821376 HCAPLUS
DOCUMENT NUMBER: 145:249085
TITLE: Preparation of azolylacylguanidines as β-secretase inhibitors
INVENTOR(S): Cole, Derek Cecil; Manas, Eric Steven; Jennings, Lee Dalton; Lovering, Frank Eldridge; Stock, Joseph Raymond; Moore, William Jay; Ellingboe, John Watson; Condon, Jeffrey Scott; Sukhdeo, Mohani Nirmala; Zhou, Ping; Wu, Junjun; Morris, Koi Michele
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 58pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

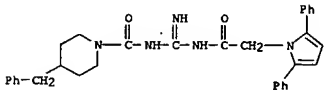
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006183790	A1	20060817	US 2006-352820	20060213
WO 2006088711	A1	20060824	WO 2006-US4471	20060206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,

L4 ANSWER 1 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.: US 2005-652696P P 20050214
OTHER SOURCE(S): MARPAT 145:249085
IT 905970-53-8P 905970-54-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolylacylguanidines as beta-secretase inhibitors)
RN 905970-53-8 HCAPLUS
CN 1-Piperazinecarboxamide, N-[[[(2,5-diphenyl-1H-pyrrol-1-yl)acetyl]amino]iminomethyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 905970-54-9 HCAPLUS
CN 1-Piperidinecarboxamide, N-[[[(2,5-diphenyl-1H-pyrrol-1-yl)acetyl]amino]iminomethyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 May 2006
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Guanidine derivs. having a condensed tricyclic ring of formula I, their preparation, pharmaceutical composition and use as sodium-proton exchange inhibitors are disclosed. These derivs. are sodium-proton exchange inhibitors and are useful as medicaments for the treatment of, for example, organ disorders associated with ischemia and reperfusion, cardiac arrhythmia, cardiac hypertrophy, hypertension, cell proliferative disorders and diabetes. Compds. of formula I where in R1-R8 are independently H, halo, OH, hydroxyalkyl, formyl, alkoxy, cycloalkoxy, aryloxy, alkylthio, alkylcarbonyl, carboxy, alkylcarboxylate, alkyl, alkenyl, cycloalkyl, (un)substituted (hetero)aryl, aryloxycarbonyl, alkylaminoalkyl, aminocarbonyl, CN, No2, amidino, sulfonyl chloride, sulfonyl hydrazide, alkylsulfonyl, heterocyclylsulfonyl, heteroarylsulfonyl, sulfonamide, alkyl-NHSO2, arylalkyl, (un)substituted heterocyclyl, (un)substituted guanidino(carbonyl), NH2 and derivs., or N=R''', etc.; R''' is heterocyclyl, cycloalkyl, or alkyl; U is CO, CRaRb, O, NRa, S, SO, or SO2; V is CRaRb or NRa; W is S, SO, or SO2; Ra is H, alkyl cycloalkyl, alkenyl, or arylalkyl; Rb is H alkyl, OH, ORa, or OCORa; and their stereoisomers, tautomers, mixts. thereof in all ratios, pharmaceutically acceptable salts, solvates, polymorphs, and prodrugs as well as the process for preparing compds. of formula I are claimed. Example compound II•MeSO3H were prepared by nitration of compound III to give the 4-chloro-6-methyl-2-nitro-10,10-dioxo-10,11-dihydro-5-oxa-10-A6-thiadibenzo[a,d]cycloheptene-8-carboxylic acid, which was reduced to the corresponding amine, which reacted with guanidine to give example compound II•MeSO3H. All the invention compds. were evaluated for their sodium-proton exchange inhibitory activity. From the assay it was determined that compound II•MeSO3H exhibited a IC50 value of 0.02 μM. Some of the invention compds. also exhibited potent anti-arrhythmic and anti-infarction activity against ischemia and reperfusion induced by cardiac injury in coronary artery liagated (CAL) rats. The compds. also showed significant cardioprotective effects in CAL rabbits.

ACCESSION NUMBER: 2006:471734 HCAPLUS
DOCUMENT NUMBER: 144:488692
TITLE: Tricyclic guanidine derivatives as sodium-proton exchange inhibitors and their preparation, pharmaceutical compositions and use for treatment of various diseases
INVENTOR(S): Lal, Bansi; Bal-Tembe, Swati; Ghosh, Usha; Jain, Arun Kumar; More, Tulsidas; Ghate, Anil; Trivedi, Jacqueline; Parikh, Sapna
PATENT ASSIGNEE(S): Nicholas Piramal India Limited, India
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

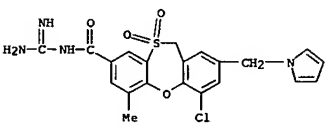
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

L4 ANSWER 2 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

WO 2006051476	A1	20060518	WO 2005-IB53653	20051108

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2004-MU1225 A 20041110
US 2004-637208P P 20041217
IT 887507-86-0P 887507-87-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of tricyclic guanidine derivs. as sodium-proton exchange inhibitors and their use for treatment of various diseases)
RN 887507-86-0 HCAPLUS
CN 11H-Dibenzo[b,f][1,4]oxathiepin-8-carboxamide, N-(aminoiminomethyl)-4-chloro-6-methyl-2-(1H-pyrrol-1-ylmethyl)-, 10,10-dioxide (9CI) (CA INDEX NAME)

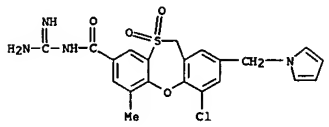


RN 887507-87-1 HCAPLUS
CN 11H-Dibenzo[b,f][1,4]oxathiepin-8-carboxamide, N-(aminoiminomethyl)-4-chloro-6-methyl-2-(1H-pyrrol-1-ylmethyl)-, 10,10-dioxide, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 887507-86-0
CMF C21 H19 Cl N4 O4 S

L4 ANSWER 2 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 75-75-2
CMF C H4 O3 S

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
cardiovascular agents, such as aspirin, cangrelor or clopidogrel bisulfate, wherein the addnl. cardiovascular agent or agents are selected from a group consisting of thromboxane A2 biosynthesis inhibitors, GP IIb/IIIa antagonists, thromboxane antagonists, ADP inhibitors, cyclooxygenase inhibitors, angiotensin antagonists, endothelin antagonists, angiotensin converting enzyme inhibitors, neutral endopeptidase inhibitors, anticoagulants, diuretics, and platelet aggregation inhibitors. Thus, himbacine analog I [R = CONH(CH2)2OH, R1 = R2 = Me, R3 = C6H4-3-F] was prepd. via a synthetic sequence which comprised a carboxylation reaction of I [R = H, R1 = R2 = Me, R3 = C6H4-3-F] with NCCO2Me using LiHMDS in THF, deesterification of the resulting carboxylate I [R = CO2Me, R1 = R2 = Me, R3 = C6H4-3-F] using BBr3 in THF under N2, and finally, an amidation reaction of the resulting acid I [R = CO2H, R1 = R2 = Me, R3 = C6H4-3-F] with H2N(CH2)2OH using HATU to form the target amide. The prepd. himbacine analogs were assayed for thrombin receptor binding activity.

ACCESSION NUMBER: 2006:341636 HCAPLUS
DOCUMENT NUMBER: 144:391210
TITLE: Preparation of himbacine analogs for use in pharmaceutical compositions as thrombin receptor antagonists
INVENTOR(S): Chackalamannil, Samuel; Chelliah, Mariappan V.; Xia, Yan; Eagen, Keith A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 77 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006079684	A1	20060413	US 2005-243708	20051005
WO 2006041872	A2	20060420	WO 2005-US35745	20051005

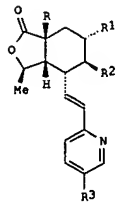
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-617514P P 20041008
OTHER SOURCE(S): MARPAT 144:391210

IT 882653-71-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of himbacine analogs for use in pharmaceutical compns. as thrombin receptor antagonists)

RN 882653-71-6 HCAPLUS
CN Naphtho[2,3-c]furan-3a(3H)-carboxamide, N-(aminoiminomethyl)-9-[(1E)-2-[5-(3-fluorophenyl)-2-pyridinyl]ethenyl]decahydro-1-methyl-3-oxo-, (1R,3aR,4aS,8aR,9S,9aS)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Apr 2006
GI



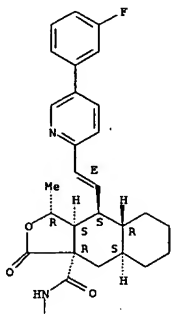
I

AB Analogs, such as I [R = H, alkyl, carboxy, carboxamido, acylamino, etc.; R1 = H, Me; R2 = Me, Et; R1R2 = -(CH2)4-; R3 = Ph, C6H4-2-F, -3-F, -2-CN, -3-CN, -3-CF3, etc.], of the piperidine alkaloid himbacine were prepared for therapeutic use in the treatment diseases associated with thrombosis, atherosclerosis, restenosis, hypertension, angina pectoris, arrhythmia, heart failure, and cancer. Further, these himbacine analogs were claimed for use in the treatment of angiogenesis related disorders, a cardiovascular or circulatory disease or condition, myocardial infarction, glomerulonephritis, thrombotic stroke, thromboembolytic stroke, peripheral vascular diseases, cerebral ischemia, rheumatoid arthritis, rheumatism, astrogliosis, a fibrotic disorder of the liver, kidney, lung or intestinal tract, systemic lupus erythematosus, multiple sclerosis, osteoporosis, glomerulonephritis, renal disease, acute renal failure, chronic renal failure, renal vascular homeostasis, renal ischemia, bladder inflammation, diabetes, diabetic neuropathy, cerebral stroke, cerebral ischemia, nephritis, cancer, melanoma, renal cell carcinoma, neuropathy and/or malignant tumors, neurodegenerative and/or neurotoxic diseases, conditions, or injuries, inflammation, asthma, glaucoma, macular degeneration, psoriasis, endothelial dysfunction disorders of the liver, kidney or lung inflammatory disorders of the lungs and gastrointestinal tract, respiratory tract disease or condition, radiation fibrosis, endothelial dysfunction, periodontal diseases or wounds or a spinal cord injury. The inflammatory diseases or conditions include irritable bowel syndrome, Cohn's disease, nephritis or a radiation- or chemotherapy-induced proliferate or inflammatory disorder of the gastrointestinal tract, lung, or urinary bladder. The respiratory tract diseases or conditions include reversible airway obstruction, asthma, chronic asthma, bronchitis or chronic airways disease. The cancer may be renal cell carcinoma or an angiogenesis related disorder. The neurodegenerative diseases include Parkinson's disease, Amy tropic lateral sclerosis, Alzheimer's disease, Huntington's disease or Wilson's disease. These himbacine analogs may also be use in combination therapy with other

L4 ANSWER 3 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

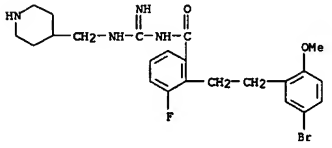
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



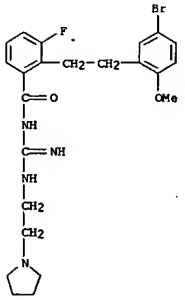
PAGE 2-A

L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Mar 2006
AB The identification and optimization of a series of acylguanidine-based melanocortin-4 receptor antagonists is discussed.
ACCESSION NUMBER: 2006:232893 HCAPLUS
DOCUMENT NUMBER: 144:403787
TITLE: Identification and structure-activity relationships of a new series of Melanocortin-4 receptor antagonists
AUTHOR(S): Vos, Tricia J.; Balani, Suresh; Blackburn, Christopher; Chau, Ryan W.; Danca, M. Diana; Drabic, Stacey V.; Farrer, Cheryl A.; Patane, Michael A.; Stroud, Stephen G.; Yowe, David L.; Claiborne, Christopher F.
CORPORATE SOURCE: Millennium Pharmaceuticals, Inc., Cambridge, MA, 02139, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(8), 2302-2305
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 705978-50-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylguanidine-based melanocortin-4 receptor antagonists)
RN 705978-50-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(4-piperidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

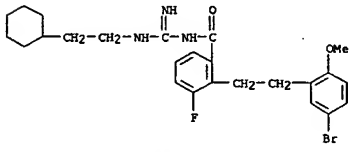


IT 705977-45-3P 705977-46-4P 705977-48-6P
705977-49-7P 705977-57-7P 705977-58-8P
705977-59-9P 705977-70-4P 705977-81-7P
705977-87-3P 705977-90-8P 705977-93-1P
705978-14-9P 705978-24-1P 705978-36-5P
705978-96-7P 705979-00-6P 705979-01-7P
705979-02-8P 705979-11-9P 705979-13-1P
884538-31-2P 884538-32-3P 884538-34-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acylguanidine-based melanocortin-4 receptor antagonists)
RN 705977-45-3 HCAPLUS

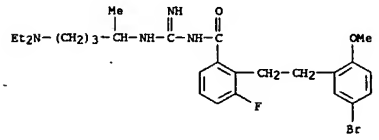
L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-49-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[(2-cyclohexylethyl)amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

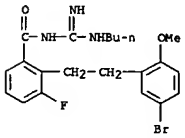


RN 705977-57-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

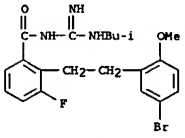


RN 705977-58-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(4-

L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(butylamino)iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

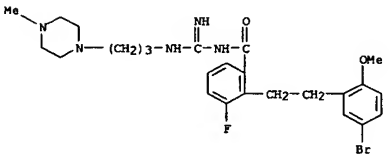


RN 705977-46-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(2-methylpropyl)amino]methyl]- (9CI) (CA INDEX NAME)

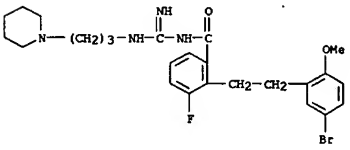


RN 705977-48-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

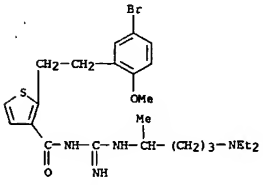
L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
methyl-1-piperazinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705977-59-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(1-piperidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

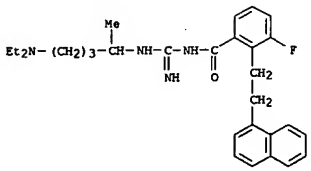


RN 705977-70-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

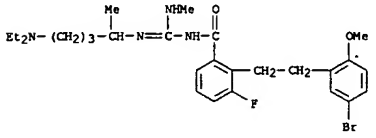


RN 705977-81-7 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

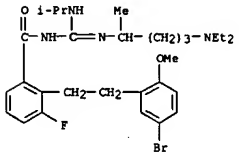
L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-87-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino](methylamino)methylene]-3-fluoro- (9CI) (CA INDEX NAME)

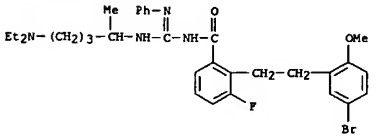


RN 705977-90-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino][(1-methylethyl)amino]methylene]-3-fluoro- (9CI) (CA INDEX NAME)

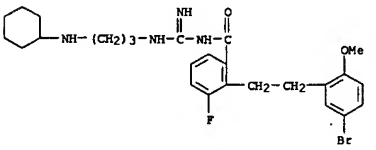


RN 705977-93-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino](phenylamino)methylene]-3-fluoro- (9CI) (CA INDEX NAME)

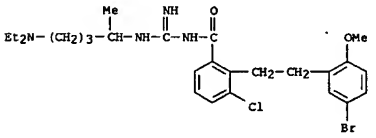
L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-14-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(cyclohexylamino)propyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)



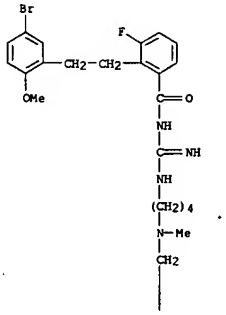
RN 705978-24-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)



RN 705978-36-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[methyl(1-naphthalenylmethyl)amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

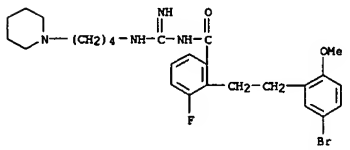
L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



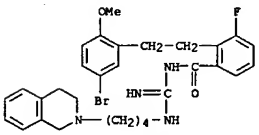
PAGE 2-A

RN 705978-96-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-(1-piperidinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)

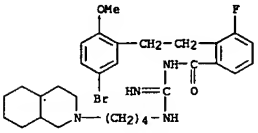


RN 705979-00-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(3,4-dihydro-2(1H)-isoquinolinyl)butyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

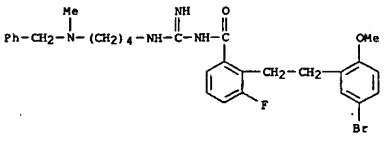
L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



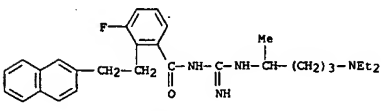
RN 705979-01-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-(octahydro-2(1H)-isoquinolinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705979-02-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[methyl(phenylmethyl)amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

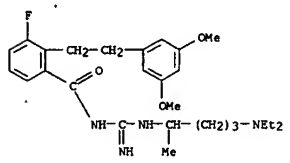


RN 705979-11-9 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-(2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

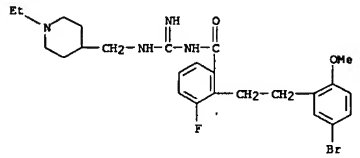


RN 705979-13-1 HCAPLUS

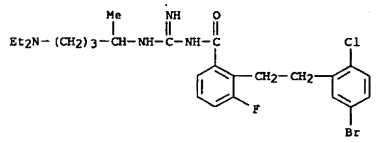
L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-2-[2-(3,5-dimethoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 884538-31-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[(1-ethyl-4-piperidinyl)methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

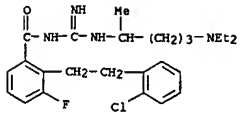


RN 884538-32-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-chlorophenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

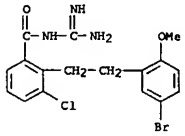


RN 884538-34-5 HCAPLUS
CN Benzamide, 2-[2-(2-chlorophenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 884538-28-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acylguanidine-based melanocortin-4 receptor antagonists)
RN 884538-28-7 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro- (9CI) (CA INDEX NAME)



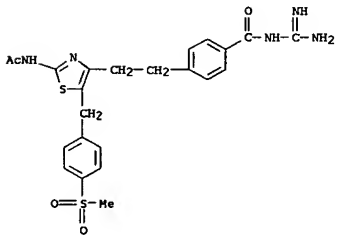
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Sep 2005
AB The present invention provides an aqueous composition comprising a thiazole derivative or a pharmaceutically acceptable salt thereof, and an additive selected from the group consisting of polyol, sugar, sugar alc., boric acid or its salt, and water. The aqueous composition is very stable and can be stored for a long time. For example, a 0.3% aqueous solution of N-[4-[2-[4-[[amino(imino)methyl]amino]phenyl]ethyl]-5-[4-(methylsulfonyl)benzyl]-1,3-thiazol-2-yl]acetamide (I) (pH 6) was prepared using HCl acid and an additive selected from glycerin 2.5%, mannitol 4.7%, or boric acid 1.68%. The solution was stored at 40° in the low-d. polyethylene container. The concentration of the thiazole compound I after 1 mo, 3 mo, and 6 mo was 105.4, 110.6 and 112.3% of the original 100% concentration of I for glycerin, 103.7, 108.4 and 109.6% for mannitol, and 104.9, 106.2, and 108.2% for boric acid, resp.
ACCESSION NUMBER: 2005:1042064 HCAPLUS
DOCUMENT NUMBER: 143:332555
TITLE: Aqueous composition comprising thiazole derivative
INVENTOR(S): Ueno, Ryuji; Hirata, Ryu; Harada, Yasuhiro
PATENT ASSIGNEE(S): R-Tech Ueno, Ltd., Japan
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089755	A1	20050929	WO 2005-JP5607	20050318
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

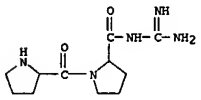
PRIORITY APPLN. INFO.: US 2004-553956P P 20040318
OTHER SOURCE(S): MARPAT 143:332555
IT 737826-42-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and stability of aqueous composition comprising thiazole derivative)
RN 737826-42-5 HCAPLUS
CN Benzamide, 4-[2-[2-(acetylamino)-5-[[4-(methylsulfonyl)phenyl]methyl]-4-thiazolyl]ethyl]-N-(aminoiminomethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



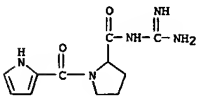
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 29 Jun 2005
AB On page 10252, in Scheme 1 and in the Supporting Information, dispacamide A (2) should be the (Z)-isomer and not the (E)-isomer, as drawn. In the Supporting Information, "12, AcO2H" should read as "12, CF3O2H". Three syntheses of dispacamide have been reported but not referenced; thus, sentence 4 should read: "Orinthine and proline have been respectively used in the synthesis of of "oroidin-based" dibromophakellin by Buchi,8a dispacamide A by Horne,8b and dibromophakellstatin by Romo.9". Reference 8 should read: "(8) (a) Foley, L. H.; Buchi, G. J. Am. Chemical Society 1982, 104, 1776-1777. (b) The method has been developed by Horne for the synthesis of dispacamide A from the available 2-aminoimidazole derivative: Olofsen, A.; Yakushijin, K.; Horne, D. A. J. Organic Chemical 1998, 63, 1248-1253. For other syntheses, see: (c) Lindel, T.; Hoffmann, H. Tetrahedron Lett. 1997, 38, 8935-8938. (d) Fresneda, P. M.; Molina, P.; San, M. A. Tetrahedron Lett. 2001, 42, 851-854.".
ACCESSION NUMBER: 2005:559608 HCAPLUS
DOCUMENT NUMBER: 144:34169
TITLE: A likely biogenetic gateway linking 2-aminoimidazolinone metabolites of sponges to proline: Spontaneous oxidative conversion of the pyrrole-proline-guanidine pseudo-peptide to dispacamide A. [Erratum to document cited in CA141:292524]
AUTHOR(S): Travert, Nathalie; Al-Mourabit, Ali
CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SOURCE: Journal of the American Chemical Society (2005), 127(29), 10454
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 761426-38-4
RL: BSU (Biological study, unclassified); BIOL (Biological study) (spontaneous oxidative conversion of pyrrole-proline-guanidine pseudo-peptide to dispacamide A in sponge (Erratum))
RN 761426-38-4 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(2-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

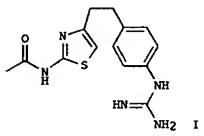


IT 761426-40-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

L4 ANSWER 6 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(spontaneous oxidative conversion of pyrrole-proline-guanidine pseudo-peptide to dispacamide A in sponge (Erratum))
RN 761426-40-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(1H-pyrrol-2-ylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Oct 2004
GI

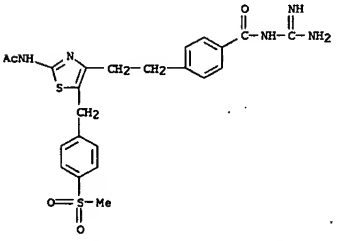


I

AB A method for treating a vascular hyperpermeable disease (except macular edema), comprises administration of a vascular adhesion protein-1 (VAP-1) inhibitor in an amount sufficient to treat said patient for said disease. Thus, N-[4-[2-(4-aminophenyl)ethyl]-1,3-thiazol-2-yl]acetamide (preparation given) was refluxed with HCl and cyanamide in EtOH for 26 h to give title compound (I). I inhibited human plasma VAP-1 (SSAO) with IC50 = 0.15 μM.
ACCESSION NUMBER: 2004:857384 HCAPLUS
DOCUMENT NUMBER: 141:350160
TITLE: treatment of vascular hyperpermeable disease using acylaminothiazoles and related compounds as vascular adhesion protein-1 (VAP-1) inhibitors.
INVENTOR(S): Ueno, Ryuji; Nagashima, Akira; Inoue, Takayuki; Ohkubo, Mitsuru; Yoshihara, Kousei
PATENT ASSIGNEE(S): Sucampo Ag, Switz.; Fujisawa Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087138	A1	20041014	WO 2004-JP4596	20040331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2520957	AA	20041014	CA 2004-2520957	20040331
EP 1608365	A1	20051228	EP 2004-724735	20040331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1794988	A	20060628	CN 2004-80009070	20040331
JP 2006522110	T2	20060928	JP 2006-507702	20040331
US 2006229346	A1	20061012	US 2005-550414	20050923
PRIORITY APPLN. INFO.:			US 2003-458370P	P 20030331

L4 ANSWER 7 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
WO 2004-JP4596 W 20040331
OTHER SOURCE(S): MARPAT 141:350160
IT 737826-42-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of vascular hyperpermeable disease using acylaminothiazoles and related compds. as vascular adhesion protein-1 (VAP-1) inhibitors)
RN 737826-42-5 HCAPLUS
CN Benzamide, 4-[2-[2-(acetylamino)-5-[[4-(methylsulfonyl)phenyl]methyl]-4-thiazolyl]ethyl]-N-(aminoiminomethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Sep 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

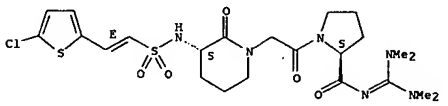
AB Sulfonamide lactams of formula 1 [wherein X = (un)substituted (CH2)m; m = 1-3; R1 = (un)substituted alk(en/yn)yl, cycloalkyl, hetero/aryl, cycloheteroalkyl; R2, R3 = independently H, (un)substituted alk(en/yn)yl, cycloalkyl, hetero/aryl, cycloheteroalkyl; R4, R4a, R5, R5a = independently H, OH, alkoxy, CO2H and derivs., CONH2 and derivs., S(O)qH and derivs., SO2NH2 and derivs., etc. (un)substituted alk(en/yn)yl, cycloalkyl, hetero/aryl, cycloheteroalkyl; q = 0-2; R6, R6a = independently H, (un)substituted alk(en/yn)yl, cycloalkyl, substituted hetero/aryl, cycloheteroalkyl; R7, R8 = independently (un)substituted (CH2)n-H or R7NR8 = (un)substituted cycloheteroalkyl; n = 1-4; with the proviso that certain compds. are absent; their pharmaceutically acceptable salts, stereoisomers and prodrugs] were prepared as inhibitors of Factor Xa and useful as anticoagulants in the treatment of cardiovascular diseases associated with thromboses (no data). For instance, reacting amine II with naphthalene-2-sulfonyl chloride in CH2Cl2 in the presence of TEA for 30 min at room temperature gave sulfonamide III in 66% yield.

ACCESSION NUMBER: 2004:780362 HCAPLUS
DOCUMENT NUMBER: 141:295864
TITLE: Preparation of sulfonamide lactams as Factor Xa inhibitors
INVENTOR(S): O'Connor, Stephen P.; Lawrence, Michael; Shi, Yan; Stein, Philip D.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 257 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

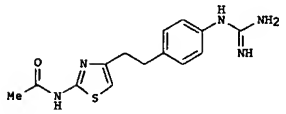
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004186134	A1	20040923	US 2003-374299	20030226
PRIORITY APPLN. INFO.:			US 2003-374299	20030226

OTHER SOURCE(S): MARPAT 141:295864
IT 445277-05-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of sulfonamide lactams as factor Xa inhibitors
and anticoagulants)
RN 445277-05-4 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-[bis(dimethylamino)methylene]-1-[[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-piperidinyl]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 9 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Aug 2004
GI



II

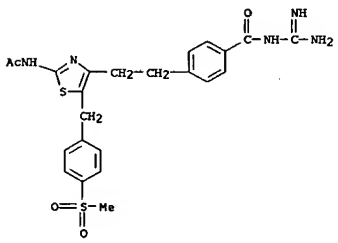
AB Title compds. of formula R1NHXYZ [I; wherein R1 = acyl; X = a bivalent (un)substituted thiazole; Y = a bond, alkylene, alkenylene, COHN; Z = 2-aminobenzimidazolyl, C6H4-R2; R2 = ABDE; A = a bond, alkylene, NH, SO2; B = a bond , alkylene, CO, O; D = a bond, alkylene, NH, CH2NH; E = (un)protected amino, N=CH2, dihydrothiazol-2-yl, dihydroimidazol-2-yl, C(=NH)R3; R3 = H, alkyl(thio), NHR4; R4 = H, NH2, alkyl; and pharmaceutically acceptable salts thereof] were prepared as vascular adhesion protein-1 (VAP-1) inhibitors. For example, cycloaddn. of 3-chloro-2-oxopropyl acetate and thiourea in EtOH gave (2-amino-1,3-thiazol-4-yl)methyl acetate•HCl, which was amidated with acetyl chloride using pyridine in CH2Cl2. Deprotection of [2-(acetylamino)thiazol-4-yl]methyl acetate using K2CO3 in MeOH, followed by reaction of the resulting alc. with MnO2 in MeOH/CHCl3 provided N-(4-formylthiazol-2-yl)acetamide. Coupling of the aldehyde with 1-(bromomethyl)-4-nitrobenzene in the presence of PPh3 and t-BuOH in DMF gave N-[4-[(Z)-2-(4-nitrophenyl)ethenyl]thiazol-2-yl]acetamide, which was reduced to the amine with Pd/C in MeOH/THF/AcOH. Finally, coupling of the amine with cyanamide in the presence of HCl in EtOH/EtOAc afforded II. The latter inhibited VAP-1 enzyme (SSAO) activity in both human and rat plasma (IC50 = 0.15 μM and 0.012 μM, resp.), but not the enzyme activities of other amine oxidases (IC50 >100μM), such as human platelet monoamine oxidase (MAO) and cloned diamine oxidase (DAO, histaminase). Treatment of diabetic rats daily with II (10 mg/kg/ s.c. u.i.d.) improved their ocular permeability in comparison with the diabetic control group (vitreous/plasma ratio of fluorescein concns. = 5.39 ± 0.73 x10-3 and 8.93 ± 1.14 x10-3, resp.). Thus, I and their pharmaceutical compns. are useful for preventing or treating VAP-1 associated diseases, especially macular edema (no data).

ACCESSION NUMBER: 2004:648516 HCAPLUS
DOCUMENT NUMBER: 141:190785
TITLE: Preparation of thiazole derivatives as VAP-1 inhibitors for treatment of macular edema and other VAP-1 associated diseases
INVENTOR(S): Inoue, Takayuki; Tojo, Takashi; Morita, Masataka; Ohkubo, Mitsuru; Yoshihara, Kousei; Nagashima, Akira
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

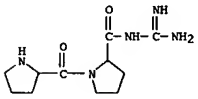
L4 ANSWER 9 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067521	A1	20040812	WO 2004-JP708	20040127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
CA 2514573	AA	20040812	CA 2004-2514573	20040127
US 2004259923	A1	20041223	US 2004-764529	20040127
US 7125901	B2	20061024		
EP 1587800	A1	20051026	EP 2004-705519	20040127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1761655	A	20060419	CN 2004-80007682	20040127
JP 2006516611	T2	20060706	JP 2006-502657	20040127
US 2006128770	A1	20060615	US 2006-345492	20060202
PRIORITY APPLN. INFO.:			US 2003-442509P	P 20030127
			US 2003-458369P	P 20030331
			US 2003-517377P	P 20031106
			US 2004-764529	A3 20040127
			WO 2004-JP708	W 20040127

OTHER SOURCE(S): MARPAT 141:190785
IT 737826-42-5P, 4-[2-[2-(Acetylamino)-5-[4-(methylsulfonyl)benzyl]thiazol-4-yl]ethyl]-N-[amino(imino)methyl]benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VAP-1 inhibitor; preparation of thiazole derivs. as VAP-1 inhibitors for treatment of macular edema and other VAP-1 associated diseases)
RN 737826-42-5 HCAPLUS
CN Benzamide, 4-[2-[2-(acetylamino)-5-[[4-(methylsulfonyl)phenyl]methyl]-4-thiazolyl]ethyl]-N-(aminoiminomethyl)- (9CI) (CA INDEX NAME)

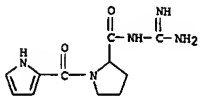


L4 ANSWER 10 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 03 Aug 2004
AB A new spontaneous oxidative transformation of the associated pyrrole-proline-guanidine to the natural marine pyrrole 2-aminoimidazolinone derivative has been achieved. The sensitive reaction requires air oxygen and the N-acylation of proline by pyrrole-2-carboxylic acid. Proline metabolism and pyrrole 2-aminoimidazole secondary metabolites formation seem to be related and are utilized by sponges under stress conditions for their defense against predators. A plausible stress-induced oxidative chemical pathway that establishes dispacamide derivs. as the forerunners in the biogenetic synthesis of the key pyrrole 2-aminoimidazole oroidin is proposed. The mechanism of the reaction seems to be another development of the known luciferins' chemiluminescent reactions in bioluminescent marine organisms.
ACCESSION NUMBER: 2004:617759 HCAPLUS
DOCUMENT NUMBER: 141:292524
TITLE: A likely biogenetic gateway linking 2-aminoimidazolinone metabolites of sponges to proline: Spontaneous oxidative conversion of the pyrrole-proline-guanidine pseudo-peptide to dispacamide A
AUTHOR(S): Travert, Nathalie; Al-Mourabit, Ali
CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SOURCE: Journal of the American Chemical Society (2004), 126(33), 10252-10253
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 761426-38-4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(spontaneous oxidative conversion of pyrrole-proline-guanidine pseudo-peptide to dispacamide A in sponge)
RN 761426-38-4 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(2-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)



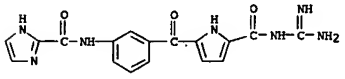
IT 761426-40-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(spontaneous oxidative conversion of pyrrole-proline-guanidine pseudo-peptide to dispacamide A in sponge)
RN 761426-40-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(1H-pyrrol-2-ylcarbonyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Jul 2004
AB In the presence of chloride anions cation 1 dimerizes in DMSO with a surprisingly high association constant of > 103 M-1 whereas the addition of picrate
disrupts these dimers by formation of even more stable discrete π-stacked ion pairs.
ACCESSION NUMBER: 2004:601314 HCAPLUS
DOCUMENT NUMBER: 141:295581
TITLE: Dimerization of a guanidiniocarbonyl pyrrole cation in DMSO that can be controlled by the counteranion
AUTHOR(S): Schmuck, Carsten; Geiger, Lars
CORPORATE SOURCE: Institut fur Organische Chemie, Universitaet Wuerzburg, Wuerzburg, Germany
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2004), (15), 1698-1699
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 764660-74-4
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(dimerization of guanidiniocarbonylpyrrole cation in DMSO that can be controlled by the counteranion)
RN 764660-74-4 HCAPLUS
CN 1H-Imidazole-2-carboxamide, N-[3-[[5-[[(aminoiminomethyl)amino]carbonyl]-1H-pyrrol-2-yl]carbonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



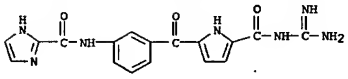
● HCl

IT 764660-75-5
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(disruption of self-aggregation by addition of; dimerization of guanidiniocarbonylpyrrole cation in DMSO that can be controlled by the counteranion)
RN 764660-75-5 HCAPLUS
CN 1H-Imidazole-2-carboxamide, N-[3-[[5-[[(aminoiminomethyl)amino]carbonyl]-1H-pyrrol-2-yl]carbonyl]phenyl]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

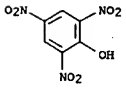
CRN 745819-58-3
CMF C17 H15 N7 O3

L4 ANSWER 11 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



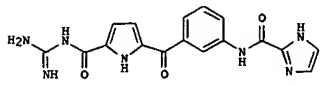
CM 2

CRN 88-89-1
CMF C6 H3 N3 O7



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 01 Jul 2004
GI



I

AB A new dipeptide receptor, guanidinocarbonylpyrrole derivative I, efficiently binds dipeptides (Ac-Gly-Gly-OH, Ac-Ala-Ala-OH, Ac-Val-Ala-OH, Ac-Val-Val-OH) in water with Kass > 104 M-1 by a combination of ion pairing and hydrogen bonds as observed by UV-titration and NMR expts.

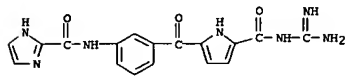
ACCESSION NUMBER: 2004:525415 HCAPLUS
DOCUMENT NUMBER: 141:225819
TITLE: Dipeptide Binding in Water by a de Novo Designed Guanidiniocarbonylpyrrole Receptor
AUTHOR(S): Schmuck, Carsten; Geiger, Lars
CORPORATE SOURCE: Institute of Organic Chemistry, University of Wuerzburg, Wuerzburg, 97074, Germany
SOURCE: Journal of the American Chemical Society (2004), 126(29), 8898-8899
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:225819

IT 745819-64-1
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(calculated structure for the binding of a dipeptide by guanidiniocarbonylpyrrole receptor)

RN 745819-64-1 HCAPLUS
CN L-Valine, N-acetyl-L-valyl-, compd. with N-[3-[[5-[[(aminoiminomethyl)amino]carbonyl]-1H-pyrrol-2-yl]carbonyl]phenyl]-1H-imidazole-2-carboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 745819-58-3
CMF C17 H15 N7 O3

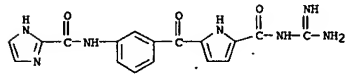


L4 ANSWER 12 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of a guanidinocarbonylpyrrole deriv. as an artificial receptor that binds dipeptides in water)

RN 764660-75-5 HCAPLUS
CN 1H-Imidazole-2-carboxamide, N-[3-[[5-[[(aminoiminomethyl)amino]carbonyl]-1H-pyrrol-2-yl]carbonyl]phenyl]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

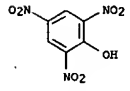
CM 1

CRN 745819-58-3
CMF C17 H15 N7 O3



CM 2

CRN 88-89-1
CMF C6 H3 N3 O7

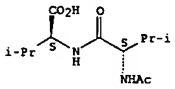


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CM 2

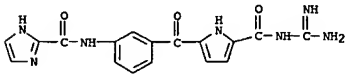
CRN 41487-04-1
CMF C12 H22 N2 O4

Absolute stereochemistry.



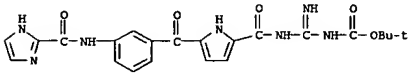
IT 745819-58-3P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(preparation of a guanidinocarbonylpyrrole derivative as an artificial receptor that binds dipeptides in water)

RN 745819-58-3 HCAPLUS
CN 1H-Imidazole-2-carboxamide, N-[3-[[5-[[(aminoiminomethyl)amino]carbonyl]-1H-pyrrol-2-yl]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



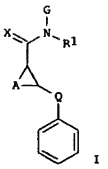
IT 745819-57-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of a guanidinocarbonylpyrrole derivative as an artificial receptor that binds dipeptides in water)

RN 745819-57-2 HCAPLUS
CN Carbamic acid, [[[[5-[3-[(1H-imidazol-2-ylcarbonyl)amino]benzoyl]-1H-pyrrol-2-yl]carbonyl]amino]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 764660-75-5P
RL: SPN (Synthetic preparation); PREP (Preparation)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Jun 2004
GI



I

AB Title compds. [I; X = O, S; G = C(:NR3)NR2L2NR4R5, C(NR3)NR2L3R14, etc.; L2 = (substituted) (interrupted) alkylidene which may form part of a 3-7 membered ring; L3 = bond, L2; R1 = H, aliphatyl; R2 = H, aliphatyl, aryl, aralkyl, electron pair; R3 = H, aliphatyl, aryl, aralkyl; R4 = H, aliphatyl, aliphatylcarbonyl, aryl, heteroaryl, aralkyl, heteroaralkyl, etc.; R5 = H, aliphatyl; R4R5N = (substituted) mono-, bi- or tricyclic ring; A = atoms to form a (substituted) 5-membered heteroaryl, 6-membered aryl ring; R14 = H, aliphatyl, aryl, heteroaryl, aralkyl, heteroaralkyl, heterocyclyl; NR3R14 = (substituted) mono-, bi-, or tricyclic (aromatic) heterocyclyl; Q = (substituted) (interrupted) alkylidene; Ph ring attached to Q may be substituted], were prepared as melanocortin MC4 receptor antagonists (no data). Thus, 1-[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]-2-methylisothiourea, Et3N, and 1-(3-aminopropyl)-2-pipecoline were refluxed in xylene to give N-[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]-N'-[3-(2-methylpiperidin-1-yl)propyl]guanidine as the bisformate salt.

ACCESSION NUMBER: 2004:493667 HCAPLUS
DOCUMENT NUMBER: 141:54200
TITLE: Preparation of aroylguanidines as melanocortin MC4 receptor antagonists.
INVENTOR(S): Vos, Tricia J.; Patane, Michael; Solomon, Michael E.; Blackburn, Christopher; Danca, Mihaela D.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050610	A2	20040617	WO 2003-US38369	20031204
WO 2004050610	A3	20040826		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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AU 2003297629	A1	20040623	AU 2003-297629		20031204
US 2004147746	A1	20040729	US 2003-727997		20031204
EP 1590338	A2	20051102	EP 2003-812495		20031204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006509014	T2	20060316	JP 2004-557520		20031204
PRIORITY APPLN. INFO.:			US 2002-430789P	P	20021204
			WO 2003-US38369	W	20031204

OTHER SOURCE(S): MARPAT 141:54200

IT 705977-44-2P 705977-45-3P 705977-46-4P
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L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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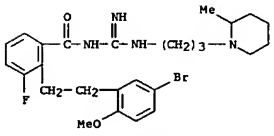
705979-82-4P

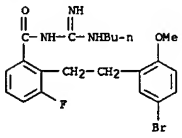
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acylguanidines as melanocortin MC4 receptor antagonists)

RN 705977-44-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(2-methyl-1-piperidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

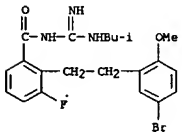


RN 705977-45-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(butylamino)iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

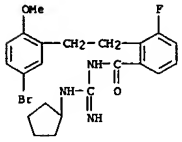
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-46-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(2-methylpropyl)amino]methyl]- (9CI) (CA INDEX NAME)

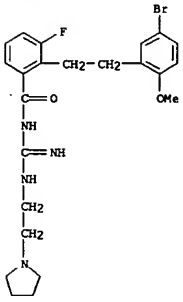


RN 705977-47-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(cyclopentylamino)iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

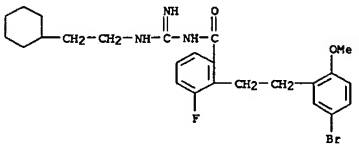


RN 705977-48-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

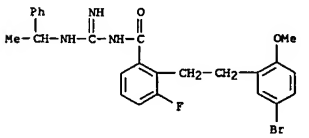
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-49-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[(2-cyclohexylethyl)amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

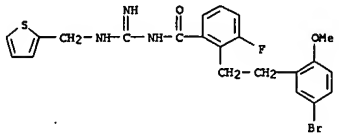


RN 705977-50-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(1-phenylethyl)amino]methyl]- (9CI) (CA INDEX NAME)

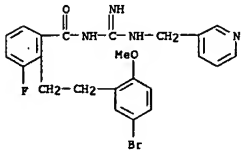


RN 705977-51-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(2-

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
thienylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

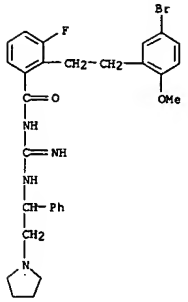


RN 705977-52-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(3-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

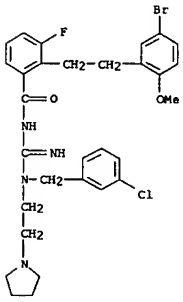


RN 705977-53-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[1-phenyl-2-(1-pyrrolidinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

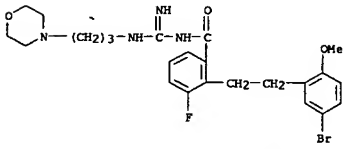


RN 705977-54-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[(3-chlorophenyl)methyl][2-(1-pyrrolidinyl)ethyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

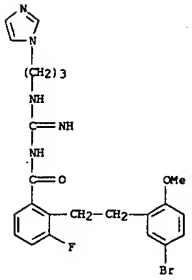


RN 705977-55-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(4-

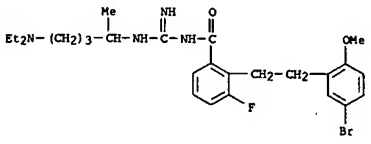
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
morpholinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705977-56-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

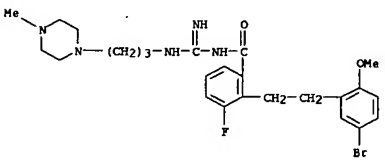


RN 705977-57-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

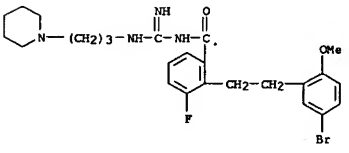


RN 705977-58-8 HCAPLUS

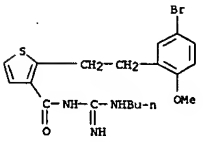
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(4-methyl-1-piperazinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705977-59-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(1-piperidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

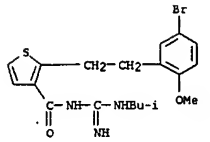


RN 705977-60-2 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(butylamino)iminomethyl]- (9CI) (CA INDEX NAME)

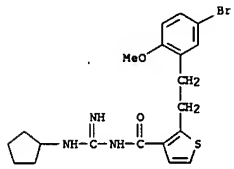


RN 705977-61-3 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[(2-methylpropyl)amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

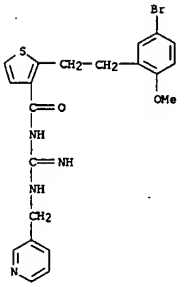


RN 705977-62-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(cyclopentylamino)iminomethyl]- (9CI) (CA INDEX NAME)

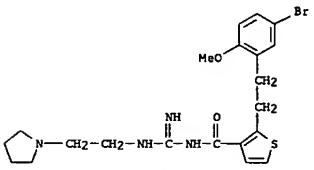


RN 705977-63-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[(3-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

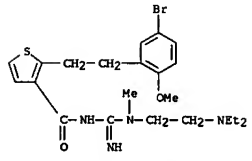


RN 705977-64-6 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

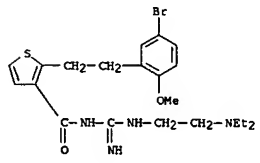


RN 705977-65-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[2-(diethylamino)ethyl]methylamino]iminomethyl]- (9CI) (CA INDEX NAME)

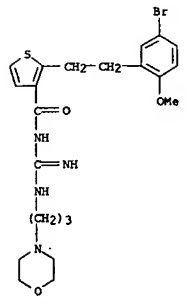
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-67-9 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[2-(diethylamino)ethyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

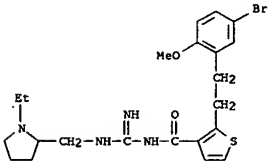


RN 705977-68-0 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(4-morpholinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

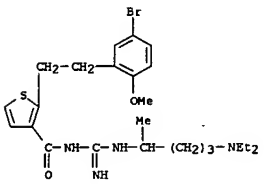


L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

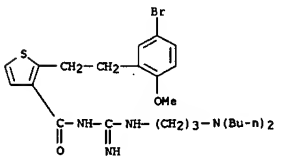
RN 705977-69-1 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[(1-ethyl-2-pyrrolidinyl)methyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)



RN 705977-70-4 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

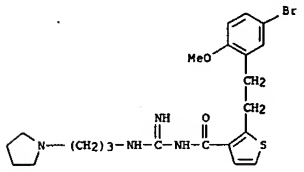


RN 705977-71-5 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(dibutylamino)propyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

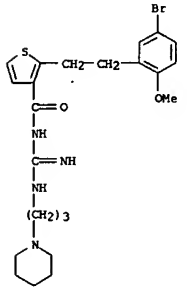


RN 705977-72-6 HCAPLUS

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(1-pyrrolidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

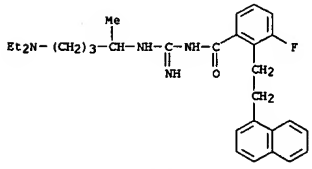


RN 705977-73-7 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(1-piperidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

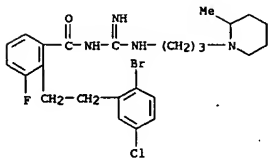


RN 705977-74-8 HCAPLUS
CN 3-Thiophenecarboxamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

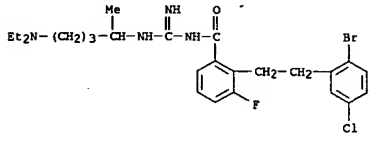
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2-[2-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 705977-82-8 HCAPLUS
CN Benzamide, 2-[2-(2-bromo-5-chlorophenyl)ethyl]-3-fluoro-N-[imino[[3-(2-methyl-1-piperidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

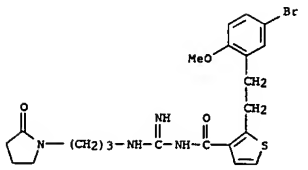


RN 705977-83-9 HCAPLUS
CN Benzamide, 2-[2-(2-bromo-5-chlorophenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

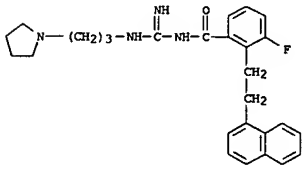


RN 705977-84-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[(methylamino)[[3-(1-pyrrolidinyl)propyl]amino]methylene]- (9CI) (CA INDEX NAME)

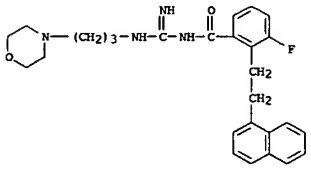
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-79-3 HCAPLUS
CN Benzamide, 3-fluoro-N-[imino[[3-(1-pyrrolidinyl)propyl]amino]methyl]-2-[2-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

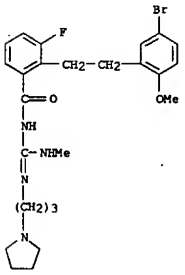


RN 705977-80-6 HCAPLUS
CN Benzamide, 3-fluoro-N-[imino[[3-(4-morpholinyl)propyl]amino]methyl]-2-[2-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

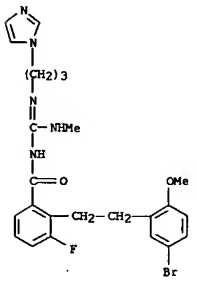


RN 705977-81-7 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

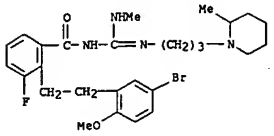


RN 705977-85-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino](methylamino)methylene]- (9CI) (CA INDEX NAME)

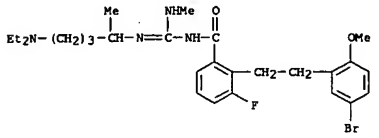


RN 705977-86-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[(methylamino)[[3-(2-methyl-1-piperidinyl)propyl]amino]methylene]- (9CI) (CA INDEX NAME)

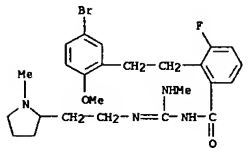
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-87-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino](methylamino)methylene]-3-fluoro- (9CI) (CA INDEX NAME)

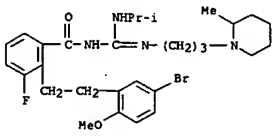


RN 705977-88-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[(methylamino)[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]methylene]- (9CI) (CA INDEX NAME)

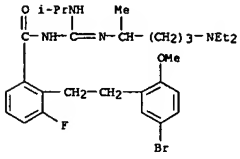


RN 705977-89-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[(1-methylethyl)amino][[3-(2-methyl-1-piperidinyl)propyl]amino]methylene]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

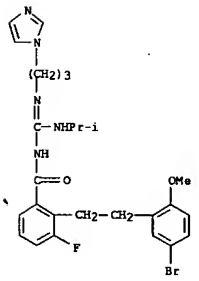


RN 705977-90-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino][(1-methylethyl)amino]methylene]-3-fluoro- (9CI) (CA INDEX NAME)

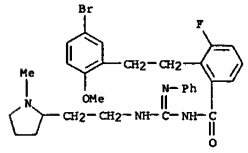


RN 705977-91-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino][(1-methylethyl)amino]methylene]- (9CI) (CA INDEX NAME)

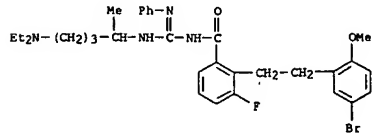
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705977-92-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino](phenylamino)methylene]- (9CI) (CA INDEX NAME)

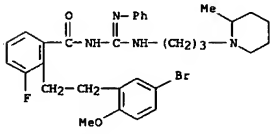


RN 705977-93-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino](phenylamino)methylene]-3-fluoro- (9CI) (CA INDEX NAME)

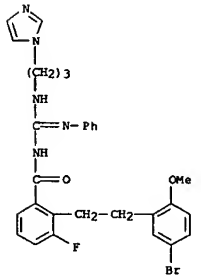


RN 705977-94-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(2-methyl-

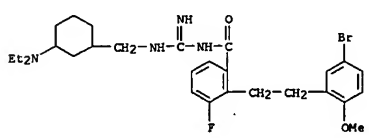
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1-piperidinyl)propyl]amino](phenylamino)methylene]- (9CI) (CA INDEX NAME)



RN 705977-95-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino](phenylamino)methylene]- (9CI) (CA INDEX NAME)

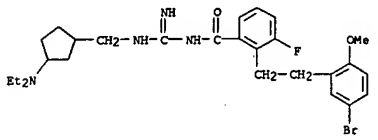


RN 705977-96-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[[3-(diethylamino)cyclohexyl]methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

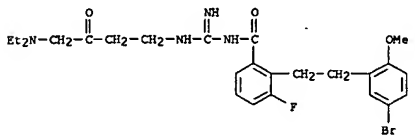


RN 705977-97-5 HCAPLUS

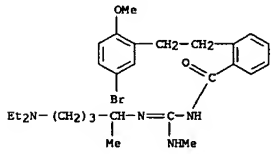
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[[3-(diethylamino)cyclopentyl]methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 705977-98-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-3-oxobutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

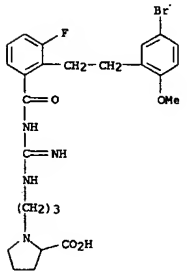


RN 705978-02-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino](methylamino)methylene]- (9CI) (CA INDEX NAME)

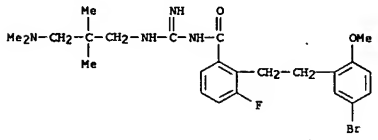


RN 705978-03-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[[4-(diethylamino)cyclohexyl]methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
fluorobenzoyl]amino]iminomethyl]amino]propyl]- (9CI) (CA INDEX NAME)

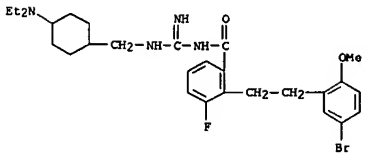


RN 705978-12-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(dimethylamino)-2,2-dimethylpropyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

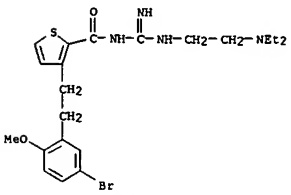


RN 705978-13-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-oxo-3-(1-pyrrolidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

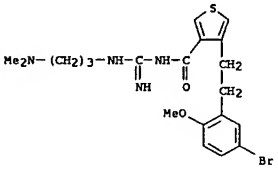
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-07-0 HCAPLUS
CN 2-Thiophenecarboxamide, 3-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[2-(diethylamino)ethyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)



RN 705978-08-1 HCAPLUS
CN 3-Thiophenecarboxamide, 4-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(dimethylamino)propyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)



RN 705978-11-6 HCAPLUS
CN Proline, 1-[3-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-

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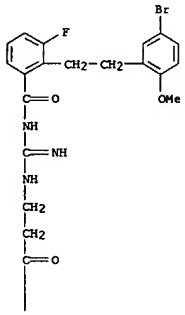

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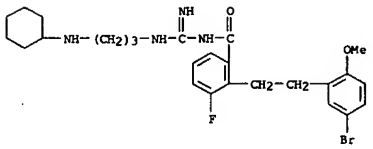
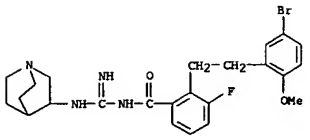
RN 705978-14-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(cyclohexylamino)propyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

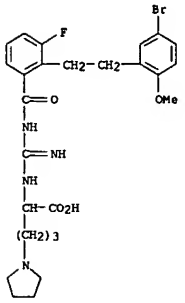


RN 705978-15-0 HCAPLUS
CN Benzamide, N-[(1-azabicyclo[2.2.2]oct-3-ylamino)iminomethyl]-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

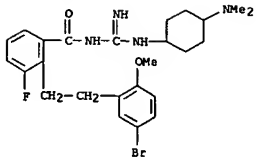


RN 705978-16-1 HCAPLUS
CN 1-Pyrrolidinepentanoic acid, α-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]- (9CI) (CA INDEX NAME)



RN 705978-17-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(dimethylamino)cyclohexyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-18-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[2-oxo-3-(1-pyrrolidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

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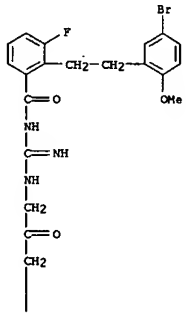

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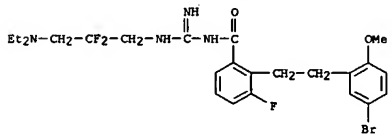
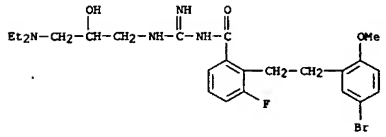
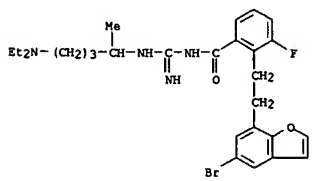
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 705978-20-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(diethylamino)-2,2-difluoropropyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 705978-21-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(diethylamino)-2-hydroxypropyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

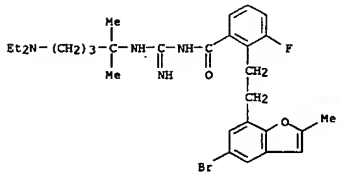


RN 705978-22-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

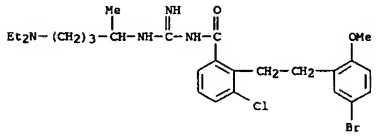


RN 705978-23-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methyl-7-benzofuranyl)ethyl]-N-[[[4-(diethylamino)-1,1-dimethylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

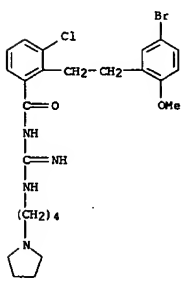
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-24-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

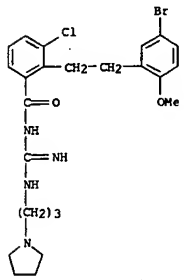


RN 705978-25-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[[4-(1-pyrrolidinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)

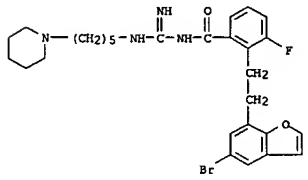


RN 705978-26-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[[3-(1-

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyrrolidinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

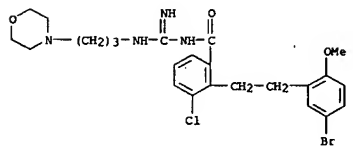


RN 705978-27-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[[5-(1-piperidinyl)pentyl]amino]methyl]- (9CI) (CA INDEX NAME)

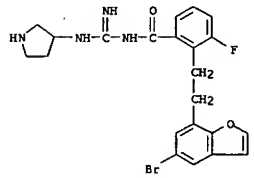


RN 705978-28-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[[5-(1-pyrrolidinyl)pentyl]amino]methyl]- (9CI) (CA INDEX NAME)

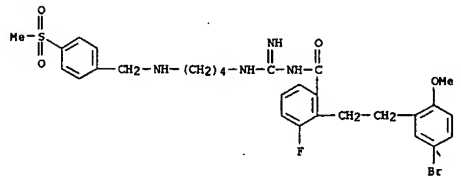
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-32-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino(3-pyrrolidinylamino)methyl]- (9CI) (CA INDEX NAME)



RN 705978-33-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[[[4-(methylsulfonyl)phenyl]methyl]amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705978-34-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[(1-methylethyl)(phenylmethyl)amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

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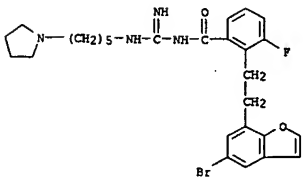
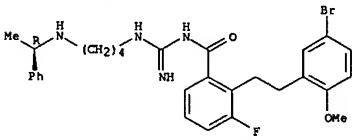


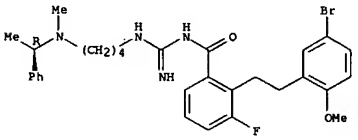
RN 705978-29-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[[(1R)-1-phenylethyl]amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 705978-30-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[methyl[(1R)-1-phenylethyl]amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 705978-31-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[[3-(4-morpholinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)

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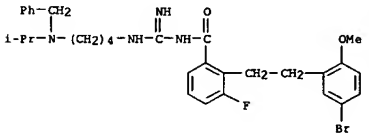
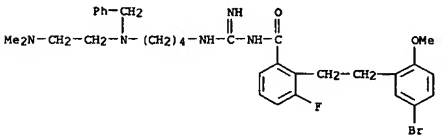


RN 705978-35-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-[[2-(dimethylamino)ethyl](phenylmethyl)amino]butyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 705978-36-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[methyl(1-naphthalenylmethyl)amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

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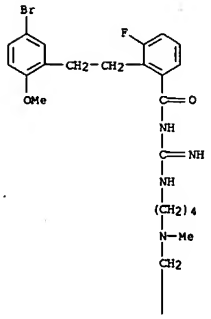

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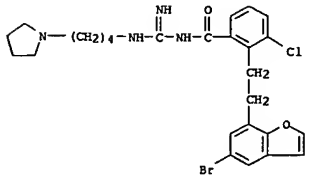
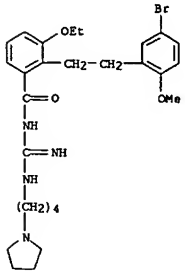
RN 705978-37-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-chloro-N-[imino[[4-(1-pyrrolidinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

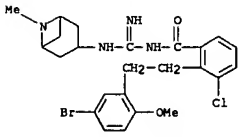


RN 705978-38-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-ethoxy-N-[imino[[4-(1-pyrrolidinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)

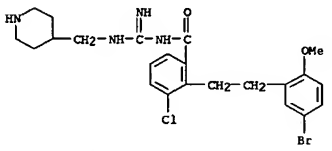


RN 705978-39-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[(6-methyl-6-azabicyclo[3.1.1]hept-3-yl)amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-40-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[(4-piperidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 705978-41-2 HCAPLUS
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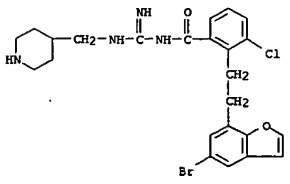
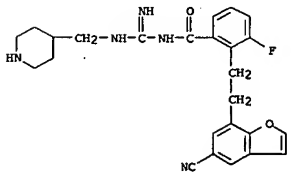
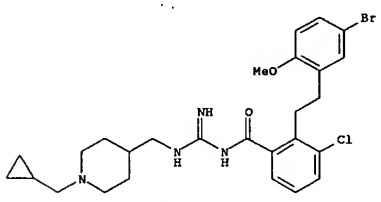


RN 705978-42-3 HCAPLUS
CN Benzamide, 2-[2-(5-cyano-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[(4-piperidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

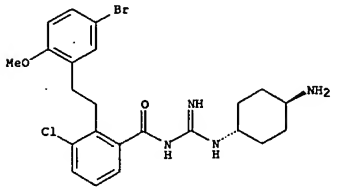


RN 705978-43-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[[[[1-(cyclopropylmethyl)-4-piperidinyl]methyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)



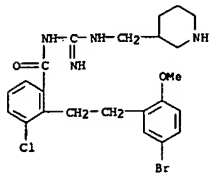
RN 705978-44-5 HCAPLUS
CN Benzamide, N-[[(trans-4-aminocyclohexyl)amino]iminomethyl]-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

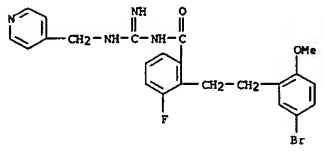


RN 705978-45-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[(3-

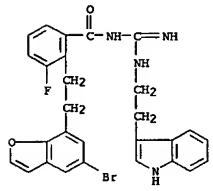
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
piperidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 705978-46-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(4-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

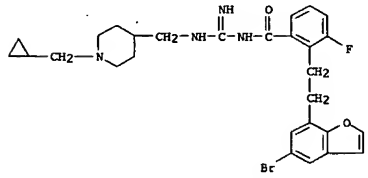


RN 705978-47-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[[2-(1H-indol-3-yl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

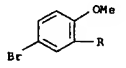


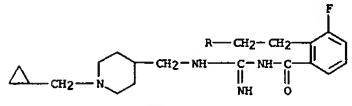
RN 705978-48-9 HCAPLUS

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-N-[[[[1-(cyclopropylmethyl)-4-piperidinyl]methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

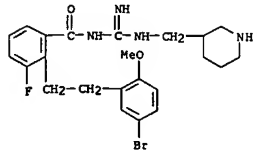


RN 705978-52-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[[1-(cyclopropylmethyl)-4-piperidinyl]methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)



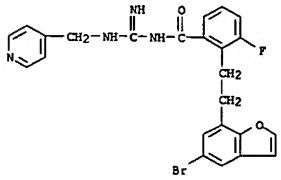


RN 705978-53-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(3-piperidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

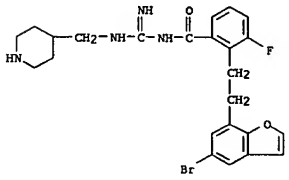


RN 705978-54-7 HCAPLUS

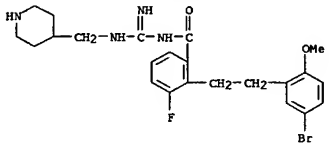
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[(4-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 705978-49-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[(4-piperidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

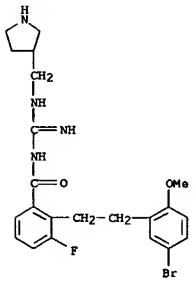


RN 705978-50-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(4-piperidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

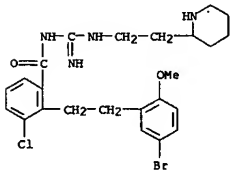


RN 705978-51-4 HCAPLUS

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(3-pyrrolidinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)

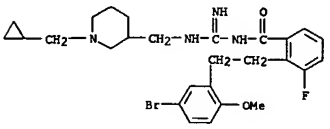


RN 705978-55-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[[2-(2-piperidinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

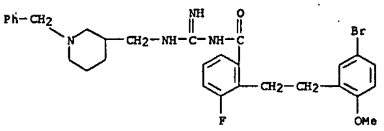


RN 705978-56-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[[1-(cyclopropylmethyl)-3-piperidinyl]methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

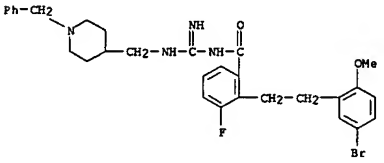
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-57-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[[1-(phenylmethyl)-3-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)



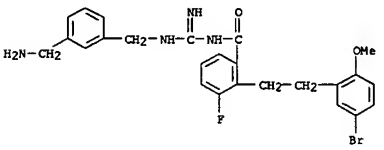
RN 705978-58-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[[1-(phenylmethyl)-4-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705978-59-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[(trans-4-hydroxycyclohexyl)amino]iminomethyl]- (9CI) (CA INDEX NAME)

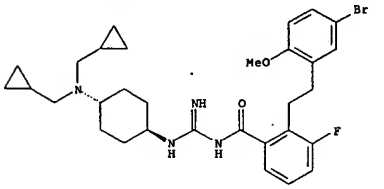
Relative stereochemistry.

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, N-[[[[3-(aminomethyl)phenyl]methyl]amino]iminomethyl]-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)



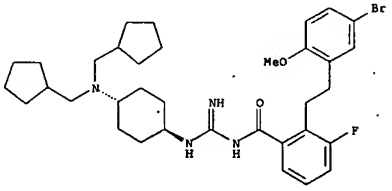
RN 705978-63-8 HCAPLUS
CN Benzamide, N-[[[trans-4-[bis(cyclopropylmethyl)amino]cyclohexyl]amino]iminomethyl]-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)

Relative stereochemistry.

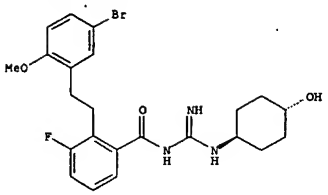


RN 705978-64-9 HCAPLUS
CN Benzamide, N-[[[trans-4-[bis(cyclopentylmethyl)amino]cyclohexyl]amino]iminomethyl]-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)

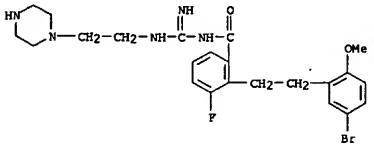
Relative stereochemistry.



L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

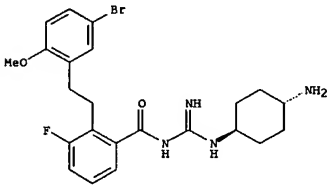


RN 705978-60-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[2-(1-piperazinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705978-61-6 HCAPLUS
CN Benzamide, N-[[(trans-4-aminocyclohexyl)amino]iminomethyl]-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)

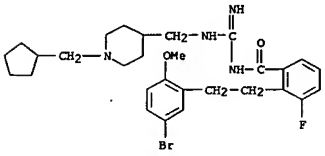
Relative stereochemistry.



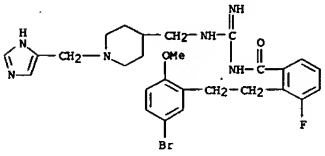
RN 705978-62-7 HCAPLUS

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

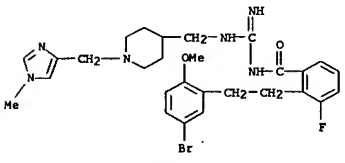
RN 705978-65-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[[1-(cyclopentylmethyl)-4-piperidinyl]methyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 705978-66-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[[1-(1H-imidazol-4-ylmethyl)-4-piperidinyl]methyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

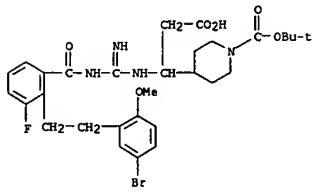


RN 705978-67-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[[1-[(1-methyl-1H-imidazol-4-yl)methyl]-4-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)

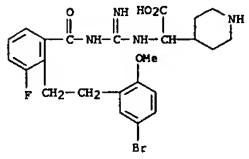


RN 705978-68-3 HCAPLUS

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 4-Piperidinepropanoic acid, β-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

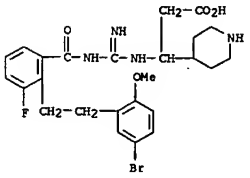


RN 705978-69-4 HCAPLUS
CN 4-Piperidineacetic acid, α-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]- (9CI) (CA INDEX NAME)

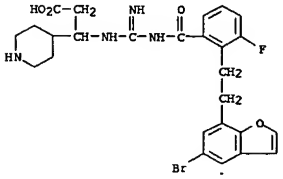


RN 705978-70-7 HCAPLUS
CN 4-Piperidinepropanoic acid, β-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]- (9CI) (CA INDEX NAME)

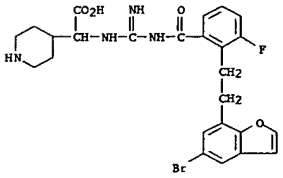
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-71-8 HCAPLUS
CN 4-Piperidinepropanoic acid, β-[[[[2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]- (9CI) (CA INDEX NAME)

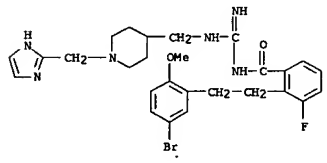


RN 705978-72-9 HCAPLUS
CN 4-Piperidineacetic acid, α-[[[[2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]- (9CI) (CA INDEX NAME)

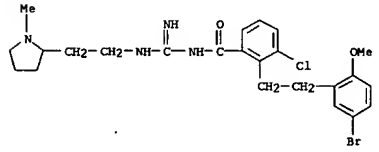


RN 705978-73-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[[1-(1H-

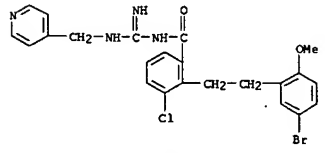
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
imidazol-2-ylmethyl)-4-piperidinyl]methyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)



RN 705978-74-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]methyl]- (9CI) (CA INDEX NAME)



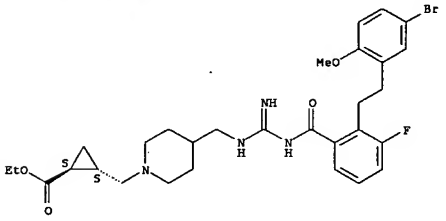
RN 705978-76-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-chloro-N-[imino[(4-pyridinylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



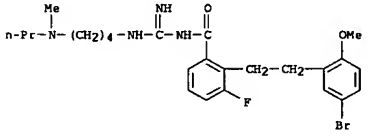
RN 705978-77-4 HCAPLUS
CN Cyclopropanecarboxylic acid, 2-[[4-[[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]methyl]-1-piperidinyl]methyl]-, ethyl ester, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

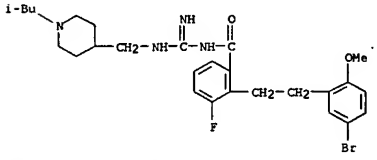
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-78-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-(methylpropylamino)butyl]amino]methyl]- (9CI) (CA INDEX NAME)

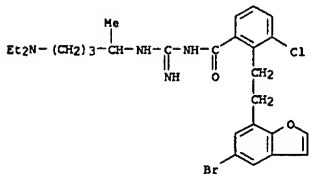


RN 705978-79-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[[1-(2-methylpropyl)-4-piperidinyl]methyl]amino]methyl]- (9CI) (CA INDEX NAME)

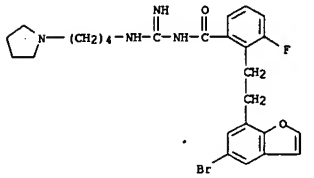


RN 705978-80-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-chloro-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

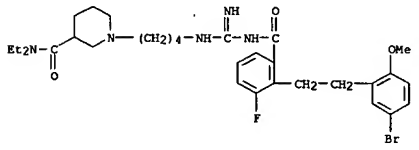
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-81-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[[4-(1-pyrrolidinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 705978-82-1 HCAPLUS
CN 3-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

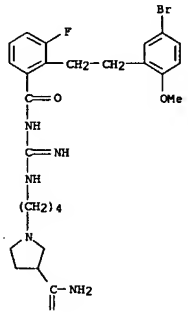


RN 705978-83-2 HCAPLUS
CN 3-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-N-cyclopentyl- (9CI) (CA

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

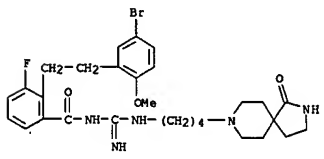
RN 705978-86-5 HCAPLUS
CN 3-Pyrrolidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

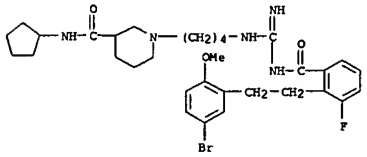


PAGE 2-A

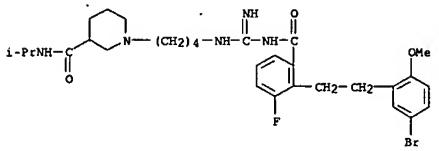
RN 705978-87-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-(1-oxo-2,8-diazaspiro[4.5]dec-8-yl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

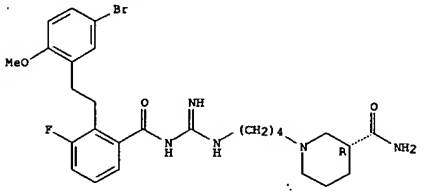


RN 705978-84-3 HCAPLUS
CN 3-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 705978-85-4 HCAPLUS
CN 3-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-, (3R)- (9CI) (CA INDEX NAME)

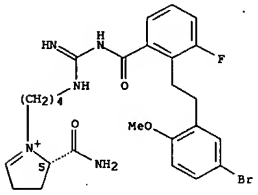
Absolute stereochemistry.



L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

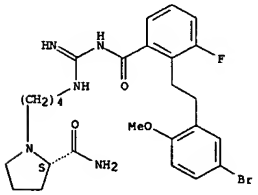
RN 705978-88-7 HCAPLUS
CN 2H-Pyrrolium, 2-(aminocarbonyl)-1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-3,4-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 705978-89-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-, (2S)- (9CI) (CA INDEX NAME)

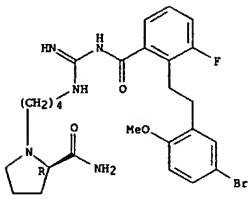
Absolute stereochemistry.



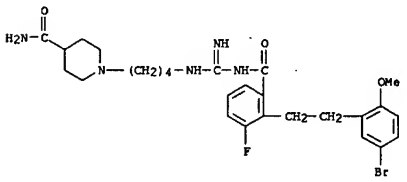
RN 705978-90-1 HCAPLUS
CN 2-Pyrrolidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



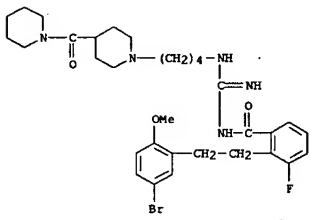
RN 705978-91-2 HCAPLUS
CN 4-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]- (9CI) (CA INDEX NAME)



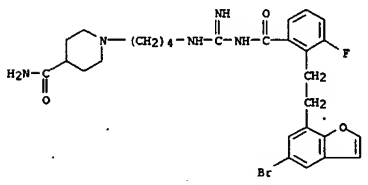
RN 705978-92-3 HCAPLUS
CN 2-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

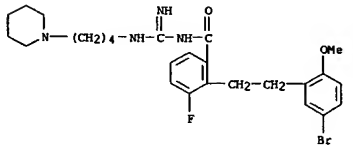
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705978-95-6 HCAPLUS
CN 4-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]- (9CI) (CA INDEX NAME)

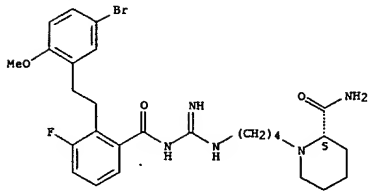


RN 705978-96-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-(1-piperidinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)

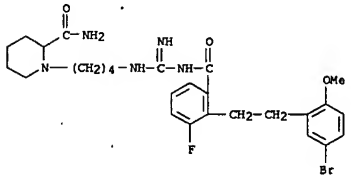


RN 705978-97-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

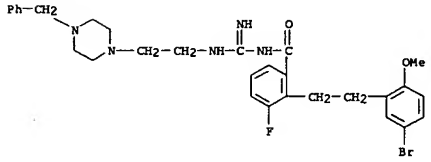


RN 705978-93-4 HCAPLUS
CN 2-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]- (9CI) (CA INDEX NAME)



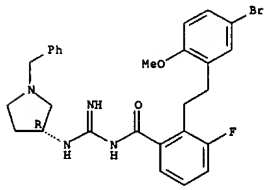
RN 705978-94-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[4-(1-piperidinylcarbonyl)-1-piperidinyl]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

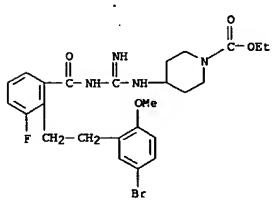


RN 705978-98-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[(3R)-1-(phenylmethyl)-3-pyrrolidinyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

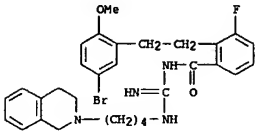


RN 705978-99-0 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

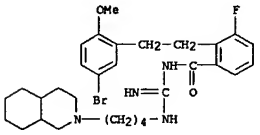


RN 705979-00-6 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(3,4-dihydro-2(1H)-isoquinolinyl)butyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

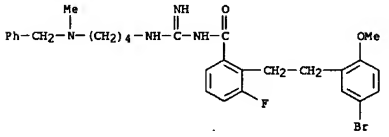
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705979-01-7 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-(octahydro-2(1H)-isoquinolinyl)butyl]amino]methyl]- (9CI) (CA INDEX NAME)



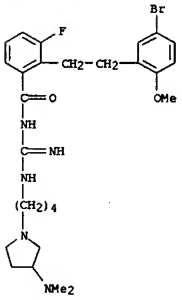
RN 705979-02-8 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[methyl(phenylmethyl)amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)



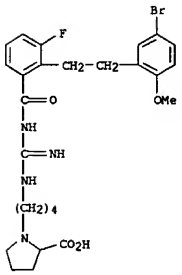
RN 705979-03-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[methyl[(1S)-1-phenylethyl]amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

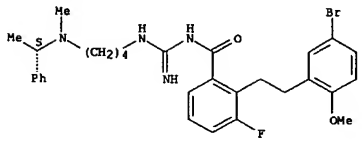


RN 705979-07-3 HCAPLUS
CN Proline, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]- (9CI) (CA INDEX NAME)

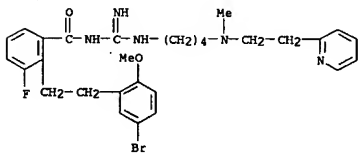


RN 705979-08-4 HCAPLUS
CN 3-Piperidinecarboxamide, 1-[4-[[[[2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluorobenzoyl]amino]iminomethyl]amino]butyl]- (9CI) (CA INDEX NAME)

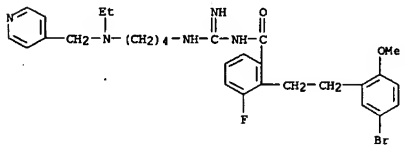
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705979-04-0 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[4-[methyl[2-(2-pyridinyl)ethyl]amino]butyl]amino]methyl]- (9CI) (CA INDEX NAME)

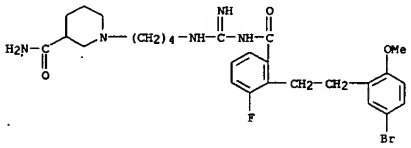


RN 705979-05-1 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-[ethyl(4-pyridinylmethyl)amino]butyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

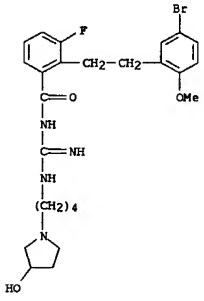


RN 705979-06-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-[3-(dimethylamino)-1-pyrrolidinyl]butyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



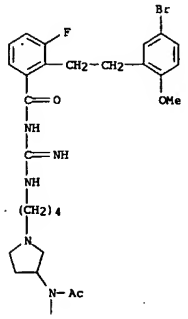
RN 705979-09-5 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[4-(3-hydroxy-1-pyrrolidinyl)butyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)



RN 705979-10-8 HCAPLUS
CN Benzamide, N-[[[4-[3-(acetylmethylamino)-1-pyrrolidinyl]butyl]amino]iminomethyl]-2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)

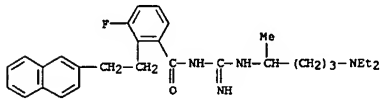
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



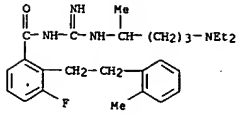
PAGE 2-A

RN 705979-11-9 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-(2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

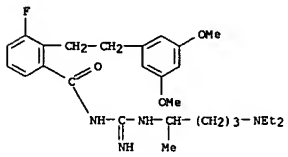


RN 705979-12-0 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-(2-methylphenyl)ethyl]- (9CI) (CA INDEX NAME)

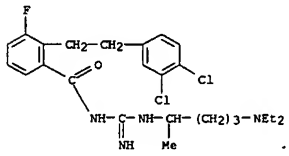
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705979-13-1 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-2-[2-(3,5-dimethoxyphenyl)ethyl]-3-fluoro- (9CI) (CA INDEX NAME)

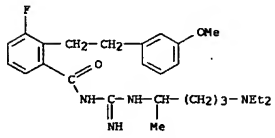


RN 705979-14-2 HCAPLUS
CN Benzamide, 2-[2-(3,4-dichlorophenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

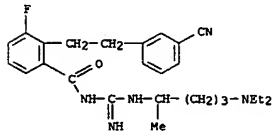


RN 705979-15-3 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-(3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

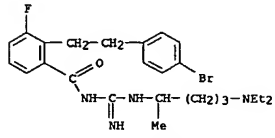
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



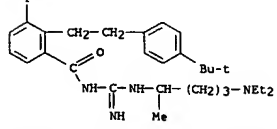
RN 705979-16-4 HCAPLUS
CN Benzamide, 2-[2-(3-cyanophenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 705979-17-5 HCAPLUS
CN Benzamide, 2-[2-(4-bromophenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro- (9CI) (CA INDEX NAME)

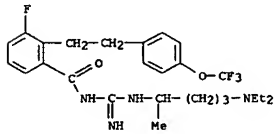


RN 705979-18-6 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-2-[2-[4-(1,1-dimethylethyl)phenyl]ethyl]-3-fluoro- (9CI) (CA INDEX NAME)

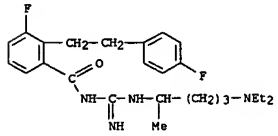


L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 705979-19-7 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-[4-(trifluoromethoxy)phenyl]ethyl]- (9CI) (CA INDEX NAME)



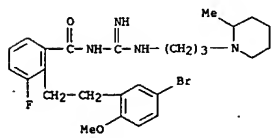
RN 705979-20-0 HCAPLUS
CN Benzamide, N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-(4-fluorophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 705979-31-3 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(2-methyl-1-piperidinyl)propyl]amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-44-2
CMF C26 H34 Br F N4 O2



CM 2

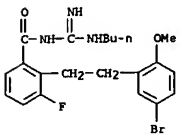
CRN 64-18-6

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C H2 O2

RN 705979-32-4 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(butylamino)iminomethyl]-3-fluorobenzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-45-3
CMF C21 H25 Br F N3 O2



CM 2

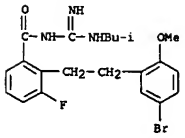
CRN 64-18-6
CMF C H2 O2

RN 705979-33-5 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(2-methylpropyl)amino]methyl]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-46-4
CMF C21 H25 Br F N3 O2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



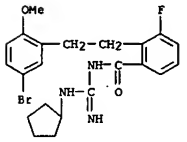
CM 2

CRN 64-18-6
CMF C H2 O2

RN 705979-34-6 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(cyclopentylamino)iminomethyl]-3-fluorobenzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-47-5
CMF C22 H25 Br F N3 O2



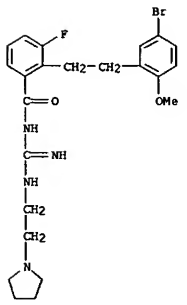
CM 2

CRN 64-18-6
CMF C H2 O2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 705979-35-7 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(2-(1-pyrrolidinyl)ethyl]amino]methyl]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-48-6
CMF C23 H28 Br F N4 O2



CM 2

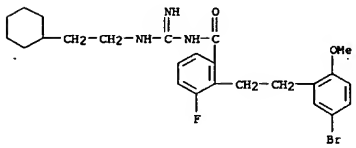
CRN 64-18-6
CMF C H2 O2

RN 705979-36-8 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[(2-cyclohexylethyl)amino]iminomethyl]-3-fluorobenzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-49-7
CMF C25 H31 Br F N3 O2

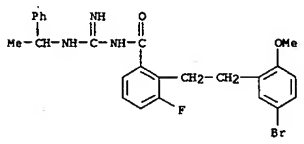
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 64-18-6
CMF C H2 O2

RN 705979-37-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-(imino[(1-phenylethyl)amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



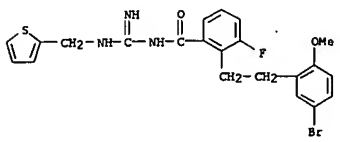
●2 HCl

RN 705979-38-0 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(2-thienylmethyl)amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-51-1
CMF C22 H21 Br F N3 O2 S

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



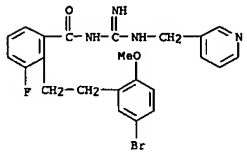
CM 2

CRN 64-18-6
CMF C H2 O2

RN 705979-39-1 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[(3-pyridinylmethyl)amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

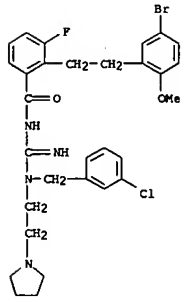
CRN 705977-52-2
CMF C23 H22 Br F N4 O2



CM 2

CRN 64-18-6
CMF C H2 O2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



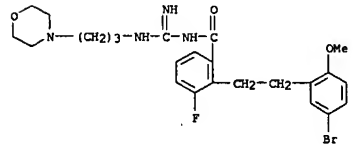
CM 2

CRN 64-18-6
CMF C H2 O2

RN 705979-42-6 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(4-morpholinyl)propyl]amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-55-5
CMF C24 H30 Br F N4 O3



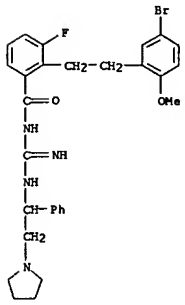
CM 2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 705979-40-4 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[1-phenyl-2-(1-pyrrolidinyl)ethyl]amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

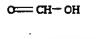
CM 1

CRN 705977-53-3
CMF C29 H32 Br F N4 O2



CM 2

CRN 64-18-6
CMF C H2 O2



RN 705979-41-5 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[(3-chlorophenyl)methyl][2-(1-pyrrolidinyl)ethyl]amino]iminomethyl]-3-fluorobenzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-54-4
CMF C30 H33 Br Cl F N4 O2

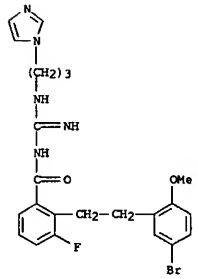
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CRN 64-18-6
CMF C H2 O2

RN 705979-43-7 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino]iminomethyl]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-56-6
CMF C23 H25 Br F N5 O2



CM 2

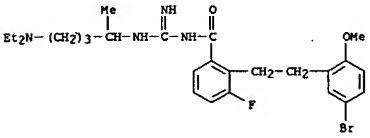
CRN 64-18-6
CMF C H2 O2

RN 705979-44-8 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluorobenzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-57-7

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C26 H36 Br F N4 O2



CM 2

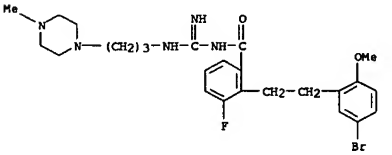
CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-45-9 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(4-methyl-1-piperazinyl)propyl]amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

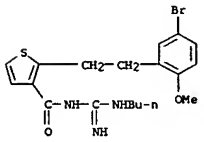
CRN 705977-58-8
CMF C25 H33 Br F N5 O2



CM 2

CRN 64-18-6
CMF C H2 O2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

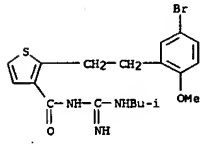
CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-48-2 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[(2-methylpropyl)amino]methyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-61-3
CMF C19 H24 Br N3 O2 S



CM 2

CRN 64-18-6
CMF C H2 O2

O=CH-OH

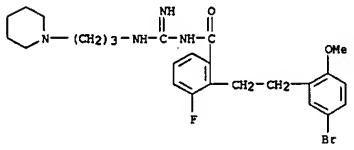
RN 705979-49-3 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(cyclopentylamino)iminomethyl]-3-thiophenecarboxamide (1:1) (9CI) (CA

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
O=CH-OH

RN 705979-46-0 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[imino[[3-(1-piperidinyl)propyl]amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-59-9
CMF C25 H32 Br F N4 O2



CM 2

CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-47-1 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[(butylamino)iminomethyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

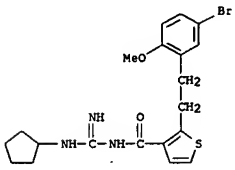
CM 1

CRN 705977-60-2
CMF C19 H24 Br N3 O2 S

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

CM 1

CRN 705977-62-4
CMF C20 H24 Br N3 O2 S



CM 2

CRN 64-18-6
CMF C H2 O2

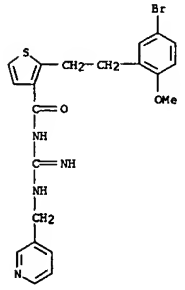
O=CH-OH

RN 705979-50-6 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[(3-pyridinylmethyl)amino]methyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-63-5
CMF C21 H21 Br N4 O2 S

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

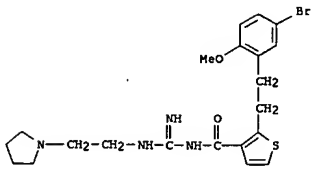
CRN 64-18-6
CMF C H2 O2

RN 705979-51-7 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-{imino[[2-(1-pyrrolidinyl)ethyl]amino]methyl}-3-thiophenecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-64-6
CMF C21 H27 Br N4 O2 S

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



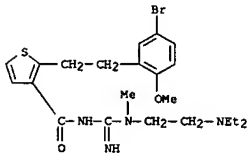
CM 2

CRN 64-18-6
CMF C H2 O2

RN 705979-52-8 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[2-(diethylamino)ethyl]methylamino]iminomethyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-65-7
CMF C22 H31 Br N4 O2 S



CM 2

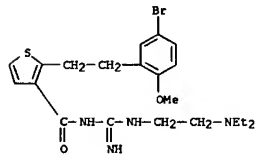
CRN 64-18-6
CMF C H2 O2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 705979-54-0 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[2-(diethylamino)ethyl]amino]iminomethyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-67-9
CMF C21 H29 Br N4 O2 S



CM 2

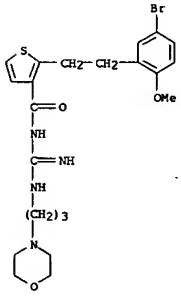
CRN 64-18-6
CMF C H2 O2

RN 705979-55-1 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(4-morpholinyl)propyl]amino]methyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-68-0
CMF C22 H29 Br N4 O3 S

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



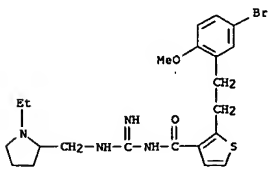
CM 2

CRN 64-18-6
CMF C H2 O2

RN 705979-56-2 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[(1-ethyl-2-pyrrolidinyl)methyl]amino]iminomethyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-69-1
CMF C22 H29 Br N4 O2 S



L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

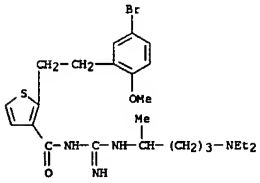
CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-57-3 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-thiophenecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-70-4
CMF C24 H35 Br N4 O2 S



CM 2

CRN 64-18-6
CMF C H2 O2

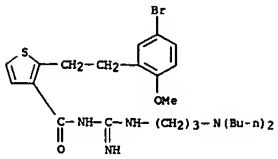
O=CH-OH

RN 705979-58-4 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[3-(dibutylamino)propyl]amino]iminomethyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-71-5
CMF C26 H39 Br N4 O2 S

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

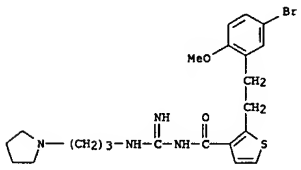
CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-59-5 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(1-pyrrolidinyl)propyl]amino]methyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-72-6
CMF C22 H29 Br N4 O2 S



CM 2

CRN 64-18-6
CMF C H2 O2

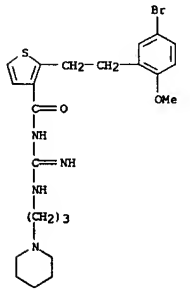
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

O=CH-OH

RN 705979-60-8 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(1-piperidinyl)propyl]amino]methyl]-3-thiophenecarboxamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-73-7
CMF C23 H31 Br N4 O2 S



CM 2

CRN 64-18-6
CMF C H2 O2

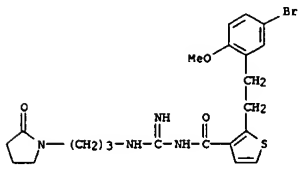
O=CH-OH

RN 705979-61-9 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[imino[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]methyl]-3-thiophenecarboxamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-74-8
CMF C22 H27 Br N4 O3 S

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

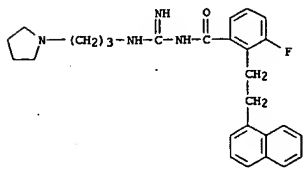
CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-66-4 HCAPLUS
CN Formic acid, compd. with 3-fluoro-N-[imino[[3-(1-pyrrolidinyl)propyl]amino]methyl]-2-[2-(1-naphthalenyl)ethyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-79-3
CMF C27 H31 F N4 O



CM 2

CRN 64-18-6
CMF C H2 O2

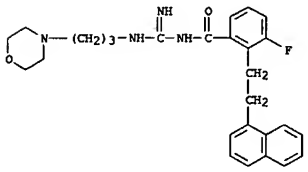
O=CH-OH

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 705979-67-5 HCAPLUS
CN Formic acid, compd. with 3-fluoro-N-[imino[[3-(4-morpholinyl)propyl]amino]methyl]-2-[2-(1-naphthalenyl)ethyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-80-6
CMF C27 H31 F N4 O2



CM 2

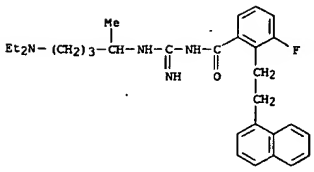
CRN 64-18-6
CMF C H2 O2

RN 705979-68-6 HCAPLUS
CN Formic acid, compd. with N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluoro-2-[2-(1-naphthalenyl)ethyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-81-7
CMF C29 H37 F N4 O

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



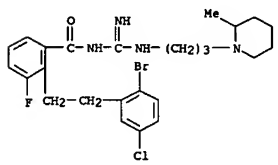
CM 2

CRN 64-18-6
CMF C H2 O2

RN 705979-69-7 HCAPLUS
CN Formic acid, compd. with 2-[2-(2-bromo-5-chlorophenyl)ethyl]-3-fluoro-N-[imino[[3-(2-methyl-1-piperidinyl)propyl]amino]methyl]benzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-82-8
CMF C25 H31 Br Cl F N4 O



CM 2

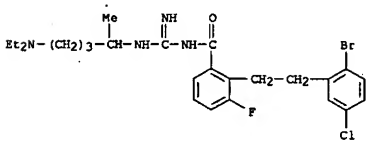
CRN 64-18-6
CMF C H2 O2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 705979-70-0 HCAPLUS
CN Formic acid, compd. with 2-[2-(2-bromo-5-chlorophenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino]iminomethyl]-3-fluorobenzamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-83-9
CMF C25 H33 Br Cl F N4 O

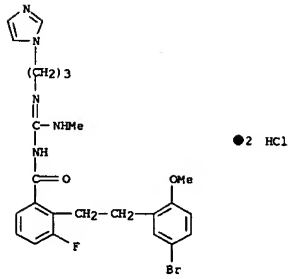


CM 2

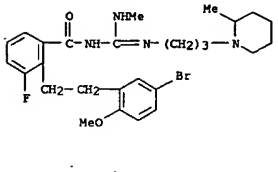
CRN 64-18-6
CMF C H2 O2

RN 705979-72-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino](methylamino)methylene]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

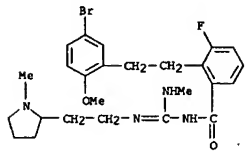


RN 705979-73-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[(methylamino)[[3-(2-methyl-1-piperidinyl)propyl]amino]methylene]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 705979-74-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[(methylamino)[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]methylene]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

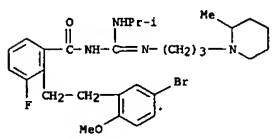


●2 HCl

RN 705979-75-5 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[(1-methylethyl)amino][[3-(2-methyl-1-piperidinyl)propyl]amino]methylene]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 705977-89-5
CMF C29 H40 Br F N4 O2



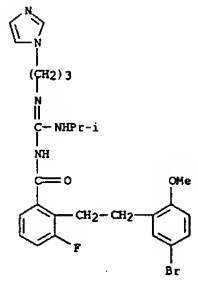
CM 2

CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-76-6 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino][(1-methylethyl)amino]methylene]-3-fluorobenzamide (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

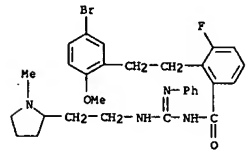
CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-78-8 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino](phenylamino)methylene]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

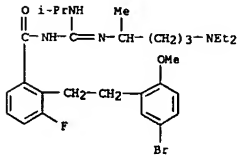
CRN 705977-92-0
CMF C30 H34 Br F N4 O2



CM 2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CM 1

CRN 705977-90-8
CMF C29 H42 Br F N4 O2



CM 2

CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 705979-77-7 HCAPLUS
CN Formic acid, compd. with 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino][(1-methylethyl)amino]methylene]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

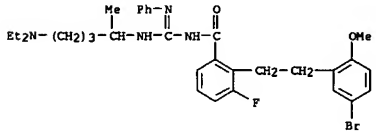
CRN 705977-91-9
CMF C26 H31 Br F N5 O2

L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CRN 64-18-6
CMF C H2 O2

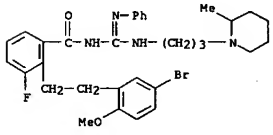
O=CH-OH

RN 705979-79-9 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-N-[[[4-(diethylamino)-1-methylbutyl]amino](phenylamino)methylene]-3-fluoro-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

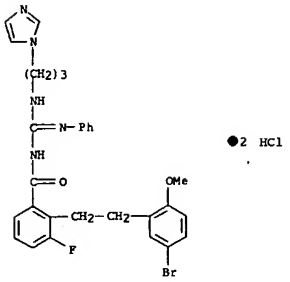
RN 705979-80-2 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(2-methyl-1-piperidinyl)propyl]amino](phenylamino)methylene]-, dihydrochloride (9CI) (CA INDEX NAME)



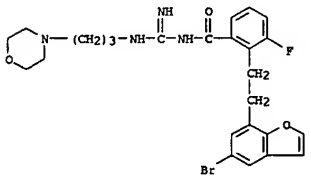
●2 HCl

RN 705979-81-3 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-2-methoxyphenyl)ethyl]-3-fluoro-N-[[[3-(1H-imidazol-1-yl)propyl]amino](phenylamino)methylene]-, dihydrochloride (9CI) (CA INDEX NAME)

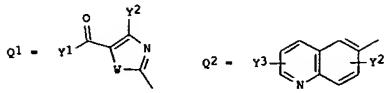
L4 ANSWER 13 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 705979-82-4 HCAPLUS
CN Benzamide, 2-[2-(5-bromo-7-benzofuranyl)ethyl]-3-fluoro-N-[imino[[3-(4-morpholinyl)propyl]amino]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 27 May 2004
GI



AB R1R2NC(:NH)NR4C(:R3)L [R1, R4 = H, (substituted) alkyl; R2 = Q1, Q2, etc.; W = O, S; Y1 = NHT12, OT7; Y2, Y3 = H, halo, OT7, alkyl, haloalkyl; R3 = O, S, N; L = (substituted) aryl, cycloalkyl, heterocyclo, heteroaryl; T7 = alkyl, hydroxyalkyl, alkoxyalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocyclo, heteroaryl, etc.; T12 = H, halo, cyano, NO2, OH, O, SH, (substituted) alkyl, hydroxyalkyl, alkoxyalkyl, alkenyl, alkynyl, heterocyclo, aryl, aralkyl, etc.], were prepared for treatment of psoriasis, asthma, inflammatory bowel disease, multiple sclerosis, juvenile diabetes, etc. (no data). Thus, 2-imino-4-thiobiuret and Et 2-chloroacetoacetate were heated in EtOH at 100° for 4 h to give 79% Et 2-[(aminoiminomethyl)amino]-4-methyl-5-thiazolecarboxylate. The latter was added to a mixture prepared from Na and EtOH followed by stirring for 30 min; di-Et terephthalate was added and the mixture was heated at 120-130° overnight to give 26% Et 2-[N'-(4-ethoxycarbonylbenzoyl)guanidino]-4-methylthiazole-5-carboxylate. The latter was saponified with LiOH in THF/H2O to give 12% Et 2-[N'-(4-carboxybenzoyl)guanidino]-4-methylthiazole-5-carboxylate, which was stirred with thiomorpholine, EDC, HOBt, and DIPEA in DMF to give 43% Et 4-methyl-2-[N'-4-(thiomorpholinecarbonylbenzoyl)guanidino]-4-methylthiazole-5-carboxylate.

ACCESSION NUMBER: 2004:430696 HCAPLUS
DOCUMENT NUMBER: 141:7106
TITLE: Preparation of thiazolylbenzoylguanidines for treatment of leukocyte activation-associated disorders.
INVENTOR(S): Kempson, James; Pitts, William J.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043362	A2	20040527	WO 2003-US35269	20031106
WO 2004043362	A3	20040812		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,

L4 ANSWER 14 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

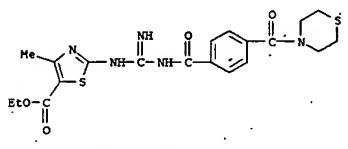
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003295402	A1	20040603	AU 2003-295402		20031106
US 2004132750	A1	20040708	US 2003-702934		20031106
US 7109224	B2	20060919			
PRIORITY APPLN. INFO.:			US 2002-424237P	P	20021106
			WO 2003-US35269	W	20031106

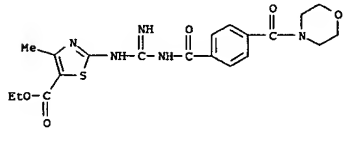
OTHER SOURCE(S): MARPAT 141:7106

IT 693809-53-9P 693809-54-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolylbenzoylguanidines for treatment of leukocyte activation-associated disorders)

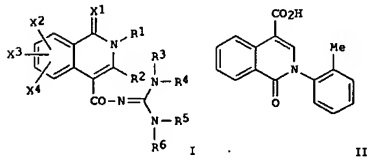
RN 693809-53-9 HCAPLUS
CN 5-Thiazolecarboxylic acid, 2-[[imino[[4-(4-thiomorpholinylcarbonyl)benzoyl]amino]methyl]amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



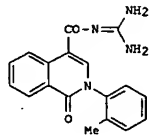
RN 693809-54-0 HCAPLUS
CN 5-Thiazolecarboxylic acid, 2-[[imino[[4-(4-morpholinylcarbonyl)benzoyl]amino]methyl]amino]-4-methyl-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Nov 2003
GI



I II



III

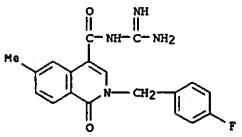
AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc.; X1 = H, O, S, etc.; X2, X3, X4 = H, halo, NO2, etc.; R3, R4, R5, R6 = H, alkyl, acyl, etc.] and their pharmaceutically acceptable salts were prepared For example, carbonyldiimidazole mediated coupling of isoquinoline II, e.g., prepared from homophthalic anhydride in 2-steps, and guanidine to afford guanidinocarbonylisoquinoline III. In reperfusion of isolated rat heart induced arrhythmia assays, 5-examples of compds. I reduced the duration of reperfusion by 3.14-12.86 min. compared to a control heart. Compds. I are claimed useful as antiarrythmic and cardioprotective agents.

ACCESSION NUMBER: 2003:897179 HCAPLUS
DOCUMENT NUMBER: 139:350643
TITLE: Preparation of guanidinocarbonylisoquinolines as antiarrythmic and cardioprotective agents
INVENTOR(S): Lal, Bansi; Gidwani, Motioram Ramesh; Gopalan, Ramanujam Raja; Panicker, Radha; Lang, Hans Jochen; Scholz, Wolfgang; Englert, Heinrich Christian
PATENT ASSIGNEE(S): Hoechst India Limited, India
SOURCE: Indian, 44 pp.
CODEN: INXXAP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 176361	A	19960511	IN 1992-BO205	19920630
PRIORITY APPLN. INFO.:			IN 1992-BO205	19920630

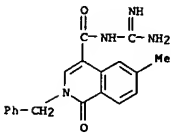
L4 ANSWER 15 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 139:350643
IT 156268-90-5P, 2-(4-Fluorophenylmethyl)-4-guanidinocarbonyl-6-methyl-1(2H)-isoquinolinone hydrochloride 156268-91-6P, 4-Guanidinocarbonyl-6-methyl-2-phenylmethyl-1(2H)-isoquinolinone hydrochloride 156268-92-7P, 2-(4-Chlorophenylmethyl)-4-guanidinocarbonyl-6-methyl-1(2H)-isoquinolinone hydrochloride 156268-95-0P, 2-(3,4-Dimethoxyphenylmethyl)-4-guanidinocarbonyl-6-methyl-1(2H)-isoquinolinone hydrochloride 156268-99-4P, 2-(3,4-Dichlorophenylmethyl)-4-guanidinocarbonyl-6-methyl-1(2H)-isoquinolinone hydrochloride 156269-24-8P 156269-29-3P , 2-(3,4-Dichlorobenzyl)-4-guanidinocarbonyl-1(2H)-isoquinolinone hydrochloride 156269-30-6P, 2-(4-Fluorobenzyl)-4-guanidinocarbonyl-1(2H)-isoquinolinone hydrochloride 156269-31-7P , 2-(4-Chlorobenzyl)-4-guanidinocarbonyl-1(2H)-isoquinolinone hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of guanidinocarbonylisoquinolines as antiarrythmic and cardioprotective agents)

RN 156268-90-5 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-fluorophenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



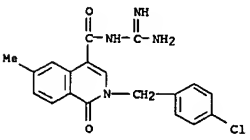
● HCl

RN 156268-91-6 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-1,2-dihydro-6-methyl-1-oxo-2-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



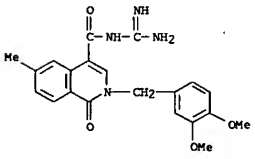
● HCl

RN 156268-92-7 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-chlorophenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



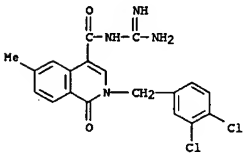
● HCl

RN 156268-95-0 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(3,4-dimethoxyphenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



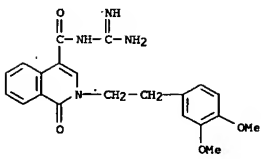
● HCl

RN 156268-99-4 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(3,4-dichlorophenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



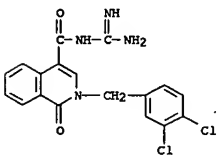
● HCl

RN 156269-24-8 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[2-(3,4-dimethoxyphenyl)ethyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

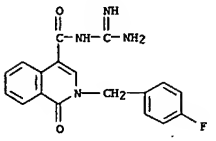
RN 156269-29-3 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(3,4-dichlorophenyl)methyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

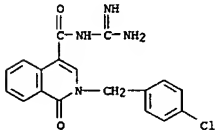
RN 156269-30-6 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-fluorophenyl)methyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



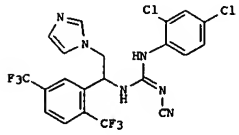
● HCl

RN 156269-31-7 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-chlorophenyl)methyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 16 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Jun 2003
GI



I

AB ZCH2CHRNHC(:NR1)NR2R3 [Z = heteroaryl; R = (un)substituted Ph; R1 = CN, sulfonyl, acyl, heteroaryl; R2 = H, (un)substituted alkyl; R3 = H, (un)substituted alkyl, alkylthio, aminoalkyl, carbamoyl, aryl, aralkyl, heterocyclic, heterocyclylalkyl, cycloalkyl, cycloalkylalkyl; NR2R3 = heterocyclic] were prepared and are useful for modulating mitochondrial F1F0 ATPase activity and treating ischemic conditions including myocardial infarction, congestive heart failure, and cardiac arrhythmias. Thus, 2,5-(F3C)2C6H3COCl was treated with MeMgBr to give 2,5-(F3C)2C6H3COMe, which was brominated to give 2,5-(F3C)2C6H3COCH2Br. This compound was treated with imidazole, reduced to the alc., converted to the amine, and treated with 2,4-Cl2C6H3NHCONHCN to give the guanidine I.

ACCESSION NUMBER: 2003:472616 HCAPLUS
DOCUMENT NUMBER: 139:53018
TITLE: Preparation of (1-phenyl-2-heteroaryl)ethylguanidines as inhibitors of mitochondrial F1F0 ATPase
INVENTOR(S): Atwal, Karnail S.; Grover, Gary J.; Ding, Charles Z.; Stein, Philip D.; Lloyd, John; Ahmad, Saleem; Hamann, Lawrence G.; Green, David; Ferrara, Francis N.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050261	A2	20030619	WO 2002-US39478	20021210
WO 2003050261	A3	20040226		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

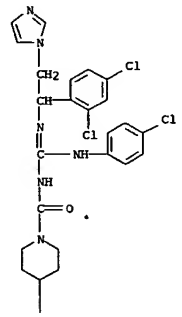
L4 ANSWER 16 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002357137	A1	20030623	AU 2002-357137	20021210
US 2004039033	A1	20040226	US 2002-315818	20021210
US 6916813	B2	20050712		
EP 1450901	A2	20040901	EP 2002-804765	20021210

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-339108P P 20011210
WO 2002-US39478 W 20021210
OTHER SOURCE(S): MARPAT 139:53018
IT 545407-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (1-phenyl-2-heteroaryl)ethylguanidines as inhibitors of mitochondrial F1F0 ATPase)
RN 545407-68-9 HCAPLUS
CN 1-Piperidinecarboxamide, N-[[(4-chlorophenyl)amino][[1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)ethyl]amino]methylene]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

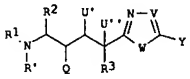
PAGE 1-A



PAGE 2-A

L4 ANSWER 16 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 17 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Jun 2003
GI



I

AB Peptide isosteres I [R1 is A-B0-1, where A is H, alkyl, aryl, (thio)acyl, amino, amidino, sulfonyl, sulfinyl groups, etc. and B is an amino acid, (un)substituted SCH2CO or OCH2CO; R2, R3 are H, alkyl, alkenyl, cycloalkyl, (hetero)aryl, etc.; Q is OH or NH2; U', U'' are H or OH; V is N or CH or derivative (CY'); W is NH or derivative or S; Y is H, halo, CF3, aryl, NO2, alkyl, acyl or Y and Y' may form a five- or six-membered ring; R' is H, alkyl, arylalkyl] were prepared for treating Alzheimer's disease and other diseases and/or inhibiting β-secretase enzyme and/or deposition of β-peptide in a mammal. Thus, 2-[(1R,3S,4S)-1-benzyl-4-(tert-butoxycarbonylamino)-3-hydroxy-5-phenylpentyl]-5-butylthiazole was prepared by a multistep procedure starting from 5-(1-(tert-butoxycarbonylamino)-2-phenylethyl]-3-(phenylmethyl)dihydrofuran-2(3H)-one and 2-bromohexanal.

ACCESSION NUMBER: 2003:454116 HCAPLUS
DOCUMENT NUMBER: 139:36799
TITLE: Preparation of peptide isosteres containing a heterocycle useful in the treatment of Alzheimer's disease
INVENTOR(S): Varghese, John
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

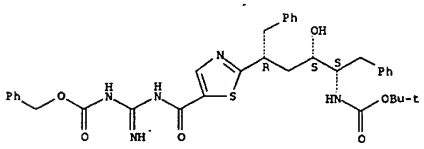
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047576	A1	20030612	WO 2002-US40038	20021203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469130	AA	20030612	CA 2002-2469130	20021203
AU 2002357232	A1	20030617	AU 2002-357232	20021203
EP 1450795	A1	20040901	EP 2002-804521	20021203

L4 ANSWER 17 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014731	A	20041207	BR 2002-14731	20021203
JP 2005514380	T2	20050519	JP 2003-548831	20021203
US 2005159460	A1	20050721	US 2003-497810	20021203
PRIORITY APPLN. INFO.:			US 2001-336566P	P 20011204
			WO 2002-US40038	W 20021203

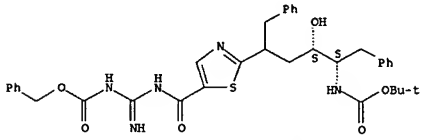
OTHER SOURCE(S): MARPAT 139:36799
IT 149976-25-0P 541551-98-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide isosteres containing a heterocycle for treatment of Alzheimer's disease)
RN 149976-25-0 HCAPLUS
CN Carbamic acid, [[[[2-[(1R,3S,4S)-4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-5-thiazolyl]carbonyl]amino]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 541551-98-8 HCAPLUS
CN Carbamic acid, [[[[2-[(3S,4S)-4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-5-thiazolyl]carbonyl]amino]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

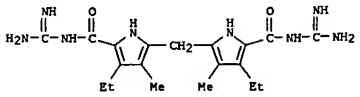
L4 ANSWER 18 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Apr 2003
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel cationic compds. forming complexes with oligodeoxyribonucleotides (ODNs) were prepared, and their ability to transport ODNs into cultured primary leukemic cells was tested. Two cationic porphyrin derivs. (including I) were found to be at least 1 order of magnitude more efficient in this respect than com. available agents. The ODN transporting capacity of novel compds. was dependent on the magnitude and the nature of their pos. charges as well as on the porphyrin/ODN molar ratio. Porphyrin-ODN complexes were internalized into cells, and their dissociation was demonstrated by accumulation of fluorescein isothiocyanate-ODN fluorescence in the nucleus. Importantly, I significantly protected complexed ODN against degradation and efficiently mediated the specific antisense effect on targeted v-Myb expression, resulting in reproducible growth inhibition of treated cells. Low toxicity, serum compatibility, and water solubility of I make this compound a promising novel tool for modulation of gene expression in primary leukemic cells.

ACCESSION NUMBER: 2003:292453 HCAPLUS
DOCUMENT NUMBER: 139:41636
TITLE: Novel Cationic Transport Agents for Oligonucleotide Delivery into Primary Leukemic Cells
AUTHOR(S): Kralova, Jarmila; Dvorak, Michal; Kral, Vladimir
CORPORATE SOURCE: Institute of Molecular Genetics, Academy of Sciences of the Czech Republic, Prague, 166 37, Czech Rep.
SOURCE: Journal of Medicinal Chemistry (2003), 46(11), 2049-2056
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 541499-78-9P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cationic transport agents for oligonucleotide delivery into primary leukemic cells)
RN 541499-78-9 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, 5,5'-methylenebis[N-(aminoiminomethyl)-3-ethyl-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

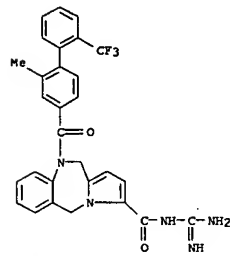
US 2003008863	A1	20030109	US 2002-119971		20020410
US 7109193	B2	20060919			
CA 2443490	AA	20021024	CA 2002-2443490		20020411
EP 1377586	A1	20040107	EP 2002-731343		20020411
EP 1377586	B1	20060322			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
CN 1501932	A	20040602	CN 2002-808039		20020411
JP 2004526768	T2	20040902	JP 2002-581433		20020411
BR 2002009014	A	20050111	BR 2002-9014		20020411
AT 321047	E	20060415	AT 2002-731343		20020411
PRIORITY APPLN. INFO.:			US 2001-283264P	P	20010412
			WO 2002-US11527	W	20020411

OTHER SOURCE(S): MARPAT 137:310939

IT 473260-58-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic diazepines as tocolytic oxytocin receptor antagonists)

RN 473260-58-1 HCAPLUS

CN 5H-Pyrrolo[2,1-c][1,4]benzodiazepine-3-carboxamide, N-(aminoiminomethyl)-10,11-dihydro-10-[[2-methyl-2'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Oct 2002
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; ring containing Z = II, III; R1, R2 = H, alkyl, halo, CN, etc.; R3 = H, alkyl, alkoxy, etc.; R4 = BC (wherein B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.); R = OH, NR11R12, (un)substituted 4-oxopiperidin-1-yl, etc. (R11, R12 = H, alkyl, cycloalkyl, etc.)], useful for the treatment and/or prevention and/or suppression of disorders which may be remedied or alleviated by oxytocin antagonist activity, including treatment of preterm labor, dysmenorrhea, endometritis, and for suppressing labor prior to Caesarian delivery, were prepared Thus, amidation of VI [R = OH] (multi-step synthesis given) with 1-(tert-butoxycarbonyl)piperazine afforded VI [R = 4-tert-butoxycarbonylpiperazin-1-yl] which showed 56% inhibition of binding to membranes of CHO cell line stably transfected with human oxytocin receptor at 100 nM vs. 2% and 13% inhibition of binding to membranes of CHO cell line stably transfected with human vasopressin V1a and V2 receptor subtypes, resp. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm animals, and may be useful in the prevention and treatment of dysfunctions of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

ACCESSION NUMBER: 2002:814136 HCAPLUS
DOCUMENT NUMBER: 137:310939
TITLE: Preparation of tricyclic diazepines as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd., USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083678	A1	20021024	WO 2002-US11527	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

L4 ANSWER 20 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Aug 2002
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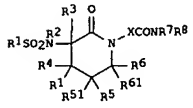


I

AB Title compds. [I; X = (substituted) (CH2)m; m = 1-3; R1 = (substituted) alkyl, alkenyl, alkynyl, aryl, heteroaryl, etc.; R2, R3 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, etc.; R4, R41, R5, R51 = H, OH, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, alkoxy, etc.; R6, R61 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, etc.; R7, R8 = (substituted) (CH2)nH; n = 1-4; R7R8N = (substituted) cycloheteroalkyl], were prepared as cardiovascular agents (no data). 974 I, including (II), were prepared

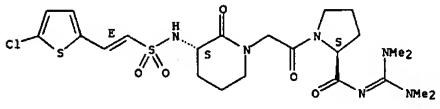
ACCESSION NUMBER: 2002:594840 HCAPLUS
DOCUMENT NUMBER: 137:154858
TITLE: Preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa.
INVENTOR(S): Stein, Philip P.; O'Connor, Stephen P.; Lawrence, R. Michael; Shi, Yan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 246 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060894	A2	20020808	WO 2002-US2542	20020128
WO 2002060894	A3	20021219		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2436774	AA	20020808	CA 2002-2436774	20020128
EP 1358178	A2	20031105	EP 2002-717381	20020128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518688	T2	20040624	JP 2002-561043	20020128
US 6555542	B1	20030429	US 2002-59621	20020129
PRIORITY APPLN. INFO.:			US 2001-264964P	P 20010130
			WO 2002-US2542	W 20020128

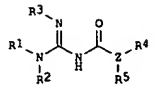
OTHER SOURCE(S): MARPAT 137:154858

L4 ANSWER 20 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 445277-05-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonamidopiperidones as inhibitors of Factor Xa)
RN 445277-05-4 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-[bis(dimethylamino)methylene]-1-[[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-piperidinyl]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 2002
GI



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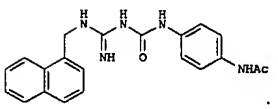


II

AB The title compds. [I; Z = N, O, CH; R1 = H, alkyl; R2 = (un)substituted alkyl, cycloalkyl, (hetero)arylalkyl; NR1R2 = (un)substituted 5-6 membered ring; R3 = H, alkyl, alkylaminocarbonyl; R4 = H, alkyl, alkenyl, etc.; R5 = absent (when Z = O), H, alkyl; ZR4R5 = (un)substituted 5-6 membered ring] which are novel 5-HT7 receptor ligands useful in treating sleep disorders, pain, depression, and schizophrenia, were prepared E.g., a 3-step synthesis of II which showed Ki of 13 nM at 5-HT7 receptor, was given.

ACCESSION NUMBER: 2002:353419 HCAPLUS
DOCUMENT NUMBER: 136:369519
TITLE: Preparation of amidino-urea serotonin receptor ligands
INVENTOR(S): Hong, Yufeng; Kuki, Atsuo; Tompkins, Eileen; Valenzuela; Peng, Zhengwei; Luthin, David Robert
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

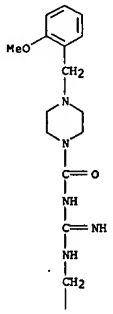
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036554	A2	20020510	WO 2001-IB2022	20011026
WO 2002036554	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,				

L4 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2425285	AA	20020510	CA 2001-2425285	20011026
AU 2001095836	A5	20020515	AU 2001-95836	20011026
EP 1332127	A2	20030806	EP 2001-976571	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015079	A	20030819	BR 2001-15079	20011026
JP 2004522705	T2	20040729	JP 2002-539314	20011026
US 2004044037	A1	20040304	US 2003-415619	20030429
PRIORITY APPLN. INFO.:			US 2000-243959P	P 20001030
			WO 2001-IB2022	W 20011026

OTHER SOURCE(S): MARPAT 136:369519
IT 422567-56-4P 422567-58-6P 422567-75-7P 422567-80-4P 422568-12-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidino-urea serotonin receptor ligands)
RN 422567-56-4 HCAPLUS
CN 1-Piperazinecarboxamide, N-[imino[(1-naphthalenylmethyl)amino]methyl]-4-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

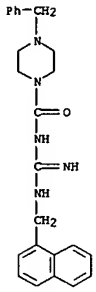
PAGE 1-A



L4 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

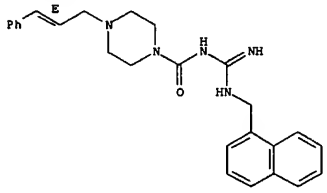
PAGE 2-A

RN 422567-58-6 HCAPLUS
CN 1-Piperazinecarboxamide, N-[imino[(1-naphthalenylmethyl)amino]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



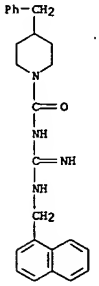
RN 422567-75-7 HCAPLUS
CN 1-Piperazinecarboxamide, N-[imino[(1-naphthalenylmethyl)amino]methyl]-4-[(2E)-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

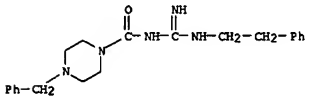


RN 422567-80-4 HCAPLUS
CN 1-Piperidinecarboxamide, N-[imino[(1-naphthalenylmethyl)amino]methyl]-4-

L4 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(phenylmethyl)- (9CI) (CA INDEX NAME)

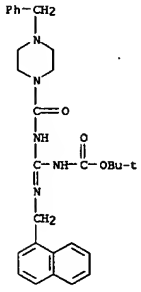


RN 422568-12-5 HCAPLUS
CN 1-Piperazinecarboxamide, N-[imino[(2-phenylethyl)amino]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



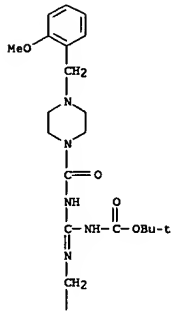
IT 422568-57-8P 422568-58-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidino-urea serotonin receptor ligands)
RN 422568-57-8 HCAPLUS
CN Carbamic acid, [[[[4-[(2-methoxyphenyl)methyl]-1-piperazinyl]carbonyl]amino][(1-naphthalenylmethyl)amino]methylene]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 21 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

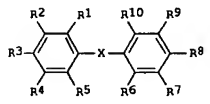
PAGE 1-A



PAGE 2-A

RN 422568-58-9 HCAPLUS
CN Carbamic acid, [[(1-naphthalenylmethyl)amino][[[4-(phenylmethyl)-1-piperazinyl]carbonyl]amino]methylene]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 23 Nov 2001
GI



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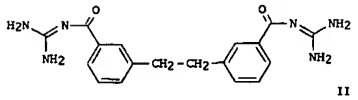


II

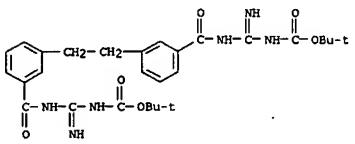
AB Bisacylguanidines I [one of R1, R2, R3, R4 or R5 = CON:C(NH2)2, CH:CMeCON:C(NH2)2 and one of R6, R7, R8, R9 or R10 = CON:C(NH2)2, CH:CMeCON:C(NH2)2; the other R1 - R10 = H, A, CH, F, Cl, Br, I, SA, OA, SO2A, OH, NH2, NHA, NA2, COA, (un)substituted Ph, CH2Ph, OPh, N-, S-, O-containing heterocycle; X = S, SO2, (CH2)n, CO,O, OCH2; A = C1-8-alkyl; n = 1 - 3] and their physiol. harmless salts and/or solvates, with cardioprotective characteristics and works as inhibitors of the cellular Na+/H+ antiporters of the Subtyp 1 are described. Thus, N-{3-[2-(3-guanidinocarbonylphenyl)ethyl]benzoyl}guanidine dihydrochloride (II·HCl), was prepared from 3-[2-(3-carboxyphenyl)ethyl]benzoic acid and Boc-guanidine in 1-methyl-2-pyrrolidone containing 2-chloro-1-methylpyridinium iodide and Et2NCHMe2, followed by hydrolysis with aqueous HCl. Formulations for use in injections, suppositories, solns., tablets, capsules and ampules are given.

ACCESSION NUMBER: 2001:850646 HCAPLUS
DOCUMENT NUMBER: 135:371527
TITLE: Preparation of bisacylguanidine with cardioprotective activity
INVENTOR(S): Gericke, Rolf; Beier, Norbert
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10024319	A1	20011122	DE 2000-10024319	20000517
WO 2001087829	A1	20011122	WO 2001-EP4425	20010419

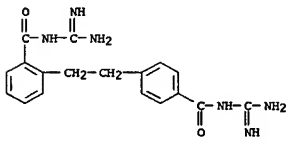
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

L4 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: DE 2000-10024319 A 20000517
OTHER SOURCE(S): CASREACT 135:371527; MARPAT 135:371527
IT 374681-40-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cardioprotective bisacylguanidines that work as inhibitors
of the cellular Na+/H+ antiporters)
RN 374681-40-0 HCAPLUS
CN Carbamic acid, [1,2-ethanediylbis(3,1-phenylenecarbonyliminocarbonimidoyl)]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



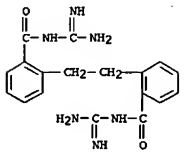
IT 374681-41-1P 374681-43-3P 374681-44-4P
374681-45-5P 374681-47-7P 374681-76-2P
374681-77-3P 374681-78-4P 374681-79-5P
374681-80-8P 374681-81-9P 374681-84-2P
374682-08-3P, N-{3-[2-(3-Guanidinocarbonylphenyl)ethyl]benzoyl}guanidine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cardioprotective bisacylguanidines that work as inhibitors
of the cellular Na+/H+ antiporters)
RN 374681-41-1 HCAPLUS
CN Benzamide, 3,3'-(1,2-ethanediyl)bis[N-(aminoiminomethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, N-(aminoiminomethyl)-2-[2-[4-[[(aminoiminomethyl)amino]carbonyl]phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



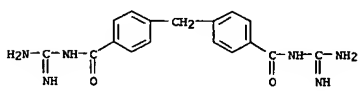
●2 HCl

RN 374681-47-7 HCAPLUS
CN Benzamide, 2,2'-(1,2-ethanediyl)bis[N-(aminoiminomethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



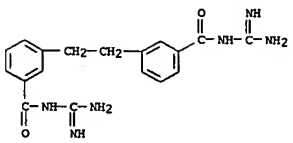
●2 HCl

RN 374681-76-2 HCAPLUS
CN Benzamide, 4,4'-methylenebis[N-(aminoiminomethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



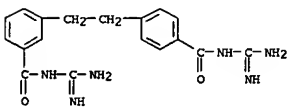
●2 HCl

L4 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



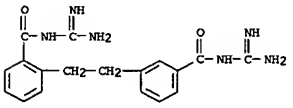
●2 HCl

RN 374681-43-3 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-[2-[4-[[(aminoiminomethyl)amino]carbonyl]phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

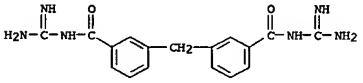
RN 374681-44-4 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-2-[2-[3-[[(aminoiminomethyl)amino]carbonyl]phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

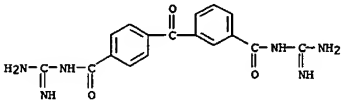
RN 374681-45-5 HCAPLUS

L4 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 374681-77-3 HCAPLUS
CN Benzamide, 3,3'-methylenebis[N-(aminoiminomethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



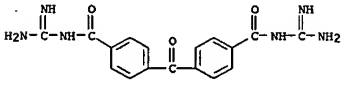
●2 HCl

RN 374681-78-4 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-[4-[[(aminoiminomethyl)amino]carbonyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

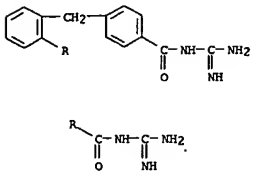
RN 374681-79-5 HCAPLUS
CN Benzamide, 4,4'-carbonylbis[N-(aminoiminomethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

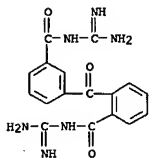
RN 374681-80-8 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-2-[[4-[[(aminoiminomethyl)amino]carbonyl]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

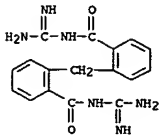
RN 374681-81-9 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-2-[3-[[(aminoiminomethyl)amino]carbonyl]benzoyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

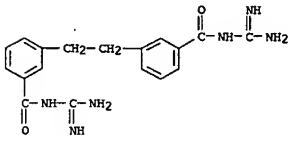
RN 374681-84-2 HCAPLUS
CN Benzamide, 2,2'-methylenebis[N-(aminoiminomethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

RN 374682-08-3 HCAPLUS
CN Benzamide, 3,3'-(1,2-ethanediyl)bis[N-(aminoiminomethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 20 Apr 2001

AB R4ZCH(Z1R1)CHR2R3 [I; R1 = H, (un)protected OH, (un)substituted aryl; R2 = H or alkyl; R3 = (un)protected OH; R4 = cyano, (hydroxy)iminoamino(lower)alkyl (sic), CO2H, heterocyclyl, etc.; Z = imidazole-4,1-diyl throughout; Z1 = bond or (oxy)alkylene] were prepared as adjuncts to IL-2 inhibitors. Thus, (R)-PhCH2CH2CH(OH)CO2Et was O-mesylated and the product condensed with imidazole-4-carboxamide to give, after reduction, H2NCOZCH(CH2OH)CH2CH2Ph. Data for biol. activity of I and combinations were given.

ACCESSION NUMBER: 2001:283741 HCAPLUS
DOCUMENT NUMBER: 134:311209
TITLE: Preparation of adenosine deaminase inhibiting imidazolecarboxylates as immunosuppressive adjuncts
INVENTOR(S): Sakai, Fumihiko; Seki, Nobuo; Tenda, Yoshiyuki; Yamazaki, Harumi; Miyamoto, Chiyoko; Kuno, Masako; Okumura, Hiroyuki; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001026605	A2	20010419	WO 2000-JP6986	20001006
WO 2001026605	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000075579	A5	20010423	AU 2000-75579	20001006
PRIORITY APPLN. INFO.:			AU 1999-3355	A 19991011
			AU 2000-5158	A 20000119
			WO 2000-JP6986	W 20001006

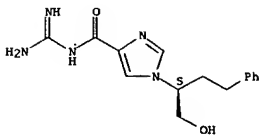
OTHER SOURCE(S): MARPAT 134:311209

IT 256461-63-9P 256461-95-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of adenosine deaminase inhibiting imidazolecarboxylates as immunosuppressive adjuncts)

RN 256461-63-9 HCAPLUS
CN 1H-Imidazole-4-carboxamide, N-(aminoiminomethyl)-1-[(1S)-1-(hydroxymethyl)-3-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 23 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

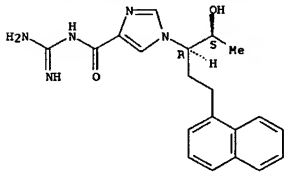


RN 256461-95-7 HCAPLUS
CN 1H-Imidazole-4-carboxamide, N-(aminoiminomethyl)-1-[(1R,2S)-2-hydroxy-1-[2-(1-naphthalenyl)ethyl]propyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256461-94-6
CMF C20 H23 N5 O2

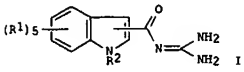
Absolute stereochemistry. Rotation (+).



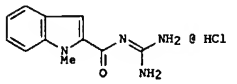
CM 2

CRN 64-19-7
CMF C2 H4 O2.

L4 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Jan 2001
GI



I



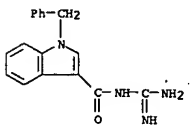
II

AB Indoloylguanidine derivs. I [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, halo, NO2, acyl, CO2H, alkoxycarbonyl, aromatic group, (un)substituted OH, NH2, SO2NH2, etc.; R2 = H, (un)substituted alkyl, cycloalkyl, OH, alkoxy, etc.] and their pharmaceutically acceptable acid addition salts inhibit Na+/H+ exchanger activity, and are consequently useful in the treatment or prevention of diseases caused by increased Na+/H+ exchanger activity. These include hypertension, arrhythmia, angina pectoris, cardiac hypertrophy, diabetes, disorders associated with ischemia or ischemic reperfusion, cerebro-ischemic disorders, and diseases caused by excessive cell proliferation. Over 250 synthetic examples and 22 precursor prepns. are given, with bioassay results for most invention compds. For example, condensation of Me 1-methyl-2-indolecarboxylate with guanidine HCl in the presence of NaOMe at ≤ 130° gave, after chromatog. and salification, 30.8% title compound II. In an assay for inhibition of ischemia-and-reperfusion-induced cardiac arrhythmia in rats, II at 0.3 mg/kg reduced mortality from 76% (control) to 0%, whereas EIPA [5-(N-ethyl-N-isopropyl)amiloride] reduced mortality to only 44% at the same dose.

ACCESSION NUMBER: 2001:10088 HCAPLUS
DOCUMENT NUMBER: 134:71491
TITLE: Indoloylguanidine derivatives useful as inhibitors of Na+/H+ exchanger activity.
INVENTOR(S): Kitano, Masahumi; Nakano, Kazuhiro; Yagi, Hideki; Ohashi, Naohito; Kojima, Atsuyuki; Noguchi, Tsuyoshi; Miyagishi, Akira
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: U.S., 69 pp., Cont.-in-part of U.S. Ser. No. 230,223, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

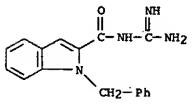
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169107	B1	20010102	US 1995-544292	19951017
US 6248772	B1	20010619	US 2000-604826	20000627
PRIORITY APPLN. INFO.:			JP 1993-125085	A 19930428
			US 1994-230223	B2 19940420
			JP 1994-280025	A 19941018
			US 1995-544292	A3 19951017

OTHER SOURCE(S): MARPAT 134:71491
IT 167406-38-4P 167406-48-6P 167478-07-1P 167478-31-1P 178050-61-8P 178050-62-9P 178050-63-0P 178050-64-1P 178050-65-2P 178050-66-3P 178050-67-4P 178050-69-6P 178050-71-0P 178051-51-9P 178051-52-0P 178051-54-2P 178051-84-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoloylguanidine derivs. as Na+/H+ exchanger inhibitors)
RN 167406-38-4 HCAPLUS
CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



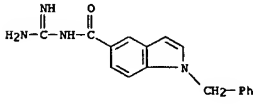
● HCl

RN 167406-48-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



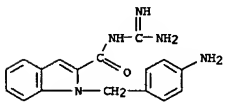
● HCl

L4 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 167478-07-1 HCAPLUS
CN 1H-Indole-5-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



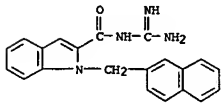
● HCl

RN 167478-31-1 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-aminophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

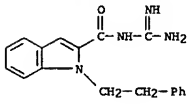
RN 178050-61-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-naphthalenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

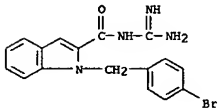
RN 178050-62-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



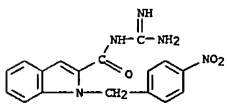
● HCl

RN 178050-63-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-bromophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

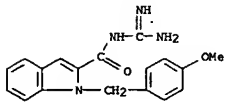
RN 178050-64-1 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-nitrophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

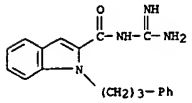
RN 178050-65-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-methoxyphenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



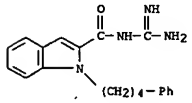
● HCl

RN 178050-66-3 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

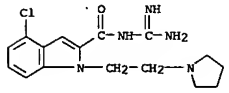
RN 178050-67-4 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(4-phenylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

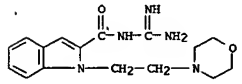
RN 178050-69-6 HCAPLUS
CN 1H-Indole-6-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



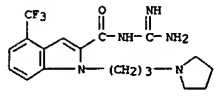
●2 HCl

RN 178051-54-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(4-morpholinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

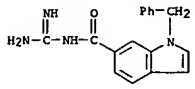
RN 178051-84-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[3-(1-pyrrolidinyl)propyl]-4-(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

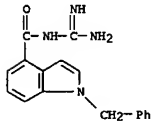
IT 178052-98-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indoloylguanidine derivs. as Na+/H+ exchanger inhibitors)
RN 178052-98-7 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



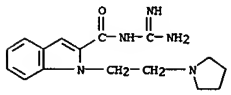
● HCl

RN 178050-71-0 HCAPLUS
CN 1H-Indole-4-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

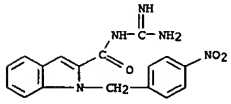
RN 178051-51-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(1-pyrrolidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



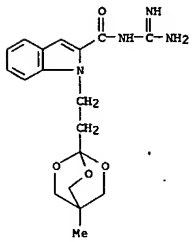
●2 HCl

RN 178051-52-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-4-chloro-1-[2-(1-pyrrolidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 24 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

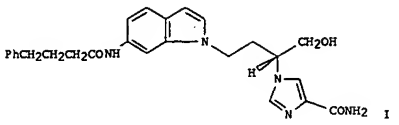


IT 178053-58-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of indoloylguanidine derivs. as Na+/H+ exchanger inhibitors)
RN 178053-58-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(4-methyl-2,6,7-trioxabicyclo[2.2.2]oct-1-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Sep 2000
GI



AB Title compds. [WACHZCR1R2OX; R1 = H, lower alkyl; R2 = H, lower alkyl; X = H, hydroxy protective group, lower alkanoyl, hydroxyiminoalkyl; A = lower alkylene; W = heterocyclic, carbocyclic; Z = heterocyclic (selected from the group consisting of imidazolyl, triazolyl, imidazopyridyl, adenyl, each of which may have one or more substituent(s)) provided that when W is aryl which may have one or more substituent(s), then (a) Z is triazolyl, imidazopyridyl, adenyl, each of which may have one or more substituent(s); (b) Z is imidazolyl which may have one or more substituent(s) and B is lower alkanoyl, hydroxyiminoalkyl; (c) Z is imidazolyl which may have one or more substituent(s) and R1 and R2 are both lower alkyl] and pharmaceutically acceptable salts thereof are prepared as adenosine deaminase inhibitors which are useful in treating and/or preventing autoimmune diseases, inflammatory conditions, organ or tissue allo or xeno transplant rejection, various leukemias, diseases that arise from, or are aggravated by, insufficient blood flow through a particular organ or portion thereof, which comprises administering an effective amount of a title compound to human or animals. Thus, the title compound I was prepared and
tested by adenosine deaminase enzyme assay.

ACCESSION NUMBER: 2000:666728 HCAPLUS
DOCUMENT NUMBER: 133:252457
TITLE: Preparation of heterocyclic compounds as adenosine deaminase inhibitors useful in treating and/or preventing autoimmune diseases and inflammatory conditions
INVENTOR(S): Terasaka, Tadashi; Seki, Nobuo; Tsuji, Kiyoshi; Nakanishi, Isao; Kinoshita, Takayoshi; Nakamura, Katsuya
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055155	A2	20000921	WO 2000-JP1316	20000303
WO 2000055155	A3	20010322		

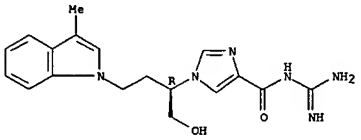
L4 ANSWER 25 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

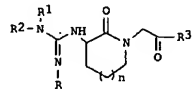
AU 2000028291	A5	20001004	AU 2000-28291	20000303
EP 1161429	A2	20011212	EP 2000-906702	20000303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539209	T2	20021119	JP 2000-605584	20000303
US 6596738	B1	20030722	US 2001-926134	20010907
PRIORITY APPLN. INFO.:			AU 1999-9212 A	19990315
			WO 2000-JP1316 W	20000303

OTHER SOURCE(S): MARPAT 133:252457
IT 294862-51-4P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as adenosine deaminase inhibitors useful in treating and/or preventing autoimmune diseases and inflammatory conditions)
RN 294862-51-4 HCAPLUS
CN 1H-Imidazole-4-carboxamide, N-(aminoiminomethyl)-1-[(1R)-1-(hydroxymethyl)-3-(3-methyl-1H-indol-1-yl)propyl]- (9CI) (CA INDEX NAME)

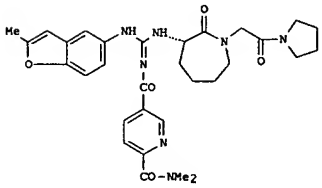
Absolute stereochemistry. Rotation (+).



L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 18 Aug 2000
GI



I



II

AB Title chiral compds. [I; R = CN, CONH2, COOCH2CH3, COC6H5, SO2NH2, OCH3, SO2N(CH3)2, SO2CH3, arylsulfonyl, heterocyclosulfonyl, (un)substituted Ph, heterocyclyl, heterocycleocarbonyl, alkoxylcarbonyl, arylaminocarbonyl; R1 = H, arylalkyl; R2 = alkyl, (un)substituted Ph, benzoheterocyclyl, cyclopentyl; R3 = heterocyclylamino, heterocyclyl, alkoxy, cycloalkylamino, OH; n = 0, 1, 2] , pharmaceutically acceptable salts, and stereoisomers are pred. as Factor Xa inhibitors and are useful as anticoagulants (no data). A method for treating cardiovascular diseases associated with thromboses is also provided. Thus, the title compound II was
prepared

ACCESSION NUMBER: 2000:573666 HCAPLUS
DOCUMENT NUMBER: 133:164010
TITLE: Preparation of caprolactams, piperidinones, and pyrrolidinones as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals
INVENTOR(S): Stein, Philip D.; Bisacchi, Gregory S.; Shi, Yan; O'Connor, Stephen P.; Li, Chi
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

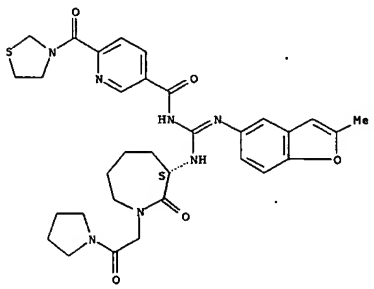
WO 2000047207	A1	20000817	WO 2000-US2883	20000202

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2360305	AA	20000817	CA 2000-2360305	20000202
US 6297233	B1	20011002	US 2000-496571	20000202
EP 1156803	A1	20011128	EP 2000-914505	20000202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 760174	B2	20030508	AU 2000-35887	20000202
PRIORITY APPLN. INFO.:			US 1999-119372P P	19990209
			US 1999-167428P P	19991124
			WO 2000-US2883 W	20000202

OTHER SOURCE(S): MARPAT 133:164010
IT 288083-95-4P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of caprolactams as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals)
RN 288083-95-4 HCAPLUS
CN 3-Pyridinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-6-(3-thiazolidinylcarbonyl)- (9CI) (CA INDEX NAME)

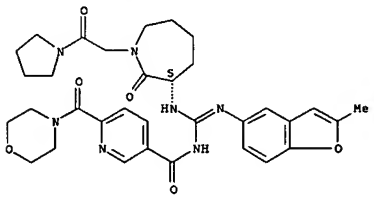
Absolute stereochemistry.



IT 288080-32-0P 288080-54-6P 288080-74-0P

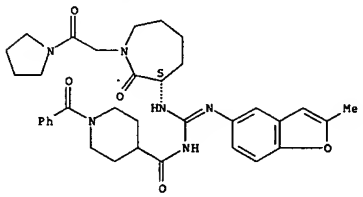
L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
288082-88-2P 288083-06-7P 288083-08-9P
288083-86-3P 288083-92-1P 288083-97-6P
288083-98-7P 288084-05-9P 288084-09-3P
288084-11-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of caprolactams as Factor Xa inhibitors in prevention or treatment of thromboses, coronary artery disease, or cerebrovascular disease in mammals)
RN 288080-32-0 HCAPLUS
CN 3-Pyridinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-6-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 288080-54-6 HCAPLUS
CN 4-Piperidinecarboxamide, 1-benzoyl-N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]- (9CI) (CA INDEX NAME)

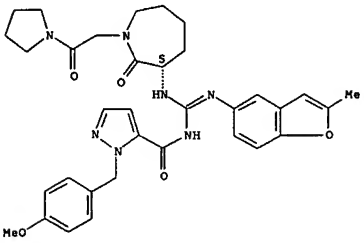
Absolute stereochemistry.



L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 288080-74-0 HCAPLUS
CN 1H-Pyrazole-5-carboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-1-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

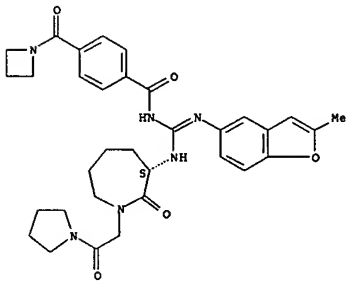
Absolute stereochemistry.



RN 288082-88-2 HCAPLUS
CN Benzamide, 4-(1-azetidinylcarbonyl)-N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]- (9CI) (CA INDEX NAME)

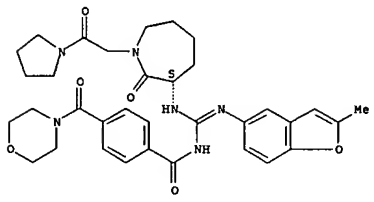
Absolute stereochemistry.

L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 288083-06-7 HCAPLUS
CN Benzamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-4-(4-morpholinylcarbonyl)- (9CI) (CA INDEX NAME)

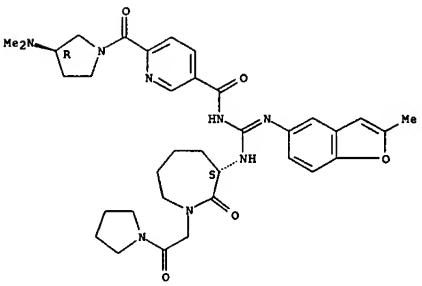
Absolute stereochemistry.



RN 288083-08-9 HCAPLUS
CN 3-Pyridinecarboxamide, 6-[[(3R)-3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]- (9CI) (CA INDEX NAME)

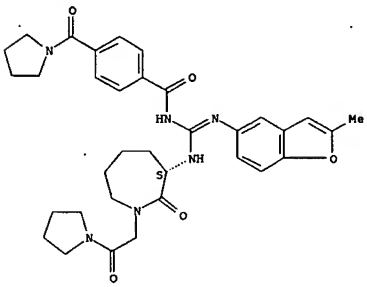
Absolute stereochemistry.

L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 288083-86-3 HCAPLUS
CN Benzamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-4-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

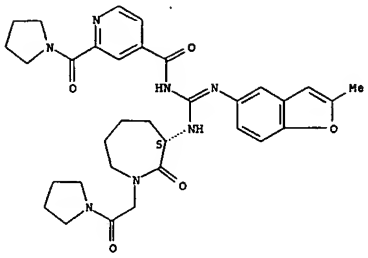
Absolute stereochemistry.



RN 288083-92-1 HCAPLUS
CN 4-Pyridinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-2-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

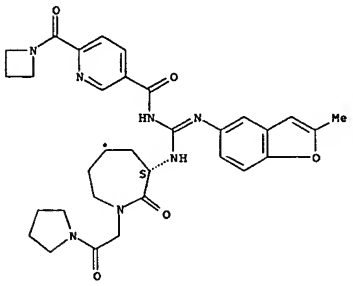
Absolute stereochemistry.

L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



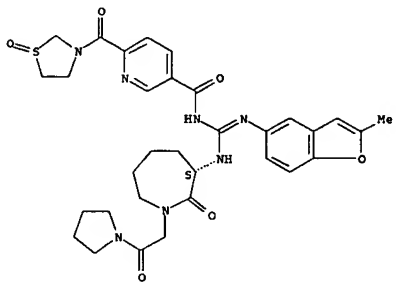
RN 288083-97-6 HCAPLUS
CN 3-Pyridinecarboxamide, 6-(1-azetidinylcarbonyl)-N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



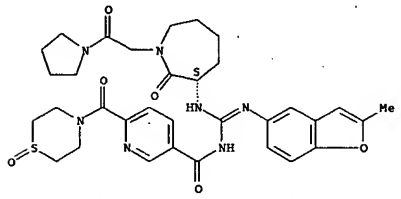
RN 288083-98-7 HCAPLUS
CN 3-Pyridinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-6-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



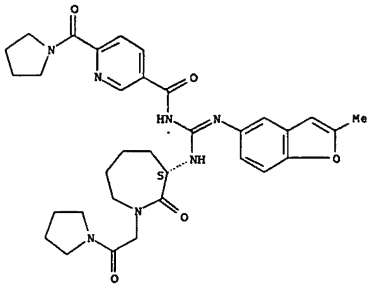
RN 288084-11-7 HCAPLUS
CN 3-Pyridinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-6-[(1-oxido-4-thiomorpholinyl)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



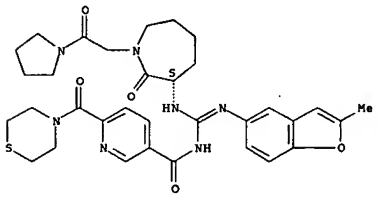
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



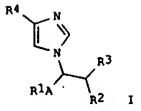
RN 288084-05-9 HCAPLUS
CN 3-Pyridinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-6-(4-thiomorpholinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 288084-09-3 HCAPLUS
CN 3-Pyridinecarboxamide, N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-azepin-3-yl]amino][(2-methyl-5-benzofuranyl)amino]methylene]-6-[(1-oxido-3-thiazolidinyl)carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Feb 2000
GI



AB Title compds. [I; R1 = H, (protected) OH, (substituted) aryl; R2 = H, alkyl; R3 = (protected) OH; R4 = cyano, (hydroxy)iminoamino(lower)alkyl, (protected) CO2H, (substituted) heterocyclyl, carbamoyl; A = Q, OQ; Q = bond, alkylene; provided that when R2 = alkyl, then R1 = (protected) OH, (substituted) aryl], were prepared Thus, Et 2-(4-carbamoyl-1-imidazolyl)-4-phenylbutyrate in MeOH was treated portionwise with NaBH4 to give 1-(1-hydroxy-4-phenyl-2-butyl)imidazole-4-carboxamide. This inhibited adenosine deaminase with Ki = 5.9 μM.

ACCESSION NUMBER: 2000:84782 HCAPLUS
DOCUMENT NUMBER: 132:122621
TITLE: Preparation of 1-hydroxyalkylimidazole-4-carboxamides and related compounds as adenosine deaminase inhibitors.
INVENTOR(S): Terasaka, Tadashi; Nakamura, Katsuya; Seki, Nobuo; Kuno, Masako; Tsujimoto, Susumu; Sato, Akihiro; Nakanishi, Isao; Kinoshita, Takayoshi; Nishio, Nobuya; Okumura, Hiroyuki; Tsuji, Kiyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005217	A1	20000203	WO 1999-JP3939	19990722
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338305	AA	20000203	CA 1999-2338305	19990722
AU 9947996	A1	20000214	AU 1999-47996	19990722
AU 748710	B2	20020613		
BR 9912684	A	20010502	BR 1999-12684	19990722
EP 1098885	A1	20010516	EP 1999-931497	19990722
EP 1098885	B1	20041117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L4 ANSWER 27 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

JP 2002521369	T2	20020716	JP 2000-561173		19990722
AT 282599	E	20041215	AT 1999-931497		19990722
RU 2243220	C2	20041227	RU 2001-105092		19990722
PT 1098885	T	20050131	PT 1999-931497		19990722
ES 2234269	T3	20050616	ES 1999-931497		19990722
US 6359145	B1	20020319	US 2001-764995		20010309
PRIORITY APPLN. INFO.:			AU 1998-4840	A	19980723
			AU 1998-7355	A	19981127
			WO 1999-JP3939	W	19990722

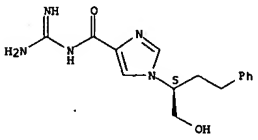
OTHER SOURCE(S): MARPAT 132:122621

IT 256461-63-9P 256461-94-6P 256461-95-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-hydroxyalkylimidazole-4-carboxamides and related compds.
as adenosine deaminase inhibitors)

RN 256461-63-9 HCAPLUS

CN 1H-Imidazole-4-carboxamide, N-(aminoiminomethyl)-1-[(1S)-1-(hydroxymethyl)-3-phenylpropyl]- (9CI) (CA INDEX NAME)

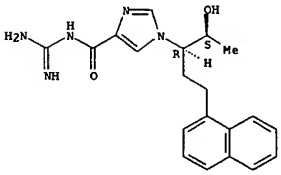
Absolute stereochemistry.



RN 256461-94-6 HCAPLUS

CN 1H-Imidazole-4-carboxamide, N-(aminoiminomethyl)-1-[(1R,2S)-2-hydroxy-1-[2-(1-naphthalenyl)ethyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 27 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

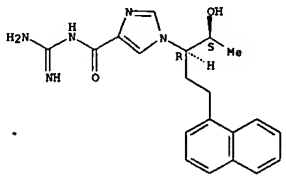
RN 256461-95-7 HCAPLUS

CN 1H-Imidazole-4-carboxamide, N-(aminoiminomethyl)-1-[(1R,2S)-2-hydroxy-1-[2-(1-naphthalenyl)ethyl]propyl]-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 256461-94-6
CMF C20 H23 N5 O2

Absolute stereochemistry. Rotation (+).



CM 2

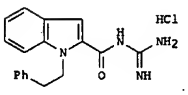
CRN 64-19-7
CMF C2 H4 O2

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 05 Dec 1999

GI



I

AB A series of N-(aminoiminomethyl)-1H-indole carboxamide derivs. were synthesized and their inhibitory potencies against the Na+/H+ exchanger were measured. Variation of the carbonylguanidine group at the 2- to 7-position of the indole ring system showed that a substitution at the 2-position improved the Na+/H+ exchanger inhibitory activity the most in vitro. This led to the synthesis and evaluation of an extensive series of N-(aminoiminomethyl)-1H-indole-2-carboxamide derivs. Derivs. having an alkyl or substituted alkyl group at the 1-position of the indole ring system showed higher levels of in vitro activities. N-(aminoiminomethyl)-1-(2-phenylethyl)-1H-indole-2-carboxamide I had the strongest activity.

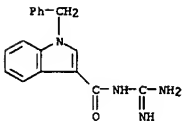
ACCESSION NUMBER: 1999:765868 HCAPLUS
DOCUMENT NUMBER: 132:137245
TITLE: Synthesis and biological activity of N-(aminoiminomethyl)-1H-indole carboxamide derivatives as Na+/H+ exchanger inhibitors
AUTHOR(S): Kitano, Masafumi; Kojima, Atsuyuki; Nakano, Kazuhiro; Miyagishi, Akira; Noguchi, Tsuyoshi; Ohashi, Naohito
CORPORATE SOURCE: Research Center, Sumitomo Pharmaceuticals Co., Ltd, Osaka, 554-0022, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(11), 1538-1548
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 167406-38-4P 167406-48-6P 167478-07-1P
178050-61-8P 178050-62-9P 178050-63-0P
178050-65-2P 178050-66-3P 178050-69-6P
178050-71-0P 256664-30-9P 256664-31-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of indole N-(aminoiminomethyl) carboxamide derivs. as inhibitors of the Na+/H+ exchanger)

RN 167406-38-4 HCAPLUS

CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

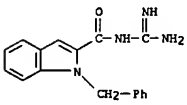
L4 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 167406-48-6 HCAPLUS

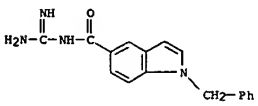
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 167478-07-1 HCAPLUS

CN 1H-Indole-5-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

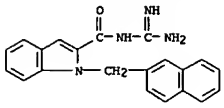


● HCl

RN 178050-61-8 HCAPLUS

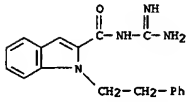
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-naphthalenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



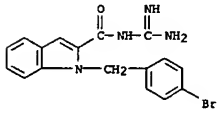
● HCl

RN 178050-62-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

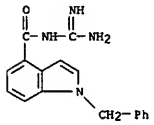
RN 178050-63-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-bromophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 178050-65-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-methoxyphenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

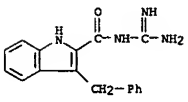


● HCl

RN 256664-30-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-3-(phenylmethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 256664-29-6
CMF C17 H16 N4 O

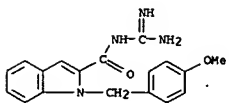


CM 2

CRN 75-75-2
CMF C H4 O3 S

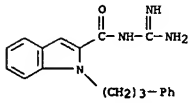
RN 256664-31-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-methyl-3-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



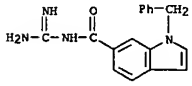
● HCl

RN 178050-66-3 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

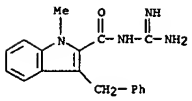
RN 178050-69-6 HCAPLUS
CN 1H-Indole-6-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 178050-71-0 HCAPLUS
CN 1H-Indole-4-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

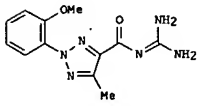
L4 ANSWER 28 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Sep 1999
GI



II

AB Guanidine derivs. ZCON:C(NH2)2 [I; Z = certain (un)substituted, diunsatd., diazoles and triazoles] and their pharmaceutically acceptable salts and/or prodrugs are disclosed, for use as inhibitors of sodium-hydrogen exchanger type 1 (NHE-1). Also disclosed are methods of using I, and pharmaceutical compns. containing them. I are useful for the reduction of tissue damage resulting from tissue ischemia (no data). A large number of compds. I and their intermediates were prepared and/or specifically claimed. For instance, guanidine-HCl was converted to the free base, taken up in THF-DMF mixture, and coupled with 5-methyl-2-(2-methoxyphenyl)-2H-1,2,3-triazole-4-carboxylic acid (pre-activated with carbonyldiimidazole), and the resultant guanidine derivative was isolated and acidified with HCl in MeOH, to give title compound II.HCl in 17% yield.

ACCESSION NUMBER: 1999:566034 HCAPLUS
DOCUMENT NUMBER: 131:199699
TITLE: N-[(Substituted five-membered di- or triaza diunsaturated ring)carbonyl]guanidine derivatives for the treatment of ischemia
INVENTOR(S): Hamanaka, Ernest S.; Guzman-Perez, Angel; Ruggeri, Roger B.; Wester, Ronald T.; Mularski, Christian J.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 246 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

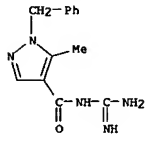
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943663	A1	19990902	WO 1999-IB206	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2321642	AA	19990902	CA 1999-2321642	19990205

L4 ANSWER 29 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AU 9920706	A1	19990915	AU 1999-20706	19990205
AU 739403	B2	20011011		
BR 9908332	A	20001107	BR 1999-8332	19990205
EP 1056729	A1	20001206	EP 1999-901083	19990205
EP 1056729	B1	20041229		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR 200002480	T2	20001221	TR 2000-200002480	19990205
JP 2002504546	T2	20020212	JP 2000-533420	19990205
EP 1454902	A1	20040908	EP 2004-8203	19990205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
AT 286034	E	20050115	AT 1999-901083	19990205
NZ 504769	A	20050429	NZ 1999-504769	19990205
PT 1056729	T	20050429	PT 1999-901083	19990205
ES 2237080	T3	20050716	ES 1999-901083	19990205
TW 226329	B1	20050111	TW 1999-88102574	19990222
ZA 9901578	A	20000828	ZA 1999-1578	19990226
US 6492401	B1	20021210	US 1999-367731	19990818
NO 2000004192	A	20000822	NO 2000-4192	20000822
HR 2000000550	A1	20010228	HR 2000-550	20000824
BG 104803	A	20010531	BG 2000-104803	20000927
HR 2001000666	A1	20011031	HR 2001-666	20010829
US 2003149043	A1	20030807	US 2002-315369	20021209
US 6974813	B2	20051213		
JP 2005041879	A2	20050217	JP 2004-248129	20040827
PRIORITY APPLN. INFO.:			US 1998-76362P	P 19980227
			EP 1999-901083	A3 19990205
			JP 2000-533420	A3 19990205
			WO 1999-IB206	W 19990205
			US 1999-367731	A3 19990818

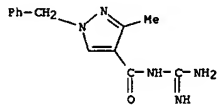
OTHER SOURCE(S): MARPAT 131:199699
IT 241799-12-2P, (1-Benzyl-5-methyl-1H-pyrazole-4-carbonyl)guanidine hydrochloride 241801-59-2P, [1-Benzyl-3-methyl-1H-pyrazole-4-carbonyl]guanidine hydrochloride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of diazole and triazole guanidine derivs. as
NHE-1 inhibitors for treatment of ischemia)
RN 241799-12-2 HCAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(aminoiminomethyl)-5-methyl-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

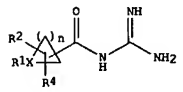
RN 241801-59-2 HCAPLUS
CN 1H-Pyrazole-4-carboxamide, N-(aminoiminomethyl)-3-methyl-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Jul 1999
GI



I

AB Title compds. [I; n = 1-5; X = N, CR5; R5 = H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl, heteroaryl; R1-R4 = H, SH, OH, cyano, NO2, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, heteroaryloxy, alkyl, aryl, cycloalkyl, cycloalkenyl, amino, arylsulfonylamino, acyl, etc.], were prepared for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia (no data). Thus, trans-4-methylcinnamic acid in THF was treated with CH2N2 in Et2O to give 90% Me ester. The latter was stirred with guanidine in DMF to give 75% trans-N-(aminoiminomethyl)-2-(4-methylphenyl)cyclopropanecarboxamide.

ACCESSION NUMBER: 1999:468414 HCAPLUS
DOCUMENT NUMBER: 131:102102
TITLE: Preparation of acylguanidines as sodium/proton exchange inhibitors.
INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; Atwal, Karnail S.; Dugar, Sundeep
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933460	A1	19990708	WO 1998-US25829	19981204
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2316352	AA	19990708	CA 1998-2316352	19981204
AU 9917119	A1	19990719	AU 1999-17119	19981204
AU 758065	B2	20030313		
EP 1041980	A1	20001011	EP 1998-961926	19981204
EP 1041980	B1	20050223		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001527042	T2	20011225	JP 2000-526217	19981204
AT 289509	E	20050315	AT 1998-961926	19981204
ES 2236965	T3	20050716	ES 1998-961926	19981204

L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

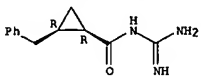
ZA 9811786 A 20000622 ZA 1998-11786 19981222
PRIORITY APPLN. INFO.: US 1997-68790P P 19971224
US 1998-73740P P 19980205
WO 1998-US25829 W 19981204

OTHER SOURCE(S): MARPAT 131:102102

IT 230641-57-3P 230641-58-4P 230641-96-0P
230642-01-0P 230642-02-1P 230642-07-6P
230642-08-7P 230642-13-4P 230642-14-5P
230642-16-7P 230642-19-0P 230642-53-2P
230642-54-3P 230642-55-4P 230642-56-5P
230642-57-6P 230642-58-7P 230642-59-8P
230642-60-1P 230642-61-2P 230642-62-3P
230642-63-4P 230642-64-5P 230642-65-6P
230642-66-7P 230642-67-8P 230642-68-9P
230642-69-0P 230642-70-3P 230642-71-4P
230642-72-5P 230642-73-6P 230642-74-7P
230642-75-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylguanidines as sodium/proton exchange inhibitors)

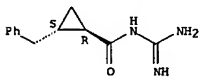
RN 230641-57-3 HCAPLUS
CN Cyclopropanecarboxamide, N-(aminoiminomethyl)-2-(phenylmethyl)-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 230641-58-4 HCAPLUS
CN Cyclopropanecarboxamide, N-(aminoiminomethyl)-2-(phenylmethyl)-, (1R,2S)-rel- (9CI) (CA INDEX NAME)

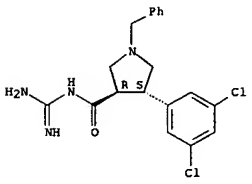
Relative stereochemistry.



RN 230641-96-0 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-4-(2,5-dimethylphenyl)-1-(phenylmethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

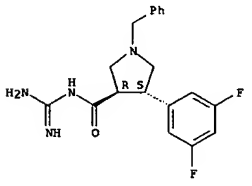
Relative stereochemistry.

L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



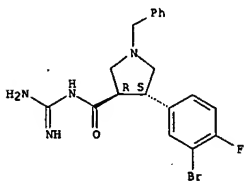
RN 230642-08-7 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-4-(3,5-difluorophenyl)-1-(phenylmethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



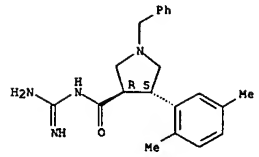
RN 230642-13-4 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-4-(3-bromo-4-fluorophenyl)-1-(phenylmethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



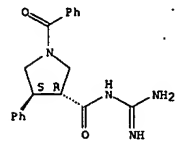
RN 230642-14-5 HCAPLUS

L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



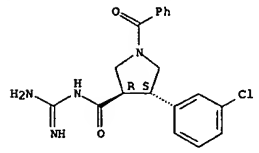
RN 230642-01-0 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-benzoyl-4-phenyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 230642-02-1 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-benzoyl-4-(3-chlorophenyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



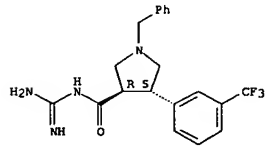
RN 230642-07-6 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-4-(3,5-dichlorophenyl)-1-(phenylmethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

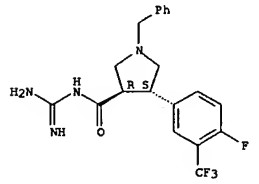
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-4-[3-(trifluoromethyl)phenyl]-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



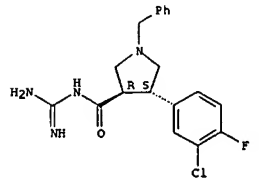
RN 230642-16-7 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-4-[4-fluoro-3-(trifluoromethyl)phenyl]-1-(phenylmethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



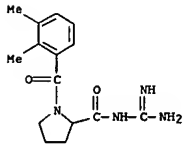
RN 230642-19-0 HCAPLUS
CN 3-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-4-(3-chloro-4-fluorophenyl)-1-(phenylmethyl)-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

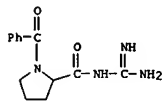


L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

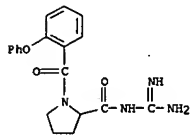
RN 230642-53-2 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(2,3-dimethylbenzoyl)-(9CI) (CA INDEX NAME)



RN 230642-54-3 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-benzoyl- (9CI) (CA INDEX NAME)

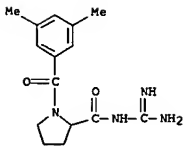


RN 230642-55-4 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(2-phenoxybenzoyl)- (9CI) (CA INDEX NAME)

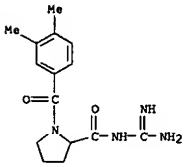


RN 230642-56-5 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(3,5-dimethylbenzoyl)-(9CI) (CA INDEX NAME)

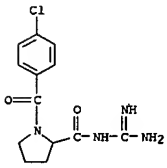
L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 230642-57-6 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(3,4-dimethylbenzoyl)-(9CI) (CA INDEX NAME)

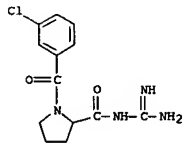


RN 230642-58-7 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(4-chlorobenzoyl)- (9CI) (CA INDEX NAME)

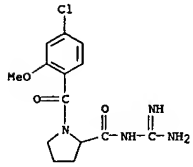


RN 230642-59-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(3-chlorobenzoyl)- (9CI) (CA INDEX NAME)

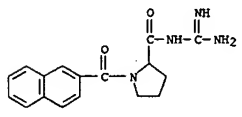
L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 230642-60-1 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(4-chloro-2-methoxybenzoyl)- (9CI) (CA INDEX NAME)

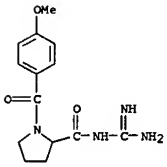


RN 230642-61-2 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(2-naphthalenylcarbonyl)-(9CI) (CA INDEX NAME)

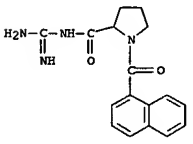


RN 230642-62-3 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(4-methoxybenzoyl)- (9CI) (CA INDEX NAME)

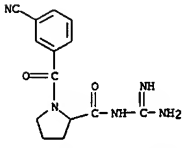
L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 230642-63-4 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(1-naphthalenylcarbonyl)-(9CI) (CA INDEX NAME)

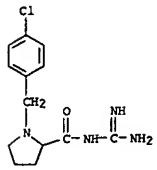


RN 230642-64-5 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(3-cyanobenzoyl)- (9CI) (CA INDEX NAME)

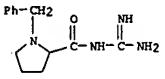


RN 230642-65-6 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(4-chlorophenyl)methyl]-(9CI) (CA INDEX NAME)

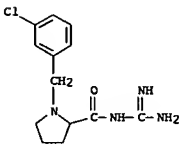
L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 230642-66-7 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

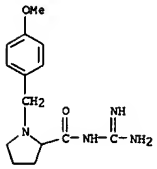


RN 230642-67-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(3-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

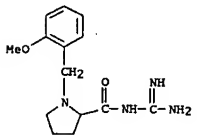


RN 230642-68-9 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

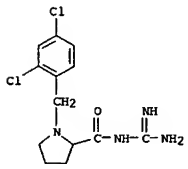
L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 230642-69-0 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

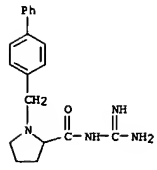


RN 230642-70-3 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(2,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

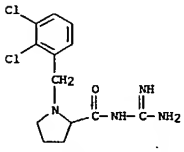


RN 230642-71-4 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-([1,1'-biphenyl]-4-ylmethyl)- (9CI) (CA INDEX NAME)

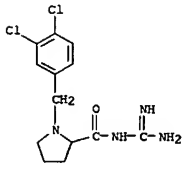
L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 230642-72-5 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(2,3-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

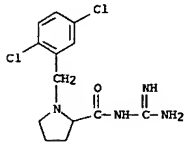


RN 230642-73-6 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

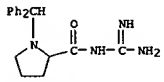


RN 230642-74-7 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-[(2,5-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

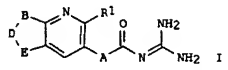


RN 230642-75-8 HCAPLUS
CN 2-Pyrrolidinecarboxamide, N-(aminoiminomethyl)-1-(diphenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Sep 1998
GI



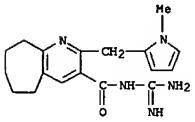
AB Cycloalka[b]pyridine-3-carbonylguanidine derivs. represented by general formula [I; R1 represents hydrogen, halogeno, lower alkyl, lower alkoxy, amino-lower alkyl, lower alkoxyalkyl, aryl, heterocyclyl, aralkyl, phenoxy-substituted lower alkyl or aralkyloxy-substituted lower alkyl; R2 represents hydrogen, halogeno, lower alkoxy or nitro; A represents a single bond or vinylene; B represents vinylene, -CH2P1(R3)-; R3 represents H, halo, OH, lower alkyl, lower alkylidene, lower alkoxy, hydroxy-lower alkyl, aralkyl, aralkylidene, phenoxy-lower alkyl, hydroxyimino, lower alkoxyimino, oxo, CH2ONO2, CH2CH2ONO2; P1 = methine or C; D represents a single bond, methylene or ethylene; and E represents vinylene, Q, -Q1(R5)-P2(R4)-; wherein R4 represents H, halogeno, (un)protected hydroxy, or oxo; R5 represents no substituent, H, or lower alkyl; P2 represents methine or O] and salts thereof are prepared Claimed are inhibitors of sodium/proton (Na+/H+) exchange transport containing above compds. I which are remedies or preventives of hypertension, arrhythmia, angina pectoris, heart hypertrophy, diabetes, organ disorders caused by ischemia or ischemic reperfusion, cerebral ischemia, diseases caused by excessive proliferation of cells, and diseases caused by disorders of endothelial cells. Thus, Et 2-methyl-5,6-dihydrocyclohexa[b]pyridine-3-carboxylate and guanidine were suspended in 2-propanol and stirred at room temperature for 5 h to give 2-methyl-5,6-dihydrocyclohexa[b]pyridine-3-carbonylguanidine (II). In an assay for inhibition of Na+/H+ exchange transport, II showed IC50 of ≤9.9+10-8 M against sodium propionate-induced swelling of rat blood platelet. Tablet, capsule, injection, and suppository formulations containing II maleic acid salt were prepared

ACCESSION NUMBER: 1998:612074 HCAPLUS
DOCUMENT NUMBER: 129:230644
TITLE: Preparation of cycloalka[b]pyridine-3-carbonylguanidine derivatives having inhibitory effect on sodium/proton (Na+/H+) exchange transport
INVENTOR(S): Takahashi, Atsuo; Gengyou, Kaoru; Yoneyama, Sachito; Aihara, Kazuyuki; Satoh, Takashi; Yoneyama, Fumiya; Sasamori, Jun; Yamada, Shin-ichi; Kimura, Tetsuo; Kogi, Kentaro
PATENT ASSIGNEE(S): Toa Eiyo Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

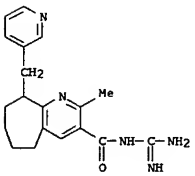
L4 ANSWER 31 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9839300	A1	19980911	WO 1998-JP877	19980303
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2283404	AA	19980911	CA 1998-2283404	19980303
EP 972767	A1	20000119	EP 1998-905743	19980303
R: CH, DE, ES, FR, GB, IT, LI, NL				
JP 3652708	B2	20050525	JP 1998-538363	19980303
US 6258829	B1	20010710	US 1999-367873	19990903

PRIORITY APPLN. INFO.: JP 1997-67529 A 19970306
WO 1998-JP877 W 19980303
OTHER SOURCE(S): MARPAT 129:230644
IT 212761-00-7P 212761-55-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalka[b]pyridinecarbonylguanidine derivs. having inhibitory effect on sodium/proton (Na+/H+) exchange transport)
RN 212761-00-7 HCAPLUS
CN 5H-Cyclohepta[b]pyridine-3-carboxamide, N-(aminoiminomethyl)-6,7,8,9-tetrahydro-2-[(1-methyl-1H-pyrrol-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 212761-55-2 HCAPLUS
CN 5H-Cyclohepta[b]pyridine-3-carboxamide, N-(aminoiminomethyl)-6,7,8,9-tetrahydro-2-methyl-9-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

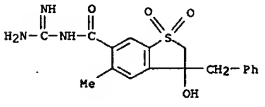


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 31 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 28 Aug 1998
AB Blockade of the Na+/H+ exchange diminishes the serious consequences of myocardial ischemia. The aim of this investigation was to alter the structure of the common benzoylguanidine NHE inhibitors in such a way that the 3-methylsulfonyl and 4-alkyl group form a ring. New benzo-fused 5-, 6-, and 7-membered ring sulfones were prepared by internal Heck reaction. Benzo-fused 5-membered ring sulfones were also prepared by internal aldol condensation using ketones or nitriles as acceptor groups. In the final step, the carboxyl groups were converted to acylguanidines preferentially by guanidine treatment of the esters or acid chlorides. The compds. were tested as their mesylates. The inhibition of the Na+/H+ antiport activity was determined by observing the uptake of 22Na+ into acidified rabbit erythrocytes. Addnl., the inhibition of the antiport activity was assessed also by the platelet swelling assay (PSA), in which the swelling of human platelets was induced by the incubation in the presence of a weak organic acid. On average, the IC50 values in the PSA are .apprx.10-fold higher than in the erythrocyte assay primarily due to a higher Na+ concentration in the PSA. However, the order of the compds.' potency was not substantially altered. The new compds. are highly active with peak values ranging within the cariporide and EMD 96785 stds.

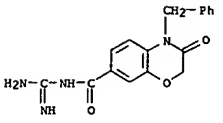
ACCESSION NUMBER: 1998:546531 HCAPLUS
DOCUMENT NUMBER: 129:245030
TITLE: Bicyclic acylguanidine Na+/H+ antiporter inhibitors
AUTHOR(S): Baumgarth, Manfred; Beier, Norbert; Gericke, Rolf
CORPORATE SOURCE: Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64271, Germany
SOURCE: Journal of Medicinal Chemistry (1998), 41(19), 3736-3747
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 213122-01-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of bicyclic acylguanidine sodium-hydrogen antiporter inhibitors)
RN 213122-01-1 HCAPLUS
CN Benzo[b]thiophene-6-carboxamide, N-(aminoiminomethyl)-2,3-dihydro-3-hydroxy-5-methyl-3-(phenylmethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

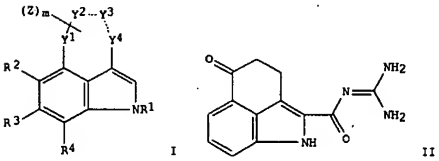
L4 ANSWER 33 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Jan 1998
AB Inhibition of the Na/H exchanger is a promising approach for treating ischemia-reperfusion injury, but no clin. agent is yet available. Recently, we established the structural requirements for potent inhibitors of the Na/H exchanger. Thus, N-(3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-7-carbonyl)guanidine was designed as a lead compound for potent inhibitors with good water-solubility, based on the previous information. During the structural optimization, care was taken to keep the hydrophobicity (clogP) in the range of about 1.5-2.0, which is considered optimum for good bioavailability. Various derivs. of N-(3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-7-carbonyl)guanidine were synthesized and the quant. structure-activity relationship (QSAR) was studied. An example compound thus prepared was N-(aminoiminomethyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazine-7-carboxamide. The QSAR result indicated that the lengths of the substituents at the 2- and the 4-positions of the 2H-benzo[1,4]oxazine ring are parabolically related to activity. The most potent compds. were (R) and/or (S)-N-(2-ethyl-4-isopropyl(or ethyl)-3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-7-carbonyl)guanidines 3q-t with IC50 values of 0.036-0.073 μM. The water-solubility of the hydrochlorides and methanesulfonates is 3-5 mg/mL, which is sufficient for therapeutic use.
ACCESSION NUMBER: 1998:5388 HCAPLUS
DOCUMENT NUMBER: 128:102063
TITLE: Design, synthesis and quantitative structure-activity relationship study of N-(3-oxo-3,4-dihydro-2H-benzo[1,4]oxazine-7-carbonyl)guanidine derivatives as potent Na/H exchange inhibitors
AUTHOR(S): Yamamoto, Takeshi; Hori, Manabu; Watanabe, Ikuo; Tsutsui, Hisayoshi; Harada, Kengo; Ikeda, Shoji; Maruo, Joji; Morita, Tominori; Ohtaka, Hiroshi
CORPORATE SOURCE: Product R and D Laboratory, Kanebo Ltd., Osaka, 534, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1997), 45(12), 1975-1983
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 201293-87-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-activity relationship of (aminoiminomethyl)oxobenzoxazinecarboxamide derivs.)
RN 201293-87-0 HCAPLUS
CN 2H-1,4-Benzoxazine-7-carboxamide, N-(aminoiminomethyl)-3,4-dihydro-3-oxo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Nov 1997
GI



I II

AB The title compds. [I; R1 = H, alkyl, cycloalkyl, etc.; R2-R4 = H, alkyl, cycloalkyl, etc.; Y1-Y4 = a single bond, CH2, C(O), O, etc.; Z = alkyl, alkenyl, alkynyl, etc.; m = 0-2] and their pharmaceutically acceptable salts, inhibitors of the sodium/proton (Na+/H+) exchange transport system which can therefore be used in the treatment or prophylaxis of, for example, hypertension, arrhythmia, angina pectoris, cardiac hypertrophy, diabetes mellitus, organ disorders associated with ischemia or ischemic reperfusion, cerebroischemic disorders, diseases caused by excessive cell proliferation, and diseases caused by endothelial cell injury, were prepared Thus, reaction of Et 1,3,4,5-tetrahydro-5-oxo-benz[cd]indole-2-carboxylate with guanidine.HCl in the presence of NaOMe in DMF afforded the title compound II.MeSO3H which showed IC50 of 4.00 μM against Na+/H+ exchange.
ACCESSION NUMBER: 1997:720110 HCAPLUS
DOCUMENT NUMBER: 128:13199
TITLE: Preparation of heteroaroyl-substituted guanidine derivatives as Na+/H+ exchange inhibitors
INVENTOR(S): Kitano, Masahumi; Nakano, Kazuhiro; Ohashi, Naohito
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: Eur. Pat. Appl., 45 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

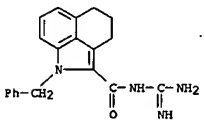
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 803501	A1	19971029	EP 1997-302773	19970423
EP 803501	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
JP 09291076	A2	19971111	JP 1996-128973	19960424
AT 194327	E	20000715	AT 1997-302773	19970423
ES 2147966	T3	20001001	ES 1997-302773	19970423
US 5814654	A	19980929	US 1997-847363	19970424

PRIORITY APPLN. INFO.: JP 1996-128973 A 19960424
OTHER SOURCE(S): MARPAT 128:13199
IT 198900-98-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L4 ANSWER 34 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroaroyl-substituted guanidine derivs. as Na+/H+ exchange inhibitors)
RN 198900-98-0 HCAPLUS
CN Benz[cd]indole-4-carboxamide, N-(aminoiminomethyl)-1,2,3,5-tetrahydro-5-(phenylmethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

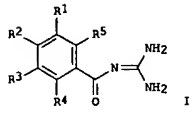
CRN 198900-97-9
CMF C20 H20 N4 O



CM 2

CRN 75-75-2
CMF C H4 O3 S

L4 ANSWER 35 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Nov 1997
GI

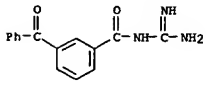


AB The title compds. [I; R1 = R6C(O) (wherein R6 = C1-8 alkyl, C1-8 perfluoroalkyl, C3-8 alkenyl, etc.); R2 = H, halo, OH, etc.; R3 = R1 or R2; R4, R5 = R2] and their salts, useful for treating arrhythmias, treating or preventing diseases caused by ischemic conditions, for diagnosing hypertension and proliferative disorders, and treating myocardial infarction, angina pectoris, ischemic conditions of the heart, of the peripheral and central nervous systems, or the peripheral organs and limbs, of stroke and of conditions of shock, were prepared Thus, Fries displacement of 4-acetoxybenzoic acid with AlCl3 followed by reaction of the resulting 3-acetyl-4-hydroxybenzoic acid with carbonyldiimidazole and guanidine afforded I [R1 = MeCO; R2 = OH; R3-R5 = H] which showed IC50 of 2.0x10-6 M/L against Na+/H+ exchange.

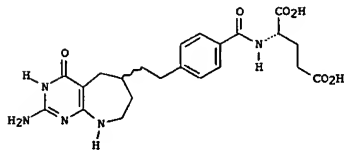
ACCESSION NUMBER: 1997:705845 HCAPLUS
DOCUMENT NUMBER: 127:358717
TITLE: Preparation of substituted benzoylguanidines as diagnostic agent for inhibiting the Na+/H+ exchanger and diagnosing hypertension and proliferative disorders
INVENTOR(S): Schwark, Jan-Robert; Kleemann, Heinz-Werner; Lang, Hans-Jochen; Weichert, Andreas; Scholz, Wolfgang; Albus, Udo
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 252,786, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5679712	A	19971021	US 1995-459966	19950602
IL 109887	A1	19980222	IL 1994-109887	19940603
DE 4441880	A1	19960530	DE 1994-4441880	19941124
US 5849775	A	19981215	US 1997-886037	19970630
PRIORITY APPLN. INFO.:			US 1994-252786 B2	19940602
			DE 1994-4441880	19941124
			DE 1993-4318756 A	19930605
			US 1995-459966 A	19950602

L4 ANSWER 35 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 127:358717
IT 198477-63-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzoylguanidines as diagnostic agent for inhibiting the Na+/H+ exchanger and diagnosing hypertension and proliferative disorders)
RN 198477-63-3 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-benzoyl-, monohydrochloride (9CI) (CA INDEX NAME)



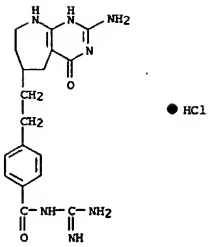
L4 ANSWER 36 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Mar 1997
GI



AB The synthesis and biol. evaluation of a pyrimido[4,5,-b]azepine-based analog of DDATHF, I, a potential chemotherapeutic agent, are described.

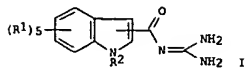
ACCESSION NUMBER: 1997:165843 HCAPLUS
DOCUMENT NUMBER: 126:264066
TITLE: Synthesis of a pyrimido[4,5-b]azepine analog of 5,10-dideaza-5,6,7,8-tetrahydrofolic acid (DDATHF)
AUTHOR(S): Taylor, Edward C.; Dowling, James E.
CORPORATE SOURCE: Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(4), 453-456
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 188820-87-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antitumor activity of pyrimidoazepine analog of dideazatetrahydrofolic acid)
RN 188820-87-3 HCAPLUS
CN Benzamide, 4-[2-(2-amino-4,5,6,7,8,9-hexahydro-1H-pyrimido[4,5-b]azepin-6-yl)ethyl]-N-(aminoiminomethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

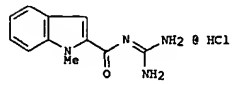


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 Jul 1996
GI



I



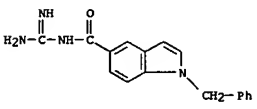
II

AB Indoloylguanidine derivs. I [R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, halo, NO2, acyl, CO2H, alkoxycarbonyl, aromatic group, (un)substituted OH, NH2, SO2NH2, etc.; R2 = H, (un)substituted alkyl, cycloalkyl, OH, alkoxy, etc.] and their pharmaceutically acceptable acid addition salts inhibit Na+/H+ exchanger activity, and are consequently useful in the treatment or prevention of diseases caused by increased Na+/H+ exchanger activity. For example, condensation of Me 1-methyl-2-indolecarboxylate in the presence of NaOMe at ≤ 130° gave, after chromatog. and salification, 30.8% title compound II. In an assay for inhibition of ischemia-and-reperfusion-induced cardiac arrhythmia in rats, II at 0.3 mg/kg reduced mortality from 76% (control) to 0%, whereas EIPA [5-(N-ethyl-N-isopropyl)amiloride] reduced mortality to only 44% at the same dose.

ACCESSION NUMBER: 1996:379686 HCAPLUS
DOCUMENT NUMBER: 125:58312
TITLE: Indoloylguanidine derivatives useful as inhibitors of Na+/H+ exchanger activity.
INVENTOR(S): Kitano, Masahumi; Nakano, Kazuhiro; Yagi, Hideki; Ohashi, Naohito; Kojima, Atsuyuki; Noguchi, Tsuyoshi; Miyagishi, Akira
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: Eur. Pat. Appl., 99 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

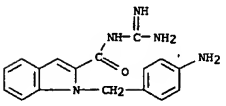
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 708091	A1	19960424	EP 1995-307409	19951018
EP 708091	A3	19960717		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
JP 08208602	A2	19960813	JP 1995-286772	19951006
CA 2160600	AA	19960419	CA 1995-2160600	19951016
CN 1136038	A	19961120	CN 1995-116169	19951017

L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



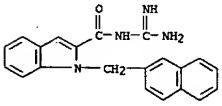
● HCl

RN 167478-31-1 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-aminophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

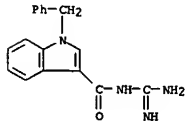
RN 178050-61-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-naphthalenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

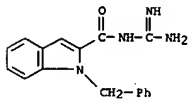
RN 178050-62-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1067988 B 20010704
TW 386991 B 20000411 TW 1995-84110984 19951018
PRIORITY APPLN. INFO.: JP 1994-280025 A 19941018
OTHER SOURCE(S): MARPAT 125:58312
IT 167406-38-4P 167406-48-6P 167478-07-1P
167478-31-1P 178050-61-8P 178050-62-9P
178050-63-0P 178050-64-1P 178050-65-2P
178050-66-3P 178050-67-4P 178050-69-6P
178050-71-0P 178051-51-9P 178051-52-0P
178051-54-2P 178051-84-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoloylguanidine derivs. as Na+/H+ exchanger inhibitors)
RN 167406-38-4 HCAPLUS
CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

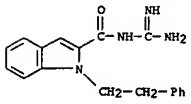
RN 167406-48-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

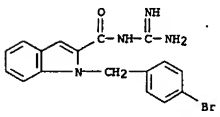
RN 167478-07-1 HCAPLUS
CN 1H-Indole-5-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



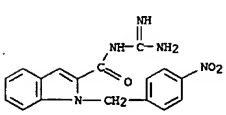
● HCl

RN 178050-63-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-bromophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

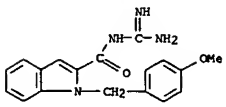
RN 178050-64-1 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-nitrophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

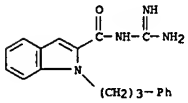
RN 178050-65-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-methoxyphenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



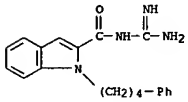
● HCl

RN 178050-66-3 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(3-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

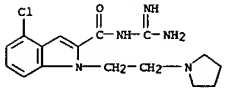
RN 178050-67-4 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(4-phenylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

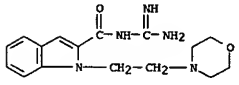
RN 178050-69-6 HCAPLUS
CN 1H-Indole-6-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



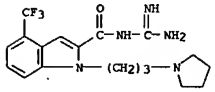
●2 HCl

RN 178051-54-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(4-morpholinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

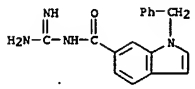
RN 178051-84-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[3-(1-pyrrolidinyl)propyl]-4-(trifluoromethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

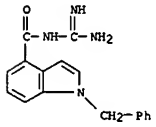
IT 178052-98-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of indoloylguanidine derivs. as Na+/H+ exchanger inhibitors)
RN 178052-98-7 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



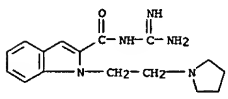
● HCl

RN 178050-71-0 HCAPLUS
CN 1H-Indole-4-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

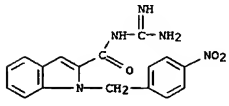
RN 178051-51-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(1-pyrrolidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



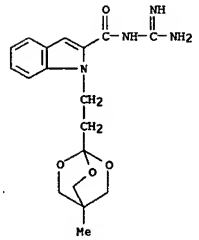
●2 HCl

RN 178051-52-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-4-chloro-1-[2-(1-pyrrolidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

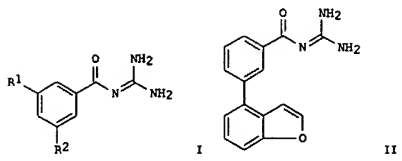
L4 ANSWER 37 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 178053-58-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of indoloylguanidine derivs. as Na+/H+ exchanger inhibitors)
RN 178053-58-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(4-methyl-2,6,7-trioxabicyclo[2.2.2]oct-1-yl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 25 Jun 1996
GI



I II

AB Guanidine derivs. I [R1 = H, hydroxyalkyl, protected hydroxyalkyl, acylalkoxy, acylalkenyl, acyl; R2 = aralkenyl; disubstituted aryl, (un)substituted indenyl, indanyl, dihydrobenzocycloheptenyl, di- to decahydronaphthyl, cyclopentenyl, dihydrothienyl, dihydrofuryl or heterobicyclyl, alkylthienyl, mono- or dihalothienyl, haloalkylthienyl, acylthienyl, halofuryl, haloalkylfuryl] and their pharmaceutically acceptable salts are claimed. The compds. are strong inhibitors of Na+/H+ exchange in cells, and are thus useful for the treatment and/or prevention of cardiovascular, cerebrovascular, and renal disease, arteriosclerosis, shock, etc. For example, condensation of guanidine-HCl with Me 3-(benzofuran-4-yl)benzoate in DMF in the presence of NaOMe, and workup and salification of the product, gave title compound II as its methanesulfonate salt. In a test for inhibition of Na propionate-induced swelling of thymocytes in vitro (measure of Na+/H+ exchanger activation), an exemplary compound had Ki of < 1.0 + 10-7.

ACCESSION NUMBER: 1996:365475 HCAPLUS
DOCUMENT NUMBER: 125:33332
TITLE: Benzoylguanidine derivatives as medicaments inhibiting cellular Na+/H+ exchange.
INVENTOR(S): Kuno, Atsushi; Mizuno, Hiroaki; Yamasaki, Kumi; Inoue, Yoshikazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604241	A2	19960215	WO 1995-JP1479	19950725
WO 9604241	A3	19960620		

W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,

L4 ANSWER 38 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

ZA 9506119	A	19960306	ZA 1995-6119	19950721
CA 2196763	AA	19960215	CA 1995-2196763	19950725
AU 9529916	A1	19960304	AU 1995-29916	19950725
AU 697748	B2	19981015		
EP 773927	A2	19970521	EP 1995-926026	19950725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1158606	A	19970903	CN 1995-195299	19950725
CN 1070173	B	20010829		
JP 10503770	T2	19980407	JP 1995-506385	19950725
JP 3473023	B2	20031202	JP 1996-506385	19950725
TW 426658	B	20010321	TW 1995-84108031	19950802
BR 9502471	A	19960521	BR 1995-2471	19950804
US 5968985	A	19991019	US 1997-776385	19970203

PRIORITY APPLN. INFO.: GB 1994-15852 A 19940805
GB 1994-22830 A 19941011
GB 1995-5231 A 19950315
WO 1995-JP1479 W 19950725

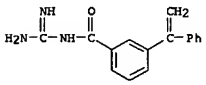
OTHER SOURCE(S): MARPAT 125:33332

IT 177732-02-4P 177733-17-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoylguanidine derivs. as inhibitors of cellular Na+/H+ exchange)

RN 177732-02-4 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(1-phenylethenyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

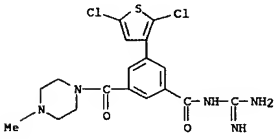
CRN 177732-01-3
CMF C16 H15 N3 O



CM 2

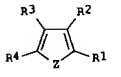
CRN 75-75-2
CMF C H4 O3 S

RN 177733-17-4 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(2,5-dichloro-3-thienyl)-5-[(4-methyl-1-piperazinyl)carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Dec 1995
GI



I

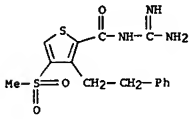
AB Title compds. [I; 1 of R1,R2 = CON:C(NH2)2 and the other = H, halo, alkyl, CON:C(NH2)2, NH2, etc.; R3,R4 = H, halo, cyano, alkyl, Ph, heteroaryl, etc.; Z = SO0-2, O, NR5; R5 = H, alkyl, etc.] were prepared Thus, Me 1-methylpyrrole-2-carboxylate was alkylated with (CF3)2CFI and the product amidated with guanidine to give I [R1 = CON:C(NH2)2, R2 = R3 = H, R4 = (CF3)2CF, Z = NMe] which ad IC50 of 0.3μM against Na+/H+ exchange in rabbit erythrocytes in vitro.

ACCESSION NUMBER: 1995:994741 HCAPLUS
DOCUMENT NUMBER: 124:86809
TITLE: Preparation of (pyrrolyl- and thienylcarbonyl)guanidines as sodium-hydrogen exchange inhibitors, antiarrhythmic agents, and cell proliferation inhibitors
INVENTOR(S): Kleemann, Heinz-Werner; Lang, Hans-Jochen; Schwark, Jan-Robert; Weichert, Andreas; Scholz, Wolfgang; Albus, Udo
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

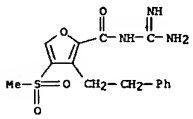
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 676395	A2	19951011	EP 1995-105088	19950405
EP 676395	A3	19960306		
EP 676395	B1	20030903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4412334	A1	19951019	DE 1994-4412334	19940411
AT 248817	E	20030915	AT 1995-105088	19950405
ES 2206471	T3	20040516	ES 1995-105088	19950405
FI 9501681	A	19951012	FI 1995-1681	19950407
AU 9516354	A1	19951019	AU 1995-16354	19950407
AU 683722	B2	19971120		
US 5698581	A	19971216	US 1995-418434	19950407
CA 2146707	AA	19951012	CA 1995-2146707	19950410
NO 9501405	A	19951012	NO 1995-1405	19950410
JP 07291927	A2	19951107	JP 1995-107811	19950410
ZA 9502930	A	19960126	ZA 1995-2930	19950410
HU 71616	A2	19960129	HU 1995-1035	19950410
CN 1117044	A	19960221	CN 1995-104391	19950410
CN 1073988	B	20011031		
IL 113310	A1	20000629	IL 1995-113310	19950410

L4 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PRIORITY APPLN. INFO.: DE 1994-4412334 A 19940411
OTHER SOURCE(S): MARPAT 124:86809
IT 172457-81-7P 172457-82-8P 172457-83-9P
172457-84-0P 172457-85-1P 172457-86-2P
172457-87-3P 172457-88-4P 172460-17-2P
172460-18-3P 172460-19-4P 172460-20-7P
172461-16-4P 172461-17-5P 172461-18-6P
172461-19-7P 172461-52-8P 172461-53-9P
172461-54-0P 172461-55-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (pyrrolyl- and thienylcarbonyl)guanidines as sodium-hydrogen
exchange inhibitors, antiarrhythmic agents, and cell proliferation inhibitors)

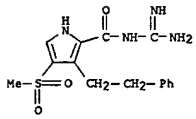
RN 172457-81-7 HCAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-4-(methylsulfonyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



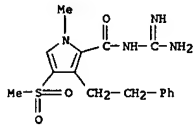
RN 172457-82-8 HCAPLUS
CN 2-Furancarboxamide, N-(aminoiminomethyl)-4-(methylsulfonyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



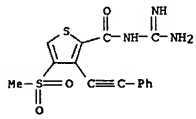
RN 172457-83-9 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-4-(methylsulfonyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 172457-84-0 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-1-methyl-4-(methylsulfonyl)-3-(2-phenylethyl)- (9CI) (CA INDEX NAME)

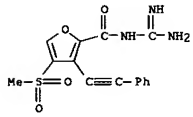


RN 172457-85-1 HCAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-4-(methylsulfonyl)-3-(phenylethynyl)- (9CI) (CA INDEX NAME)

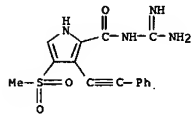


RN 172457-86-2 HCAPLUS
CN 2-Furancarboxamide, N-(aminoiminomethyl)-4-(methylsulfonyl)-3-(phenylethynyl)- (9CI) (CA INDEX NAME)

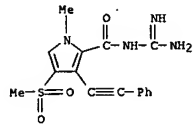
L4 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



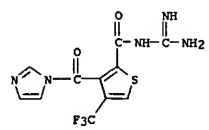
RN 172457-87-3 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-4-(methylsulfonyl)-3-(phenylethynyl)- (9CI) (CA INDEX NAME)



RN 172457-88-4 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-1-methyl-4-(methylsulfonyl)-3-(phenylethynyl)- (9CI) (CA INDEX NAME)

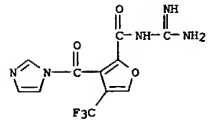


RN 172460-17-2 HCAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-3-(1H-imidazol-1-ylcarbonyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

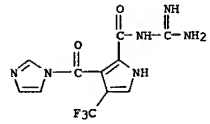


RN 172460-18-3 HCAPLUS

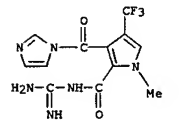
L4 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 2-Furancarboxamide, N-(aminoiminomethyl)-3-(1H-imidazol-1-ylcarbonyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



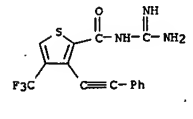
RN 172460-19-4 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-3-(1H-imidazol-1-ylcarbonyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



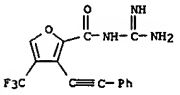
RN 172460-20-7 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-3-(1H-imidazol-1-ylcarbonyl)-1-methyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



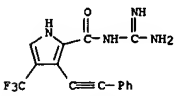
RN 172461-16-4 HCAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-3-(phenylethynyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



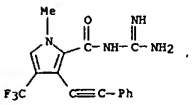
L4 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 172461-17-5 HCAPLUS
CN 2-Furancarboxamide, N-(aminoiminomethyl)-3-(phenylethynyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



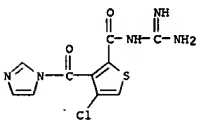
RN 172461-18-6 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-3-(phenylethynyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



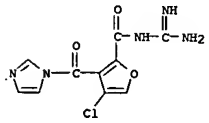
RN 172461-19-7 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-1-methyl-3-(phenylethynyl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



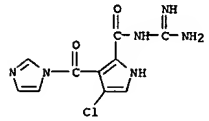
RN 172461-52-8 HCAPLUS
CN 2-Thiophenecarboxamide, N-(aminoiminomethyl)-4-chloro-3-(1H-imidazol-1-ylcarbonyl)- (9CI) (CA INDEX NAME)



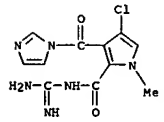
L4 ANSWER 39 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 172461-53-9 HCAPLUS
CN 2-Furancarboxamide, N-(aminoiminomethyl)-4-chloro-3-(1H-imidazol-1-ylcarbonyl)- (9CI) (CA INDEX NAME)



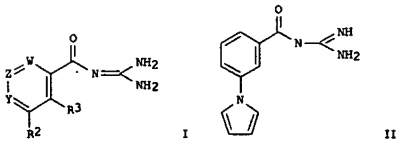
RN 172461-54-0 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-4-chloro-3-(1H-imidazol-1-ylcarbonyl)- (9CI) (CA INDEX NAME)



RN 172461-55-1 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-(aminoiminomethyl)-4-chloro-3-(1H-imidazol-1-ylcarbonyl)-1-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 06 Oct 1995
GI



AB The N-benzoylguanidine derivs. or N-(heteroaroyl)guanidine derivs. I (X, Y, Z = nitrogen, methine; R2 = H, aryl, etc.; R3 = H, alkoxy, hydroxy, etc.) and pharmaceutically acceptable salts thereof were disclosed as pharmaceuticals. I inhibit the sodium/hydrogen exchange in cells and are hence useful for the treatment of cardiovascular diseases, cerebrovascular diseases, renal diseases, arteriosclerosis or shock. A claimed example compound is N-[3-(1H-pyrrol-1-yl)benzoyl]guanidine [i.e., N-(aminoiminomethyl)-3-(1H-pyrrol-1-yl)benzamide] (II).

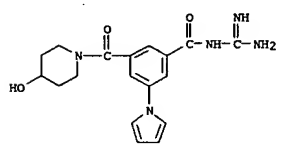
ACCESSION NUMBER: 1995:835463 HCAPLUS
DOCUMENT NUMBER: 123:256771
TITLE: Guanidine derivatives as inhibitors of Na+/H+ exchange in cells
INVENTOR(S): Kuno, Atsushi; Inoue, Yoshikazu; Takasugi, Hisashi; Mizuno, Hiroaki; Yamasaki, Kumi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9426709	A1	19941124	WO 1994-JP786	19940512
W: AU, CA, CN, HU, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 393487	B	20000611	TW 1994-83104223	19940510
CA 2163004	AA	19941124	CA 1994-2163004	19940512
AU 9466912	A1	19941212	AU 1994-66912	19940512
AU 685457	B2	19980122		
HU 70206	A2	19950928	HU 1994-3233	19940512
EP 699185	A1	19960306	EP 1994-914623	19940512
EP 699185	B1	20010905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1123545	A	19960529	CN 1994-192121	19940512
CN 1080257	B	20020306		
JP 08511243	T2	19961126	JP 1994-525245	19940512
RU 2141946	C1	19991127	RU 1995-122558	19940512
AT 205191	E	20010915	AT 1994-914623	19940512

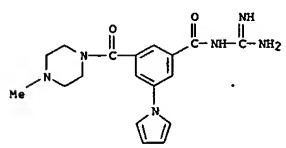
L4 ANSWER 40 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ES 2159558	T3	20011016	ES 1994-914623	19940512
PT 699185	T	20020130	PT 1994-914623	19940512
ZA 9403388	A	19950123	ZA 1994-3388	19940517
US 5824691	A	19981020	US 1995-532804	19951109
GR 3036549	T3	20011231	GR 2001-401402	20010906
PRIORITY APPLN. INFO.:			GB 1993-10074 A	19930517
			GB 1993-25268 A	19931210
			WO 1994-JP786 W	19940512

OTHER SOURCE(S): MARPAT 123:256771
IT 168620-27-7P 168620-29-9P 168620-94-8P
168621-27-0P 168621-60-1P 168621-70-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(aroyl)guanidine derivs. as sodium exchange inhibitors)
RN 168620-27-7 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-[(4-hydroxy-1-piperidinyl)carbonyl]-5-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



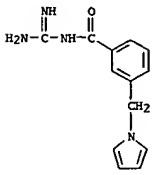
RN 168620-29-9 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-[(4-methyl-1-piperazinyl)carbonyl]-5-(1H-pyrrol-1-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 168620-94-8 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(1H-pyrrol-1-ylmethyl)- (9CI) (CA INDEX NAME)

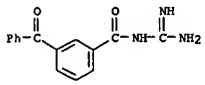
L4 ANSWER 40 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 168621-27-0 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-benzoyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 168621-26-9
CMF C15 H13 N3 O2

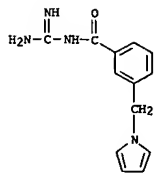


CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 168621-60-1 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(1H-pyrrol-1-ylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

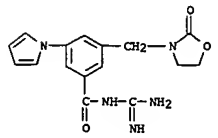


● HCl

RN 168621-70-3 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-[(2-oxo-3-oxazolidinyl)methyl]-5-(1H-pyrrol-1-yl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 168621-69-0
CMF C16 H17 N5 O3

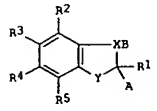


CM 2

CRN 75-75-2
CMF C H4 O3 S

L4 ANSWER 40 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 13 Sep 1995
GI



I

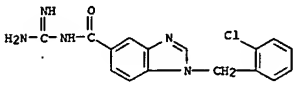
AB Title compds. [I; X = N, CR6; Y = O, S, NR7; A, B = H; AB = bond; 1 of R1-R6 = CON:C(NH2)2, the other of R1-R6 = H, F, Cl, Br, iodo, alkyl, ≤2 of R1-R6 = cyano, NO2, N3, alkoxy, CF3, etc.; R7 = H, alkyl, alkenyl, etc.], were prepared Thus, 3-chloro-5-fluoro-1-methylindolyl-2-carboxylic acid guanidide hydrochloride (synthetic outline given) inhibited rabbit erythrocyte Na+/H+-exchanger with IC50 = 3 + 10-8 M.

ACCESSION NUMBER: 1995:787157 HCAPLUS
DOCUMENT NUMBER: 123:256510
TITLE: Preparation of indolylcarbonylguanidines, benzofurylcarbonylguanidines, benzothienylcarbonylguanidines, benzimidazolylcarbonylguanidines, and related compounds as drugs and diagnostic agents.
INVENTOR(S): Lang, Hans Jochen; Weichert, Andreas; Schwark, Jan Robert; Scholz, wolfgang; Albus, Udo; Crause, Peter
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 639573	A1	19950222	EP 1994-111765		19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
DE 4326005	A1	19950209	DE 1993-4326005		19930803
DE 4414316	A1	19951026	DE 1994-4414316		19940425
PRIORITY APPLN. INFO.:			DE 1993-4326005	A	19930803
			DE 1994-4414316	A	19940425

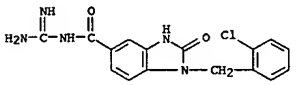
OTHER SOURCE(S): MARPAT 123:256510
IT 167406-19-1P 167406-21-5P 167406-23-7P
167406-28-2P 167406-29-3P 167406-31-7P
167406-32-8P 167406-38-4P 167406-46-4P
167406-48-6P 167406-50-0P 167630-59-3P
167630-60-6P 167630-75-3P 167631-09-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolylcarbonylguanidines, benzofurylcarbonylguanidines, benzothienylcarbonylguanidines, benzimidazolylcarbonylguanidines, and related compds. as drugs)

L4 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 167406-19-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(aminoiminomethyl)-1-[(2-chlorophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



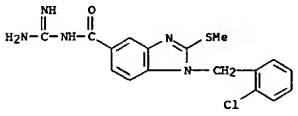
● HCl

RN 167406-21-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(aminoiminomethyl)-1-[(2-chlorophenyl)methyl]-2,3-dihydro-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



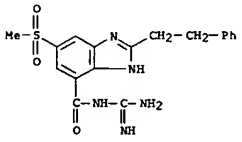
● HCl

RN 167406-23-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(aminoiminomethyl)-1-[(2-chlorophenyl)methyl]-2-(methylthio)-, monohydrochloride (9CI) (CA INDEX NAME)



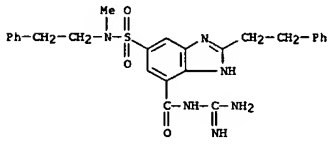
● HCl

L4 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



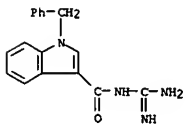
● HCl

RN 167406-32-8 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-6-[[methyl(2-phenylethyl)amino]sulfonyl]-2-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



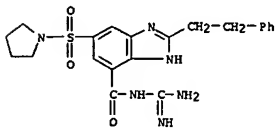
● HCl

RN 167406-38-4 HCAPLUS
CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



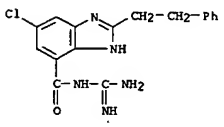
● HCl

L4 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 167406-28-2 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-2-(2-phenylethyl)-6-(1-pyrrolidinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

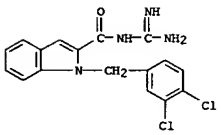
RN 167406-29-3 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-6-chloro-2-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

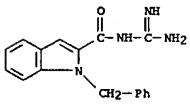
RN 167406-31-7 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-6-(methylsulfonyl)-2-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 167406-46-4 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(3,4-dichlorophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



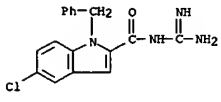
● HCl

RN 167406-48-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

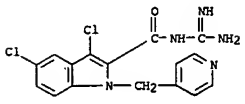
RN 167406-50-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-5-chloro-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

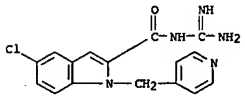
RN 167630-59-3 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-3,5-dichloro-1-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

RN 167630-60-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-5-chloro-1-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

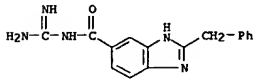


●2 HCl

RN 167630-75-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(aminoiminomethyl)-2-(phenylmethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 167630-74-2
CMF C16 H15 N5 O

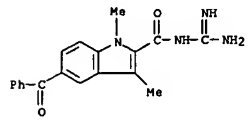


CM 2

CRN 75-75-2
CMF C H4 O3 S

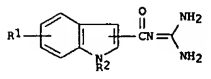
L4 ANSWER 41 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 167631-09-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-5-benzoyl-1,3-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 09 Sep 1995
GI



I

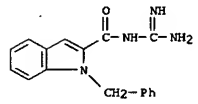
AB The title compds., N-(diaminomethylene)-1H-indolecarboxamides (indoloylguanidines) I (R1 = H, alkyl, alkenyl,etc.; R2 = H, alkyl, cycloalkyl, etc.) were disclosed as compds. that inhibit the Na+/H+ exchanger activity and are therefore useful in the treatment and prevention of disease caused by increased Na+/H+ exchanger activity.

ACCESSION NUMBER: 1995:781759 HCAPLUS
DOCUMENT NUMBER: 123:169498
TITLE: Indoloylguanidine derivatives as inhibitors of sodium-hydrogen exchange.
INVENTOR(S): Kojima, Atsuyuki; Kitano, Masahumi; Miyagishi, Akira; Noguchi, Tsuyoshi; Yagi, Hideki; Nakano, Kazuhiro; Ohashi, Naohito
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 622356	A1	19941102	EP 1994-303101	19940428
EP 622356	B1	19980701		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
JP 07010839	A2	19950113	JP 1994-99363	19940412
JP 3162572	B2	20010508		
CA 2121391	AA	19941029	CA 1994-2121391	19940415
TW 402600	B	20000821	TW 1994-83103505	19940420
CN 1106800	A	19950816	CN 1994-105367	19940428
CN 1051301	B	20000412		
AT 167854	E	19980715	AT 1994-303101	19940428
ES 2117759	T3	19980816	ES 1994-303101	19940428

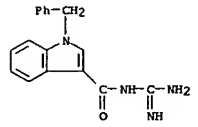
PRIORITY APPLN. INFO.: JP 1993-125085 A 19930428
OTHER SOURCE(S): MARPAT 123:169498
IT 167477-41-0P 167477-42-1P 167477-61-4P
167477-62-5P 167477-63-6P 167477-64-7P
167477-65-8P 167477-66-9P 167477-67-0P
167477-69-2P 167477-71-6P 167478-07-1P
167478-31-1P 167478-68-4P 167478-69-5P
167478-71-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sodium channel blocker
N-([(dimethylamino)methylene]indoleca
rboxamide)
RN 167477-41-0 HCAPLUS

L4 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



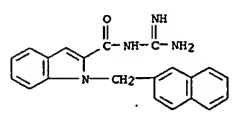
●x HCl

RN 167477-42-1 HCAPLUS
CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

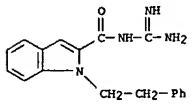
RN 167477-61-4 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-naphthalenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

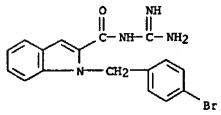
RN 167477-62-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



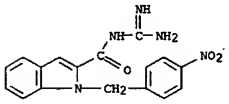
●x HCl

RN 167477-63-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-bromophenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

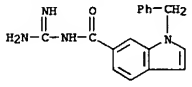
RN 167477-64-7 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-nitrophenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

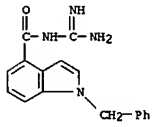
RN 167477-65-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-methoxyphenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



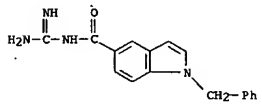
●x HCl

RN 167477-71-6 HCAPLUS
CN 1H-Indole-4-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

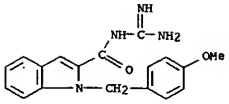
RN 167478-07-1 HCAPLUS
CN 1H-Indole-5-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

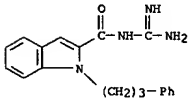
RN 167478-31-1 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(4-aminophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



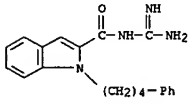
●x HCl

RN 167477-66-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(3-phenylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

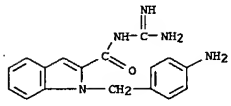
RN 167477-67-0 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(4-phenylbutyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

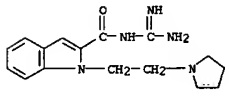
RN 167477-69-2 HCAPLUS
CN 1H-Indole-6-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



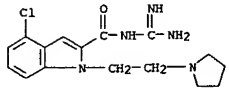
● HCl

RN 167478-68-4 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

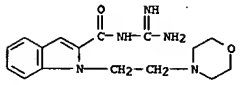
RN 167478-69-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-4-chloro-1-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

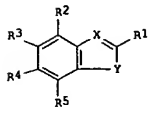
RN 167478-71-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[2-(4-morpholinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● x HCl

L4 ANSWER 43 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Sep 1995
GI



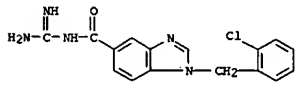
I

AB The title compds. [I; X = N, CR6; Y = O, S, NR7; 1 of R1-R6 may be CON:C(NH2)2 and the other R1-R6 = H, F, Cl, Br, I, C1-4 alkyl, and ≤2 of R1-R6 = CN, NO2, N3, alkoxy, CF3, etc.; R7 = H, C1-10 alkyl, C1-10 alkenyl, etc.] (e.g., 6-chloro-2-benzofuranylcarbonylguanidine hydrochloride; m.p. 272-274°), useful for inhibiting Na+/H+ exchange (no data), in the treatment of fibrotic diseases (no data), for cancer (no data), for the treatment or prophylaxis of ischemia (no data), for benign prostatic hypertrophy (no data), etc. (no data), are prepared

ACCESSION NUMBER: 1995:780271 HCAPLUS
DOCUMENT NUMBER: 123:169492
TITLE: Preparation of benzo-condensed 5-ring heterocyclic sodium-channel blockers and their claimed pharmaceutical applications
INVENTOR(S): Lang, Hans Jochen; Weichert, Andreas; Schwark, Jan-Robert; Scholz, Wolfgang; Albus, Udo; Crause, Peter
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

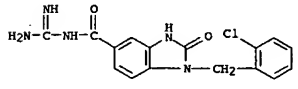
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4326005	A1	19950209	DE 1993-4326005	19930803
EP 639573	A1	19950222	EP 1994-111765	19940728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
IL 110503	A1	20000629	IL 1994-110503	19940729
FI 9403579	A	19950204	FI 1994-3579	19940801
AU 9468844	A1	19950216	AU 1994-68844	19940801
AU 682371	B2	19971002		
CA 2129301	AA	19950204	CA 1994-2129301	19940802
NO 9402864	A	19950206	NO 1994-2864	19940802
ZA 9405734	A	19950307	ZA 1994-5734	19940802
JP 07145149	A2	19950606	JP 1994-198940	19940802
CN 1118347	A	19960313	CN 1994-109516	19940802
HU 70547	A2	19951030	HU 1994-2271	19940803
HU 218790	B	20001228		
US 5852046	A	19981222	US 1997-872180	19970610
PRIORITY APPLN. INFO.:			DE 1993-4326005	A 19930803
			DE 1994-4414316	A 19940425
			US 1994-282506	B2 19940801
			US 1995-459661	B1 19950602

OTHER SOURCE(S): MARPAT 123:169492
IT 167406-19-1P 167406-21-5P 167406-23-7P
167406-28-2P 167406-29-3P 167406-31-7P
167406-32-8P 167406-38-4P 167406-46-4P
167406-48-6P 167406-50-0P 167406-60-2P
167406-61-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo-condensed 5-ring heterocyclic sodium-channel blockers
and their claimed pharmaceutical application)
RN 167406-19-1 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(aminoiminomethyl)-1-[(2-chlorophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

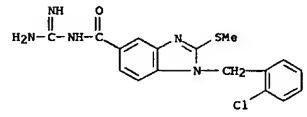
RN 167406-21-5 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(aminoiminomethyl)-1-[(2-chlorophenyl)methyl]-2,3-dihydro-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

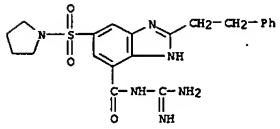
RN 167406-23-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-(aminoiminomethyl)-1-[(2-chlorophenyl)methyl]-2-(methylthio)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



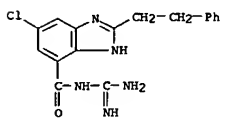
● HCl

RN 167406-28-2 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-2-(2-phenylethyl)-6-(1-pyrrolidinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

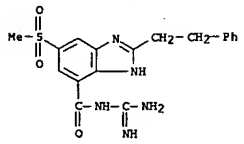
RN 167406-29-3 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-6-chloro-2-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

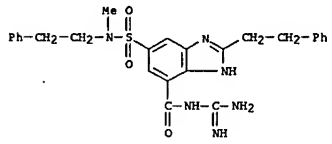
RN 167406-31-7 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-6-(methylsulfonyl)-2-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

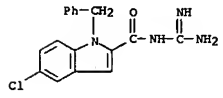
RN 167406-32-8 HCAPLUS
CN 1H-Benzimidazole-4-carboxamide, N-(aminoiminomethyl)-6-[[methyl(2-phenylethyl)amino]sulfonyl]-2-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

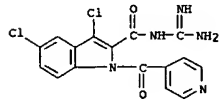
RN 167406-38-4 HCAPLUS
CN 1H-Indole-3-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-5-chloro-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



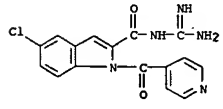
● HCl

RN 167406-60-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-3,5-dichloro-1-(4-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)



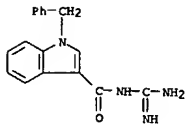
●2 HCl

RN 167406-61-3 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-5-chloro-1-(4-pyridinylcarbonyl)-, dihydrochloride (9CI) (CA INDEX NAME)



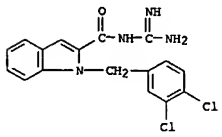
●2 HCl

L4 ANSWER 43 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



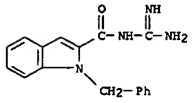
● HCl

RN 167406-46-4 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-[(3,4-dichlorophenyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

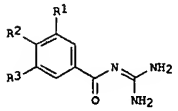
RN 167406-48-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-(aminoiminomethyl)-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 167406-50-0 HCAPLUS

L4 ANSWER 44 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Mar 1995
GI



I

AB Title compds. (I; R1, R2, R3 = H, F, Cl, Br, iodo, alkyl; 1 of R1-R3 = N3, cyano, Oh, alkoxy, etc.), were prepared as inhibitors of the cellular sodium-proton antiporter (no data). Thus, 3,5-dichlorobenzoic acid was stirred with carbonyldiimidazole and then guanidine in THF followed by salification to give 3,5-dichlorobenzoylguanidine hydrochloride.

ACCESSION NUMBER: 1995:426566 HCAPLUS
DOCUMENT NUMBER: 122:187136
TITLE: Preparation of substituted benzoylguanidines as inhibitors of cellular Na+/H+ exchange
INVENTOR(S): Lang, Hans-Jochen; Weichert, Andreas; Kleemann, Heinz-Werner; Schwark, Jan-Robert; Scholz, Wolfgang; Albus, Udo
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 18
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 612723	A1	19940831	EP 1994-102322	19940216
EP 612723	B1	19970827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 157351	E	19970915	AT 1994-102322	19940216
ES 2107698	T3	19971201	ES 1994-102322	19940216
FI 9400756	A	19940821	FI 1994-756	19940217
FI 114467	B1	20041029		
IL 108697	A1	20000716	IL 1994-108697	19940217
CA 2115967	AA	19940821	CA 1994-2115967	19940218
NO 9400563	A	19940822	NO 1994-563	19940218
AU 9455229	A1	19940825	AU 1994-55229	19940218
AU 668265	B2	19960426		
ZA 9401119	A	19940830	ZA 1994-1119	19940218
JP 06256291	A2	19940913	JP 1994-20762	19940218
JP 3554352	B2	20040818		
HU 70535	A2	19951030	HU 1994-466	19940218
HU 218915	B	20001228		
TW 420659	B	20010201	TW 1994-83102858	19940401
US 5866610	A	19990202	US 1996-683141	19960718
JP 2004189755	A2	20040708	JP 2004-87016	20040324

L4 ANSWER 44 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

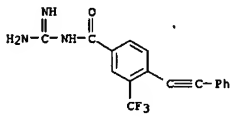
JP 3806431	B2	20060809	
PRIORITY APPLN. INFO.:		DE 1993-4305250	A 19930220
		JP 1994-20762	A3 19940218
		US 1994-198812	B1 19940218
		US 1995-391272	B1 19950221

OTHER SOURCE(S): MARPAT 122:187136

IT 161621-81-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzoylguanidines as inhibitors of cellular Na+/H+ exchange)

RN 161621-81-4 HCAPLUS

CN Benzamide, N-(aminoiminomethyl)-4-(phenylethynyl)-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

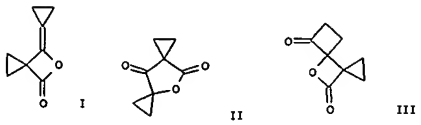


● HCl

L4 ANSWER 45 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 08 Nov 1994

GI



I II III

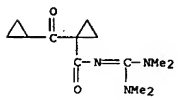
AB The title lactone (I) acylates a variety of amines including phenylalanine, sterically hindered alcs., and phenols. With activated non-enolizable carbonyl compds., bis-spiro 6-membered β-keto lactones are formed. Oxidative rearrangement of I affords bis-spiro lactones II and III.

ACCESSION NUMBER:	1995:24140 HCAPLUS
DOCUMENT NUMBER:	123:82857
TITLE:	Selected transformations of 6-cyclopropylidene-5-oxaspiro[2.3]hexan-4-one, a highly strained tricyclic β-lactone
AUTHOR(S):	Wulferding, Andreas; Jankowski, Joerg H.; Hoffmann, Martin R.
CORPORATE SOURCE:	Inst. Fuer Org. Chem., Universitaet Hannover, Hannover, D-30167, Germany
SOURCE:	Chemische Berichte (1994), 127(7), 1275-81 CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 123:82857

IT 165314-57-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(acylation reactions of cyclopropylideneoxaspirohexanone)

RN 165314-57-8 HCAPLUS

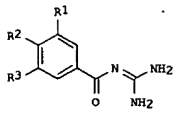
CN Cyclopropanecarboxamide, N-[bis(dimethylamino)methylene]-1-(cyclopropylcarbonyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 26 Nov 1994

GI



I

AB Title compds. [I; R1 = H, halo, CF3, cyano, alkylsulfonyl, etc.; R2 = OR10, NHR10, CHR10R12, CR12R13OR131, COCH2R14, etc.; R3 = H, Me, cyano, CF3, F, Cl, etc.; R10 = CH2[CH(OH)]qCH2OH, (CH2)pO(CH2CH2O)nMe, etc.; R12,R13,R131 = H, alkyl; n,p = 0-2; q = 0-5] were prepared as cardiovascular agents (no data). Thus, 4,3-R2(MeO2S)C6H3CO2Me (II; R2 = Et) was oxidized to II (R2 = MeCO) which was condensed with Me2Zn to give II (R2 = HOCMe2). The latter was refluxed with HN:C(NH2)2 to give, after acidification, I.HCl (R1 = H, R2 = HOCMe2, R3 = SO2Me).

ACCESSION NUMBER:	1994:655423 HCAPLUS
DOCUMENT NUMBER:	121:255423
TITLE:	Preparation of N-benzoylguanidines as cardiovascular agents
INVENTOR(S):	Weichert, Andreas; Lang, Hans Jochen; Kleemann, Heinz Werner; Scholz, Wolfgang; Albus, Udo
PATENT ASSIGNEE(S):	Hoechst A.-G., Germany
SOURCE:	Eur. Pat. Appl., 22 pp. CODEN: EPXXDW
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 602522	A1	19940622	EP 1993-119781	19931208
EP 602522	B1	19960529		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 138646	E	19960615	AT 1993-119781	19931208
ES 2087637	T3	19960716	ES 1993-119781	19931208
FI 9305577	A	19940616	FI 1993-5577	19931213
FI 115049	B1	20050228		
AU 9352490	A1	19940630	AU 1993-52490	19931213
AU 663425	B2	19951005		
CA 2111385	AA	19940616	CA 1993-2111385	19931214
NO 9304605	A	19940616	NO 1993-4605	19931214
JP 06234727	A2	19940823	JP 1993-313040	19931214
JP 3545793	B2	20040721		
HU 70427	A2	19951030	HU 1993-3595	19931215
HU 220224	B	20011128		
US 5670544	A	19970923	US 1995-451310	19950526
PRIORITY APPLN. INFO.:			DE 1992-4242192 A	19921215
			US 1993-165667 B1	19931213
			US 1994-337237 B1	19941104

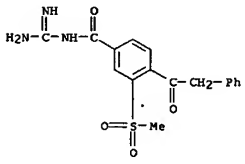
OTHER SOURCE(S): MARPAT 121:255423

L4 ANSWER 46 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 158607-77-3P 158607-78-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)

RN 158607-77-3 HCAPLUS

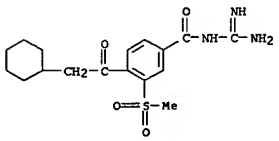
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(phenylacetyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

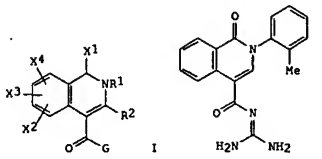
RN 158607-78-4 HCAPLUS

CN Benzamide, N-(aminoiminomethyl)-4-(cyclohexylacetyl)-3-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 47 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Aug 1994
GI



I II

AB Described are (guanidinocarbonyl)isoquinolines I [R1 = H, (amino)(cyclo)(aryl)alk(en)yl, (un)substituted (hetero)aryl; R2 = H, halo, alkyl, (un)substituted aryl; G = guanidino group N:C(NR3R4)(NR5R6) (R3-R6 undefined, preferably H); X1 = H2, O, S, NR7; X2, X3, X4 = H, halo, NO2, amino, alkyl, sulfonamide, (mono)(di)(lower alkyl)amino, PhCH2O, OH; alk(en)yl chains may be interrupted by O, S, or NR8; R7, R8 = as given for R1] and their pharmaceutically acceptable salts. Also described is the preparation of I, their use as medicaments, and medicaments containing them for treating congestive heart failure and arrhythmic conditions, and as cardioprotective agents. For example, reaction of homophthalic anhydride with HC(OEt)3 and o-toluidine gave the 4-(2-methylphenyl)aminomethylene derivative, which was treated with NaOH in EtOH to give 2-(2-methylphenyl)-4-carboxy-1(2H)-isoquinoline. Coupling of this with guanidine using carbonyldiimidazole gave title compound II, isolated as its HCl salt (III). At 0.1 μM in a reperfusion-induced arrhythmia assay in isolated rat heart, III reduced duration of ventricular fibrillation from 26.25 min (control) to 7.5 min.

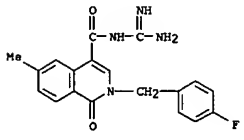
ACCESSION NUMBER: 1994:483083 HCAPLUS
DOCUMENT NUMBER: 121:83083
TITLE: Antiarrhythmic and cardioprotective substituted 1(2H)-isoquinolinones, process for their production, medicaments containing them, and their use for the production of a medicament for combating heart failures
INVENTOR(S): Lal, Bansi; Gidwani, Ramesh Matioram; Rajagopalan, Ramanujam; Panicker, Radha; Sankar, Chinnakulandai; Lang, Hans Jochen; Englert, Heinrich; Scholz, Wolfgang
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

L4 ANSWER 47 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 590455	A1	19940406	EP 1993-115081	19930920
EP 590455	B1	20001227		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 198328	E	20010115	AT 1993-115081	19930920
ES 2152938	T3	20010216	ES 1993-115081	19930920
PT 590455	T	20010430	PT 1993-115081	19930920
FI 9304185	A	19940329	FI 1993-4185	19930924
FI 103884	B1	19991015		
US 5416094	A	19950516	US 1993-126200	19930924
CA 2107008	AA	19940329	CA 1993-2107008	19930927
CA 2107008	C	20041116		
NO 9303450	A	19940329	NO 1993-3450	19930927
NO 180374	B	19961230		
NO 180374	C	19970409		
AU 9348659	A1	19940414	AU 1993-48659	19930927
AU 662079	B2	19950817		
ZA 9307141	A	19940518	ZA 1993-7141	19930927
JP 06211799	A2	19940802	JP 1993-239966	19930927
JP 3645579	B2	20050511		
HU 70149	A2	19950928	HU 1993-2725	19930927
HU 218208	B	20000628		
IL 107118	A1	19980615	IL 1993-107118	19930927
GR 3035537	T3	20010629	GR 2001-400378	20010309
PRIORITY APPLN. INFO.:			EP 1992-116535 A	19920928
			EP 1993-115081 A	19930920

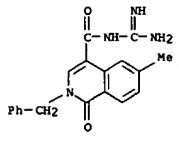
OTHER SOURCE(S): MARPAT 121:83083
IT 156268-90-5P 156268-91-6P 156268-92-7P
156268-95-0P 156268-99-4P 156269-24-8P
156269-29-3P 156269-30-6P 156269-31-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as cardiovascular agent)
RN 156268-90-5 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-fluorophenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 47 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



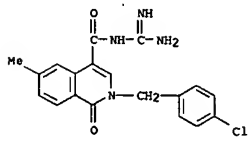
● HCl

RN 156268-91-6 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-1,2-dihydro-6-methyl-1-oxo-2-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

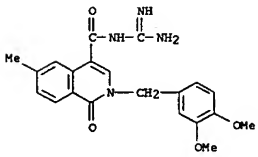
RN 156268-92-7 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-chlorophenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

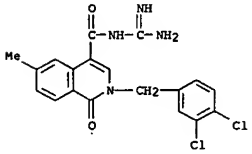
RN 156268-95-0 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(3,4-dimethoxyphenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride

L4 ANSWER 47 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



● HCl

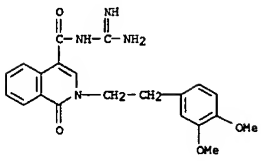
RN 156268-99-4 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(3,4-dichlorophenyl)methyl]-1,2-dihydro-6-methyl-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

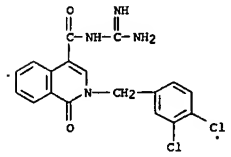
RN 156269-24-8 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[2-(3,4-dimethoxyphenyl)ethyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 47 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

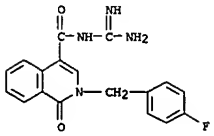
RN 156269-29-3 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(3,4-dichlorophenyl)methyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

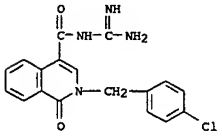
RN 156269-30-6 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-fluorophenyl)methyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 47 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



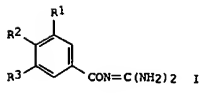
● HCl

RN 156269-31-7 HCAPLUS
CN 4-Isoquinolinecarboxamide, N-(aminoiminomethyl)-2-[(4-chlorophenyl)methyl]-1,2-dihydro-1-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 48 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 20 Aug 1994
GI



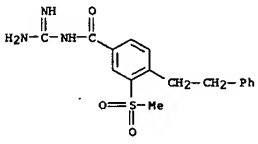
AB Title compds. I (R1 = R4SOm, R5R6NSO2 wherein R4, R5 = C1-8 alkyl, C3-6 alkenyl,, etc., m = 0-2, R5 = also H, R6 = H, C1-4 alkyl, etc.; R2 = H, C5-8 alkyl, (substituted) Ph, R12C:C wherein R12 = (substituted) Ph, R15R14N wherein R14, R15 = H, C1-4 alkyl, C1-9 (substituted) heteroaryl, C3-8 cycloalkyl, etc.; R3 = groups as defined for R2), or a salt thereof, are prepared I are suitable as antiarrhythmics (data given) treatment or prophylaxis of cardiac infarct, angina pectoris, heart ischemia, etc. (no data). 3-(Methylsulfonyl)-4-phenylbenzoic acid (preparation given) in THF was treated with carbonyldiimidazole followed by guanidine to give I (R1 = MeSO2, R2 = Ph, R3 = H) (II). The antiarrhythmic effect was demonstrated with II by inhibition of Na+/H+ exchanger of rabbit erythrocytes with IC50 2-3 + 10-6.

ACCESSION NUMBER: 1994:482737 HCAPLUS
DOCUMENT NUMBER: 121:82737
TITLE: 3,4,5-substituted benzoylguanidines, process for their preparation, and their use as medicaments
INVENTOR(S): Weichert, Andreas; Lang, Hans-jochen; Scholz, Wolfgang; Albus, Udo; Lang, Florian
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Can. Pat. Appl., 34 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2099445	AA	19940102	CA 1993-2099445	19930630
IL 106157	A1	19991130	IL 1993-106157	19930628
FI 113367	B1	20040415	FI 1993-2998	19930629
AU 9341635	A1	19940106	AU 1993-41635	19930630
AU 658309	B2	19950406		
ZA 9304719	A	19940125	ZA 1993-4719	19930630
JP 06116230	A2	19940426	JP 1993-159886	19930630
JP 3490739	B2	20040126		
US 5693672	A	19971202	US 1995-451309	19950526
PRIORITY APPLN. INFO.:			DE 1992-4221594	A 19920701
			DE 1992-4224107	A 19920722
			DE 1992-4244319	A 19921228
			US 1993-83576	B1 19930630
			US 1994-303006	B1 19940908

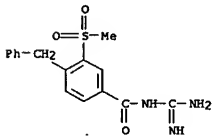
OTHER SOURCE(S): MARPAT 121:82737

L4 ANSWER 48 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 154117-55-2P 154117-57-4P 156267-44-6P
156267-45-7P 156267-46-8P 156267-47-9P
156267-48-0P 156267-50-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as drug)
RN 154117-55-2 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

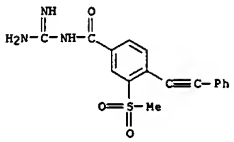
RN 154117-57-4 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

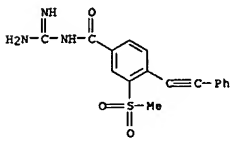
RN 156267-44-6 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(phenylethynyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 48 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

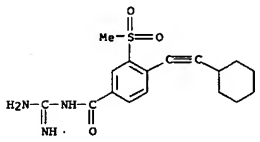


● HCl

RN 156267-45-7 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(phenylethynyl)- (9CI) (CA INDEX NAME)



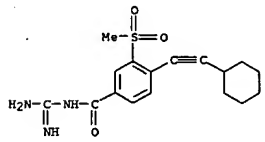
RN 156267-46-8 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-4-(cyclohexylethynyl)-3-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



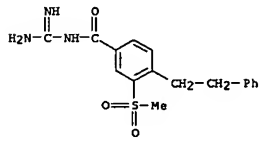
● HCl

L4 ANSWER 48 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

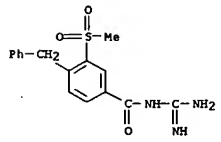
RN 156267-47-9 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-4-(cyclohexylethynyl)-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)



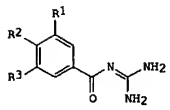
RN 156267-48-0 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 156267-50-4 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 May 1994
GI



I

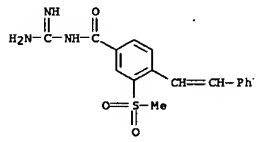
AB Title compds. (I; R1 = R4SO0-2, R5R6NSO2; R2,R3 = H, alkyl, CH:CHPh, C.tplbond.CPh, etc.; R4,R5 = alk(en)yl, phenylalkyl, etc.) were prepared Thus, 4,3-Br(MeO2S)C6H3CO2Me was condensed with cyclopentylzinc chloride and the product converted to I.HCl (R1 = SO2Me, R2 = cyclopentyl, R3 = H) which had IC50 of 1x10-7M for inhibition of the rabbit erythrocyte Na+/H+-exchanger in vitro.

ACCESSION NUMBER: 1994:244385 HCAPLUS
DOCUMENT NUMBER: 120:244385
TITLE: Preparation of benzoylguanidines as proton-sodium exchange inhibitors
INVENTOR(S): Weichert, Andreas; Lang, Hans Jochen; Scholz, Wolfgang; Albus, Udo; Lang, Florian
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 577024	A1	19940105	EP 1993-110195		19930625
EP 577024	B1	19961016			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
AT 144248	E	19961115	AT 1993-110195		19930625
ES 2092729	T3	19961201	ES 1993-110195		19930625
NO 9302392	A	19940103	NO 1993-2392		19930630
NO 179673	B	19960819			
NO 179673	C	19961127			
ZA 9304719	A	19940125	ZA 1993-4719		19930630
US 5693672	A	19971202	US 1995-451309		19950526
PRIORITY APPLN. INFO.:			DE 1992-4221594	A	19920701
			DE 1992-4224107	A	19920722
			DE 1992-4224319	A	19921228
			DE 1992-4244319	A	19921228
			US 1993-83576	B1	19930630
			US 1994-303006	B1	19940908

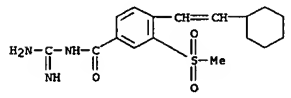
OTHER SOURCE(S): MARPAT 120:244385
IT 154117-53-0P 154117-54-1P 154117-55-2P 154117-57-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as proton-sodium exchange inhibitor)
RN 154117-53-0 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(2-phenylethenyl)-,

L4 ANSWER 49 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
monohydrochloride (9CI) (CA INDEX NAME)



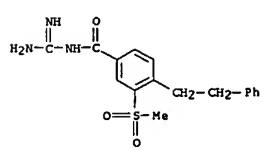
● HCl

RN 154117-54-1 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-4-(2-cyclohexylethenyl)-3-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

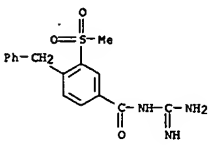
RN 154117-55-2 HCAPLUS
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(2-phenylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

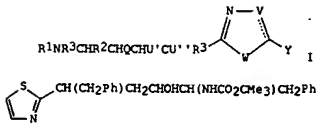
RN 154117-57-4 HCAPLUS

L4 ANSWER 49 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Benzamide, N-(aminoiminomethyl)-3-(methylsulfonyl)-4-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 50 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 05 Mar 1994
GI



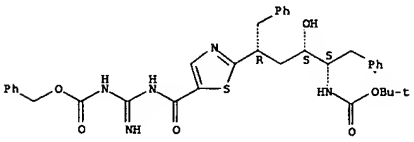
II

AB Title compds. I (R1 = ABt wherein A = R6, R6C:E, R6OC:E, R6NR'C:E, etc., B = amino acid, substituted 5CH2CO, OCH2CO, t = 0, 1, R6 = H, C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, Ar, heterocyclyl, etc., E = O, S, R' = H, C1-4 alkyl, Ar-C1-4-alkyl; R2, R3 = H, C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, Ar, heterocyclyl, etc.; U', U'' = H, HO; Q = HO, H2N; V = N, CY' wherein Y' = H, halo, F3C, Ar, heterocyclyl, etc.; Y = groups as shown for Y'; W = O, S, R11N wherein R11 = H, C1-4 alkyl, Ar-C1-4-alkyl, or together with Y forms a 5-6-membered cycloalkyl, etc.) and a salt thereof, are prepared I inhibited HIV-1 protease enzyme with Ki <0.01-50 μM. 5-[1-(Tert-Boc-amino)-2-phenylethyl]-3-(phenylmethyl)dihydrofuran-2(3H)-one was converted in 3 steps to (5S,4S-2R)-6-phenyl-5-(tert-butoxycarbonylamino)-4-acetoxy-2-(methylphenyl)-1-thionohexylamine which was treated with ClCH2CHO to give the title compound 3S,4S-II. Pharmaceutical formulations comprising I are given.
ACCESSION NUMBER: 1994:107748 HCAPLUS
DOCUMENT NUMBER: 120:107748
TITLE: Preparation of peptide isosters containing a heterocycle as HIV inhibitors
INVENTOR(S): Dreyer, Geoffrey Bainbridge; Gleason, John Gerald; Meek, Thomas Downing; Thompson, Scott Kevin
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

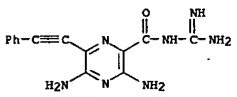
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9305026	A1	19930318	WO 1992-US7747	19920911
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9226424	A1	19930405	AU 1992-26424	19920911
ZA 9206933	A	19940309	ZA 1992-6933	19920911
EP 603309	A1	19940629	EP 1992-920181	19920911
R: BE, CH, DE, FR, GB, IT, LI, NL				

L4 ANSWER 50 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
JP 06510766 T2 19941201 JP 1992-505523 19920911
PRIORITY APPLN. INFO.: US 1991-757751 A2 19910911
WO 1992-US7747 A 19920911
OTHER SOURCE(S): MARPAT 120:107748
IT 149976-25-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as HIV-1 protease inhibitor)
RN 149976-25-0 HCAPLUS
CN Carbamic acid, [[[[2-[(1R,3S,4S)-4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxy-5-phenyl-1-(phenylmethyl)pentyl]-5-thiazolyl]carbonyl]amino]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

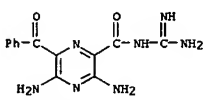
Absolute stereochemistry.



L4 ANSWER 51 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Jun 1993
AB Fifteen novel amiloride analogs were synthesized and their diuretic properties compared to amiloride and triamterene in white wistar rats. Whereas none of the 6-substituted derivs. exhibited significant natriuretic and antikaliuretic effects, five of the compds. modified in the 2-position were found equal or better than stds. The results are discussed with respect to chemical structure and physicochem. properties.
ACCESSION NUMBER: 1993:234003 HCAPLUS
DOCUMENT NUMBER: 118:234003
TITLE: Preparation and diuretic properties of novel amiloride analogs
AUTHOR(S): Russ, Thomas; Ried, Walter; Ullrich, Frank; Mutschler, Ernst
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt//Main, D-6000/70, Germany
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1992), 325(12), 761-7
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 146940-42-3P 146940-44-5P 146940-45-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and diuretic properties of)
RN 146940-42-3 HCAPLUS
CN Pyrazinecarboxamide, 3,5-diamino-N-(aminoiminomethyl)-6-(phenylethynyl)- (9CI) (CA INDEX NAME)

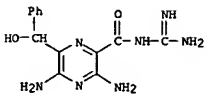


RN 146940-44-5 HCAPLUS
CN Pyrazinecarboxamide, 3,5-diamino-N-(aminoiminomethyl)-6-benzoyl- (9CI) (CA INDEX NAME)

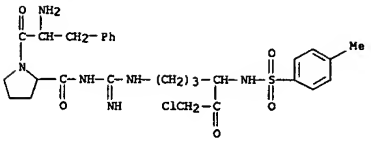


RN 146940-45-6 HCAPLUS
CN Pyrazinecarboxamide, 3,5-diamino-N-(aminoiminomethyl)-6-(hydroxyphenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 52 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 52 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 13 Jun 1992

AB Skin cleansers and washing compns. (such as laundry detergents) comprise at least one compound having a protease inhibitory activity and dermatol. acceptable components. The compds. having a protease inhibitory activity include a compound derived from animal or vegetables (e.g, soybean trypsin inhibitor, corn protease inhibitor), a compound derived from microorganisms (e.g. antipine, plasminostreptin), ω-amino acids, benzamidine, acetamide, guanidine, and derivs. thereof. A cleansing cream contained solid paraffin 3.5, microcryst. wax 8.0, beeswax 5.0, petrolatum 1.0, fluid paraffin 43.0, glycerin monooleate 4.0, polyoxyethylene monooleate 0.5, D-Phe-Pro-NHCH(:N+H2)(CH2)3CH(NH-p-SO3C6H4Me)COCH2Cl 5.0, perfume q.s., and purified water to 100 %.

ACCESSION NUMBER: 1992:241730 HCAPLUS
DOCUMENT NUMBER: 116:241730
TITLE: Washing composition capable of preventing and ameliorating skin irritation
INVENTOR(S): Kitamura, Kenji; Nakayama, Yasukazu; Akiyama, Naoe; Kasahara, Eriko
PATENT ASSIGNEE(S): Shiseido Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 473502	A1	19920304	EP 1991-402307	19910823
EP 473502	B1	19960214		
R: DE, FR, GB, IT				
JP 04106199	A2	19920408	JP 1990-223553	19900824
JP 2939311	B2	19990825		
JP 11315300	A2	19991116	JP 1999-79925	19900824
JP 04169520	A2	19920617	JP 1990-295678	19901101
CA 2049728	AA	19920225	CA 1991-2049728	19910822
US 5306444	A	19940426	US 1993-96206	19930721
PRIORITY APPLN. INFO.:			JP 1990-223553 A	19900824
			JP 1990-295678 A	19901101
			US 1991-748230 B1	19910821

OTHER SOURCE(S): MARPAT 116:241730

IT 141357-50-8
RL: BIOL (Biological study)
(washing compns. containing, as protease inhibitor)

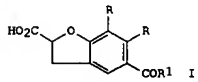
RN 141357-50-8 HCAPLUS

CN L-Prolinamide, D-phenylalanyl-N-[[[6-chloro-4-[[(4-methylphenyl)sulfonyl]amino]-5-oxohexyl]amino]iminomethyl]-, (S)- (9CI) (CA INDEX NAME)

L4 ANSWER 53 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 12 May 1984

GI



AB Eleven title compds. I (R = H, Me, or Cl; R1 = thiophen-1-yl, Ph, CH2Ph, etc.) and various analogs were synthesized and their diuretic activities in rats, dogs, and chimpanzees were studied. In the chimpanzee, examination of the epimers of (±)-6,7-dichloro-2,3-dihydro-5-(2-thienylcarbonyl)-2-benzofurancarboxylic acid (I; R = Cl, R1 = thiophen-1-yl) [62717-18-4] revealed that all of its diuretic and saluretic activity is due to the (+)-(S)-enantiomer [62717-24-2], while the (-)-(R)-enantiomer [62717-25-3] had all of the uricosuric activity. Structure-activity relations are discussed.

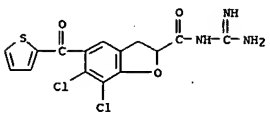
ACCESSION NUMBER: 1981:417995 HCAPLUS
DOCUMENT NUMBER: 95:17995
TITLE: (Acylaryloxy)acetic acid diuretics. 3. 2,3-Dihydro-5-acyl-2-benzofurancarboxylic acids, a new class of uricosuric diuretics
AUTHOR(S): Hoffman, William F.; Woltersdorf, Otto W., Jr.; Novello, Frederick C.; Cragoe, Edward J., Jr.; Springer, James P.; Watson, L. Sherman; Fanelli, George M., Jr.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1981), 24(7), 865-73
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:17995

IT 77886-60-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and diuretic activity of)

RN 77886-60-1 HCAPLUS

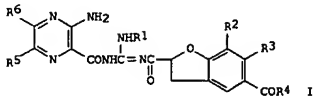
CN 2-Benzofurancarboxamide, N-(aminoiminomethyl)-6,7-dichloro-2,3-dihydro-5-(2-thienylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 53 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

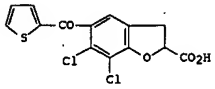


● HCl

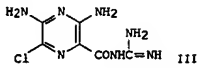
L4 ANSWER 54 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI



I



II



III

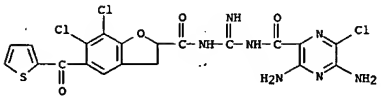
AB Pyrazinecarbonylguanidines I [R1 = H, C1-5 alkyl, R2 = Me, halo, R3 = H, Me, halo; R4 = thienyl or furyl (optionally substituted with C1-5 alkyl), thiadiazolyl, Ph, PhCH2] and their optically active isomers, useful as diuretics (no data), were prepared Thus, benzofurancarboxylic acid II was converted into its acid chloride (with SOCl2), which, in MeCN, was dropped into pyrazinecarboxamide III in MeCN containing NEt3 to give I (R1 = H, R2 = R3 = R5 = Cl, R4 = 2-thienyl, R6 = NH2).

ACCESSION NUMBER: 1979:204147 HCAPLUS
DOCUMENT NUMBER: 90:204147
TITLE: N-Pyrazinylcarbonyl-N'-acylguanidines
INVENTOR(S): Cragoe, Edward J., Jr.; Woltersdorf, Otto W., Jr.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 5 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4140776	A	19790220	US 1977-833860	19770916
PRIORITY APPLN. INFO.:			US 1977-833860 A	19770916

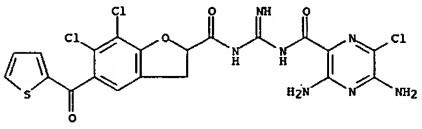
OTHER SOURCE(S): MARPAT 90:204147
IT 70261-93-7P 70261-94-8P 70261-95-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 70261-93-7 HCAPLUS
CN Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-[[[[6,7-dichloro-2,3-dihydro-5-(2-thienylcarbonyl)-2-benzofuranyl]carbonyl]amino]iminomethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 54 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



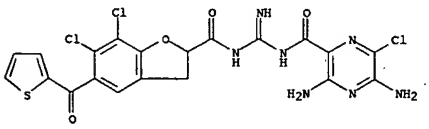
RN 70261-94-8 HCAPLUS
CN Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-[[[[6,7-dichloro-2,3-dihydro-5-(2-thienylcarbonyl)-2-benzofuranyl]carbonyl]amino]iminomethyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 70261-95-9 HCAPLUS
CN Pyrazinecarboxamide, 3,5-diamino-6-chloro-N-[[[[6,7-dichloro-2,3-dihydro-5-(2-thienylcarbonyl)-2-benzofuranyl]carbonyl]amino]iminomethyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

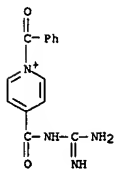


L4 ANSWER 55 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The title compds. (I) are prepared by reaction of 3- or 4-guanidinocarbonylpyridines with RA (A = halogen, R = lower alkyl, Bz, dimethylaminoethyl, or diethylaminoethyl). Thus, a mixture of 2.4 g isonicotinoylguanidine and 7 g EtI in 50 cc anhydrous EtOH was heated 3 hr on a water bath to give 4.0 g yellow 4-(guanidinocarbonyl)-1-ethylpyridinium bromide, m. 246° (decomposition) (EtOH). The following I derivs. were similarly prepared (position of guanidinocarbonyl, R, A, and m.p. given): 4, Et, I, 211-13°; 4, Et, Br, 197-9°; 4, Bz, Cl, 202-3°; 4, dimethylaminoethyl, Cl, 148-9°; 3, Me, I, 199-202°; 3, Et, I, 155-8°.

ACCESSION NUMBER: 1970:12593 HCAPLUS
DOCUMENT NUMBER: 72:12593
TITLE: Pyridinecarboxyguanidide salts
INVENTOR(S): Ueda, Takeo
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 44021115	B4	19690909	JP	19640121

IT 24488-06-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24488-06-0 HCAPLUS
CN Pyridinium, 4-(amidinocarbamoyl)-1-benzoyl-, chloride (8CI) (CA INDEX NAME)



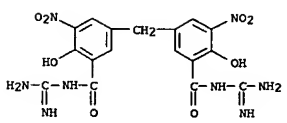
● Cl⁻

L4 ANSWER 56 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
AB Mixed salts of nitrocarboxyhydroxybenzene produced by reaction of nitrated salicylic acid or nitrated alkylene disalicylic acid (where the alkylene is a C1-3 bridge), an amine, and an alkali metal lower alcoholate give combustion catalysts for NH4NO3-based propellants which ensure smooth even burning and produce a min. amount of smoke and ash. Typical catalysts used to an extent of 1-7% are produced from 3,5-dinitro-1-guanidiniumcarboxy-2-sodium phenolate prepared from 3,5-dinitrosalicylic acid, guanidine, and NaOMe and ethylenediaminebis(carboxy-3,5-dinitro-2-sodium phenylate) prepared from ethylenediamine and 2 moles each of 3,5-dinitrosalicylic acid and NaOMe. In propellant compns., most conventional additives may also be present. Thus, a propellant composition was prepared from NH4NO3 (30-80 mesh) 64.62, cellulose acetate 9.15, tri-Et citrate 10.15, dinitrophenoxyethanol 9.30, C 3.60, graphite 0.05, N-phenylmorpholine 1.25, and 5,5'-methylenebis(3,3'-dinitro-1,1'-guanidiniumcarboxy-2,2'-sodium phenylate) 1.88%, burning rate 0.062 in./sec., n 0.487, σp 0.075, πk 0.148, in which n = pressure exponent, σp = temperature coefficient at constant pressure, and πk = temperature coefficient at constant nozzle throat area and constant burning surface area. A similar composition catalyzed with the usual Na barbiturate showed corresponding values of 0.074, 0.63, 0.09, and 0.24, resp., proving the superiority of the new catalysts.
ACCESSION NUMBER: 1969:79651 HCAPLUS
DOCUMENT NUMBER: 70:79651
TITLE: Amine addition products of nitroaminocarboxy alkali metal phenolates for use as propellant combustion catalysts
INVENTOR(S): Henderson, Lionel A.
PATENT ASSIGNEE(S): Standard Oil Co.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3420874	A	19690107	US 1962-227048	19620928
PRIORITY APPLN. INFO.:			US 1962-227048 A	19620928

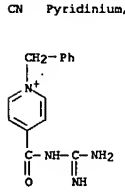
IT 13081-08-8
RL: CAT (Catalyst use); USES (Uses)
(catalysts, for combustion of propellants)
RN 13081-08-8 HCAPLUS
CN Salicylamide, 5,5'-methylenebis[N-amidino-3-nitro-, disodium salt (8CI) (CA INDEX NAME)

L4 ANSWER 56 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 Na

L4 ANSWER 57 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB (Amidinocarbamoyl)pyridine derivs. and their quaternary ammonium salts were synthesized and evaluated for their antiviral activity against the common cold virus strain K-2211. None of the (amidinocarbamoyl)pyridine derivs. had any effect on the cold virus, but several of their quaternary ammonium salts had significant antiviral activity. The most effective antiviral agents were 1-methyl-4-(amidinocarbamoyl)pyridinium iodide and 1-ethyl-4- (amidinocarbamoyl)pyridinium iodide. The effectiveness of these 2 compds. was significantly greater than that of guanidine nitrate, indicating that the antiviral activity of these compds. was not due to the guanidine formed from their decomposition in the tissue culture liquid.
ACCESSION NUMBER: 1966:468159 HCAPLUS
DOCUMENT NUMBER: 65:68159
ORIGINAL REFERENCE NO.: 65:12731d-f
TITLE: Syntheses and antiviral effect of (amidinocarbamoyl)pyridine derivatives
AUTHOR(S): Takagi, Kaname; Kurokawa, Yoko; Ueda, Takeo
CORPORATE SOURCE: Keio-Gijuku Univ., Tokyo
SOURCE: Chemical & Pharmaceutical Bulletin (1966), 14(6), 638-63
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 65:68159
IT 13518-69-9, Pyridinium, 4-(amidinocarbamoyl)-1-benzyl-, bromide (virucidal activity of)
RN 13518-69-9 HCAPLUS
CN Pyridinium, 4-(amidinocarbamoyl)-1-benzyl-, bromide (8CI) (CA INDEX NAME)



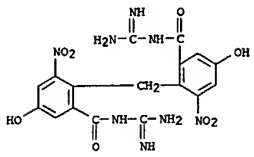
● Br⁻

L4 ANSWER 58 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 22 Apr 2001
AB Compns. comprising (by weight) about 0.1-15% nitroaminocarboxyalkali metal phenolate (e.g. 2,3,5-NaO(O2N)2C6H2CO2H.(H2N)2C:NH (I), N,N'-piperazinobis(1-carboxy-3,5-dinitro-2-sodium phenolate), ethylenediaminebis(1-carboxy-3,5-dinitro-2-sodium phenolate), or 5,5methylenebis (3-nitro-1-guanidiniumcarboxy-2-sodium phenolate) as combustion catalyst, 10-40% oxidizable organic binder material (cellulose ester of a C2-4 alkanoic acid, and a plasticizer) and 6080% NH4NO3, have superior ignitability characteristics at even the lowest atmos. temps. and show smooth, uniform burning properties at low burning rates. These compns. with an alkali metal barbiturate added have exceptionally low pressure exponents and simultaneously very good temperature coeffs. Reaction of equal moles guanidine and 3,5-dinitrosalicylic acid in MeOH and addition of the theoretical amount of NaOMe gives I, which ppts. in crystalline form. The other combustion catalysts are similarly prepared The propellant compns. are prepared by blending the ingredients in a one quart laboratory mixer for 1 hr. at about 212°F., after which the pasty mass is compression-molded into a 0.5-in. thick slab, which is allowed to cool to room temperature The molded compns. are then sawed into strips for use in Crawford bomb burning rate tests, which are carried out at different pressures to determine the pressure exponents(n). In tests to determine temperature coeffs. at both constant pressure (σp) and constant nozzle size (πh) a propellant strand is brought to the desired temperature by storage at that temperature A composition containing (by weight) 61.00% NH4NO3, 9.79% cellulose acetate (about 55% HOAc equivalent), 11.25% tri-Et acetylcitrate, 9.90% dinitrophenoxyethanol (28% diether), 3.00% C, 2.06% I, 2.00% mono-Na barbiturate, 0.50% N-phenylmorpholine, and 0.50% tolylenediamine; gives a burning rate of 0.070 in./sec. at 1000 psia. and 70°F., with n = 0.466. The temperature coeffs. σp and πh are 0.100 and 0.19, resp. The compns. described give much less ash formation at a given burning rate than do other propellants containing organic compds. of alkali metals.
ACCESSION NUMBER: 1966:403390 HCAPLUS
DOCUMENT NUMBER: 65:3390
ORIGINAL REFERENCE NO.: 65:566h,567a-c,568a
TITLE: Ammonium nitrate propellants
INVENTOR(S): Henderson, Lionel A.
PATENT ASSIGNEE(S): Standard Oil Co.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3247035		19660419	US 1963-308920	19630627
PRIORITY APPLN. INFO.:			US	19630627

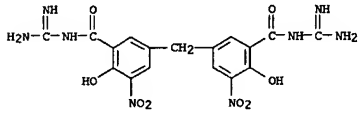
IT 98144-10-6, Sodium, [methylenebis[[2-(amidinocarbamoyl)-6-nitro-p-phenylene]oxy]]di-
(as combustion catalyst in NH4NO3 propellants)
RN 98144-10-6 HCAPLUS
CN Sodium, [methylenebis[[2-(amidinocarbamoyl)-6-nitro-p-phenylene]oxy]]di- (7CI) (CA INDEX NAME)

L4 ANSWER 58 OF 58 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 Na

IT 802562-85-2, Salicylamide, 5,5'-methylenebis[N-amidino-3-nitro-, (disodium derivative, as combustion catalyst in NH4NO3 propellants)
RN 802562-85-2 HCAPLUS
CN Salicylamide, 5,5'-methylenebis[N-amidino-3-nitro- (8CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	301.44	468.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-43.50	-43.50

STN INTERNATIONAL LOGOFF AT 08:05:02 ON 13 NOV 2006